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(FILE 'HOME' ENTERED AT 16:52:23 ON 14 JAN 2004) SET COST OFF

FILE 'HCAPLUS' ENTERED AT 16:52:38 ON 14 JAN 2004 L11 S US20020173051/PN SEL RN

FILE 'REGISTRY' ENTERED AT 16:53:11 ON 14 JAN 2004 L2 7 S E1-E7 L3 3 S L2 AND C6/ES L42 S L3 AND N/ELS

FILE 'HCAPLUS' ENTERED AT 16:54:23 ON 14 JAN 2004 L5937 S L4 390 S L4 (L) (RACT OR RGT OR RCT)/RL L6 E SOLID PHASE SYNTHESIS/CT E E3+ALL L7 8 S E2+NT AND L5 E COMBINATORIAL CHEMISTRY/CT E E3+ALL  $^{18}$ 2498 S E1 E E3+ALL L92802 S E1

E COMBINATOR/CT E E8+ALL L10 7215 S E1+NT

E E4+ALL L114712 S E1+NT

E HIGH THROUGHPUT/CT E E5+ALL

L12 2802 S E1

L13 12 S L5 AND L8-L12

L14 9 S L5 AND SOLID PHASE

L15 13 S L5 AND SOLID (L) SYNTHESIS

19 S L7, L13-L15 L16 E RASMUSSEN J/AU

L17 88 S E3, E14 115 S E78 L18

E KREPSKI L/AU

101 S E4-E6 L19 L20 1 S L17-L19 AND L5

L21 19 S L16, L20

L22 6 S L5 AND SOLID(L) SUPPORT?

L23 21 S L21, L22

> FILE 'HCAPLUS' ENTERED AT 16:59:36 ON 14 JAN 2004 SET COST ON

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FILE COVERS 1907 - 14 Jan 2004 VOL 140 ISS 3 FILE LAST UPDATED: 13 Jan 2004 (20040113/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

## => d all hitstr tot

WO 2002-CH429

OS GT MARPAT 139:133464

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ANSWER 1 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
T<sub>2</sub>3
     2003:570979 HCAPLUS
ΑN
    139:133464
DN
ED
     Entered STN: 25 Jul 2003
ΤI
     Preparation of pyrrolidonecarboxamides as neuropeptide Y antagonists.
     Isler, Markus; Giller, Thomas; Schwalm, Guenter; Steger, Matthias;
ΙN
     Hilpert, Kurt; Valdenaire, Oliver; Breu, Volker
PΑ
     Axovan Ltd., Switz.
SO
     PCT Int. Appl., 89 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     German
IC
     ICM C07D401-14
          C07D401-12; C07D409-14; C07D405-14; C07D207-26; C07D403-12;
          C07C211-54; C07C211-56; A61K031-4015; A61K031-403; A61K031-4025;
          A61P003-04; A61P003-10
     27-10 (Heterocyclic Compounds (One Hetero Atom))
     Section cross-reference(s): 1
FAN.CNT 1
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                                                             DATE
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                            20030724
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             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
             MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SK,
                     TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
             SL, TJ,
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             PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
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PRAI CH 2001-2381
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20020805

Α

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$$Q^{1} = R^{4}$$

$$R^{1}$$

$$I$$

Title compds. [I; R1 = (substituted) Ph, PhCH2, PhCH2CH2, AΒ  $\alpha$ -hydroxyphenylethyl, naphthyl, naphthylmethyl, thienylalkyl, furylalkyl, pyridylalkyl, 1-alkylpyrrolidin-2-ylalkyl, pyrrolidinoalkyl, morpholinoalkyl, (benzo-fused) cycloalkyl; R2 = Q1, R5C6H4; X = CH2, CO, O, NR3; R3 = H, alkyl; R4 = H, alkoxy; R5 = Ph, heteroalkyl, aryloxy, alkoxy, alkanoyl, NR6R7; R6 = H, alkyl, aralkyl, cycloalkylalkyl, alkoxycarbonylalkyl; R7 = aryl, heteroaryl, alkyl, hydroxyalkyl, acyl], were prepared Thus, 5-oxo-1-phenylpyrrolidine-3-carboxylic acid in CH2Cl2/DMF was shaken 5 min. with solid-supported DCC; N, N-Dimethyl-p-phenylendiamine in CH2Cl2/DMF was added and the mixture was shaken overnight at room temperature The solid was filtered off, the filtrate was evaporated, the residue in CH2Cl2 was mixed with Me isocyanate-polystyrene and shaken for 12 h followed by filtration and shaking of the filtrate with tris(2-aminoethyl)amine-polystyrene for 12 h at room temperature followed by filtration and evaporation to give 5-oxo-1-phenylpyrrolidine-3-carboxylic acid (4-dimethylaminophenyl)amide. Tested I showed IC50 =  $0.003-0.049 \mu M$  in a radioligand competition binding screen with mouse NPY-Y5 receptors.

ST arthritis diabetes eating disorder obesity treatment pyrrolidonecarboxamide prepn; neuropeptide Y receptor antagonist pyrrolidonecarboxamide prepn

IT Neuropeptide Y receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study) (Y5, antagonists; preparation of pyrrolidonecarboxamides as neuropeptide Y antagonists)

IT Appetite

(disorder, treatment; preparation of pyrrolidonecarboxamides as neuropeptide Y antagonists)

IT Antiarthritics

Antidiabetic agents

Antiobesity agents

Human

(preparation of pyrrolidonecarboxamides as neuropeptide Y antagonists)

IT Arthritis

Diabetes mellitus

Obesity

(treatment; preparation of pyrrolidonecarboxamides as neuropeptide Y antagonists)

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566153-53-5P

566153-52-4P

566153-56-8P

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     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of pyrrolidonecarboxamides as neuropeptide Y antagonists)
     75-36-5, Acetyl chloride
IT
                                89-97-4, 2-Chlorobenzylamine
                                                               92-65-9
                                93-05-0, 4-Amino-N, N-diethylaniline
                                                                       98-88-4,
     92-67-1, 4-Aminobiphenyl
     Benzoyl chloride
                       99-88-7, 4-Isopropylaniline
                                                       99-92-3,
                           99-98-9, N,N-Dimethyl-p-phenylenediamine
     4'-Aminoacetophenone
                                          100-07-2, 4-Methoxybenzoyl chloride
     100-01-6, p-Nitroaniline, reactions
     101-54-2, N-Phenyl-1, 4-phenylenediamine
                                               103-80-0, Phenylacetyl chloride
     104-94-9, p-Methoxyaniline
                                  105-36-2, Bromoacetic acid ethyl ester
                                     108-44-1, m-Toluidine, reactions
     108-12-3, Isovaleryl chloride
                                       120-20-7, 2-(3,4-
     118-31-0, 1-Naphthylmethylamine
                                 132-32-1
                                            139-59-3, 4-Phenoxyaniline
     Dimethoxyphenyl) ethylamine
                                 372-19-0, 3-Fluoroaniline
                                                             527-69-5, 2-Furoyl
     153-78-6, 2-Aminofluorene
                836-30-6, 4-Nitrodiphenylamine
                                                 1711-07-5, 3-Fluorobenzoyl
     chloride
     chloride
                2243-47-2, 3-Aminobiphenyl
                                            3096-57-9, 2-Amino-9-fluorenone
                                   4023-34-1, Cyclopropylcarbonyl chloride
     3282-30-2, Pivaloyl chloride
                                   5834-17-3, 3-Amino-2-methoxydibenzofuran
     5452-35-7, Cycloheptylamine
                                     7154-73-6, 1-(2-Aminoethyl)pyrrolidine
     6344-63-4, 9H-Fluoren-1-amine
     7568-93-6, 2-Hydroxy-2-phenylethylamine
                                              13744-88-2
                                                             20781-20-8,
     2,4-Dimethoxybenzylamine
                               21615-34-9, 2-Methoxybenzoyl chloride
                                                                    51387-90-7,
     25054-53-9, Piperonyloyl chloride
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                                                      39629-86-2
     2-(2-Aminoethyl)-1-methylpyrrolidine
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                                                          60559-31-1
                                                          91215-79-1
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                  63674-68-0
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                   261363-53-5
                                 304859-18-5
                                                387358-43-2
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of pyrrolidonecarboxamides as neuropeptide Y antagonists)
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     566154-68-5P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of pyrrolidonecarboxamides as neuropeptide Y antagonists)
RE.CNT
              THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
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<sup>(1)</sup> Bayer Aq; GB 856452 A 1960 HCAPLUS

<sup>(2)</sup> Craig, D; WO 0107409 A 2001 HCAPLUS

<sup>(3)</sup> Merck & Co Inc; GB 2351733 A 2001 HCAPLUS

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     7568-93-6, 2-Hydroxy-2-phenylethylamine
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        (preparation of pyrrolidonecarboxamides as neuropeptide Y antagonists)
RN
     7568-93-6 HCAPLUS
     Benzenemethanol, \alpha-(aminomethyl)- (9CI) (CA INDEX NAME)
CN
   Ph
HO-CH-CH2-NH2
    ANSWER 2 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
L23
ΑN
     2003:60036 HCAPLUS
     138:237585
DN
ED
     Entered STN: 27 Jan 2003
     High-Throughput Manual Parallel Synthesis Using SynPhase Crowns and
TΤ
     Lanterns
     Gerritz, Samuel W.; Norman, Mark H.; Barger, Lee A.; Berman, Judd; Bigham,
ΑU
     Eric C.; Bishop, Michael J.; Drewry, David H.; Garrison, Deanna T.; Heyer,
     Dennis; Hodson, Stephen J.; Kakel, Jennifer A.; Linn, James A.; Marron,
     Brian E.; Nanthakumar, Suganthini S.; Navas, Frank J., III
     Department of Medicinal Chemistry, GlaxoSmithKline Inc., Research Triangle
CS
     Park, NC, 27709, USA
     Journal of Combinatorial Chemistry (2003), 5(2), 110-117
SO
     CODEN: JCCHFF; ISSN: 1520-4766
PB
     American Chemical Society
\mathsf{D}\mathbf{T}
     Journal
LA
     English
CC
     21-2 (General Organic Chemistry)
     Section cross-reference(s): 1
OS
     CASREACT 138:237585
     The high-throughput manual solid-phase parallel
     synthesis of libraries comprising thousands of discrete samples
     using pellicular supports (i.e. SynPhase crowns and lanterns)
     and a suite of novel tools and techniques is described. Key aspects of
     this approach include the combination of a split-split-split
     synthesis strategy with spatial encoding to differentiate
     thousands of crowns, the rapid washing and filtration of up to 48 reaction
     vessels in parallel, the application of an inexpensive and environmentally
     friendly technique to remove trifluoroacetic acid from sixteen 96-well
     plates in parallel, and a high-throughput method for removing cleaved .
     crowns from reusable pin racks. Tens of thousands of discrete samples
     have been produced using this conceptually and operationally
     straightforward strategy. One of these, 1-(2-hydroxy-2-phenylethyl)-2-
     benzoylaminobenzimidazole-5-carboxamide, had IC50 for NPY-5 receptor
     antagonism of 52 nM.
ST
     solid phase parallel synthesis SynPhase
     crown lantern; benzoylaminobenzimidazolecarboxamide hydroxyphenylethyl
     solid phase synthesis NPY5 receptor antagonist
TT
     Combinatorial chemistry
       Combinatorial library
       Solid phase synthesis
        (high-throughput manual parallel synthesis using SynPhase
        crowns and lanterns)
ΙT
     501936-68-1P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant
```

or reagent)

(preparation by high-throughput manual parallel synthesis using SynPhase crowns and lanterns and NPY-5 antagonist activity of)

IT501936-69-2P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation by high-throughput manual parallel synthesis using SynPhase crowns and lanterns and NPY-5 antagonist activity of)

- 453-71-4, 4-Fluoro-3-nitrobenzoic acid 532-55-8, Benzoyl isothiocyanate IΤ 7568-93-6, 2-Amino-1-phenylethanol
  - RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of NPY-5 antagonist by high-throughput manual parallel synthesis using SynPhase crowns and lanterns)
- THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
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- (2) Bel-ArtScienceware; Commercial source for Vaccu-Pette:Catalog no F378760000, www.bel-art.com
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- (37) Wheaton Scientific Products; Commercial source for vial rack: Catalog no 868806, www.wheatonsci.com
- (38) Xiao, X; Biotech Bioeng (Comb Chem) 2000, V71, P44 HCAPLUS
- 7568-93-6, 2-Amino-1-phenylethanol
  - RL: RCT (Reactant); RACT (Reactant or reagent)
    - (preparation of NPY-5 antagonist by high-throughput manual parallel

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synthesis using SynPhase crowns and lanterns)
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    ANSWER 3 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
1,23
     2003:42245 HCAPLUS
ΑN
     138:106689
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     Entered STN: 17 Jan 2003
ΤI
     Preparation of thiazolylamino benzamide derivatives as modulators of cell
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     Chu, Shao Song; Alegria, Larry Andrew; Bleckman, Ted Michael; Chong,
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     Agouron Pharmaceuticals, Inc., USA
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     English
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IC
     ICM C07D
CC
     28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
     Section cross-reference(s): 1, 7
FAN.CNT 1
                                           APPLICATION NO.
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                            20030116
                                           WO 2002-US21280 20020705
PΙ
     WO 2003004467
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         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                                           US 2002-190219
     US 2003225147
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PRAI US 2001-303679P
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                            20010706
     US 2001-305274P
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     MARPAT 138:106689
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GΙ

AB Aminothiazole compds. with mono-/di-substituted benzamides (shown as I; variables described below; e.g. 4-[[4-amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-morpholin-4-ylethyl)benzamide), and their pharmaceutically acceptable salts, pharmaceutically acceptable prodrugs,

pharmaceutically active metabolites, and pharmaceutically acceptable salts of said metabolites are described. These agents modulate and/or inhibit the cell proliferation and activity of protein kinases and are useful as pharmaceuticals for treating malignancies and other disorders. Inhibitory activities towards three cyclin complexes of protein kinases, phosphorylated FGF receptor and/or LCK tyrosine kinase and/or cytotoxicity towards the HCT-116 cancer cell line are reported for hundreds of I, many of which were prepared combinatorially. For I: R1 and R2 are each independently H, or an alkyl, alkenyl, alkynyl, heteroalkyl, alkoxy, aminoalkyl, aryl, heteroaryl, cycloalkyl, or heterocycloalkyl group unsubstituted or substituted with ≥1 substituents listed in the claims, or R1 or R2, together with the N-C(O) and two adjacent C atoms of the Ph ring of I, forms a 5- or 6-membered ring structure fused to the Ph ring of I and unsubstituted or substituted with ≥1 substituents listed in the claims, or R1 and R2, taken together with the N atom to which they are bonded, form a monocyclic or fused or nonfused polycyclic structure which may contain 1-3 addnl. heteroatoms, the structure being unsubstituted or substituted with ≥1 substituents listed in the claims. R3 is an aryl, heteroaryl, alkyl, or cycloalkyl group, unsubstituted or substituted with ≥1 substituents listed in the claims. Y is H, alkyl, heteroalkyl, haloalkyl, halocycloalkyl, haloheterocycloalkyl, cycloalkyl, heterocycloalkyl, -NO2, -NH2, -N-OH, N-ORC, -CN, -(CH2)z-CN (z is 0-4), halogen, -OH, -O-Ra-O-, -ORb, -CO-R, -O-CO-Rc, -CO-ORc, -O-CO-OR, -O-OR, =O, =S, -NRdRe, -CO-NRdRe, -O-CO-NRdRe, -NRc-CO-Re, -NR-CO-OR, -CO-NRc-CO-Rd, -O-SO2-Re, -O-SO-R, -O-S-Re, -S-CO-Rc, -SO-CO-ORc, -SO-CO-OR, -O-SO3, -NRc-SRd, -NRc-SO-Rd, NRc-SO2-Rd, -CO-SRc, -CO-SO-Re, -CO-OSO2-Rc, -CS-Rc, -CSO-R, -CSO2-R,, -NRC-CS-Rd, -O-CS-Re, -O-CSO-Rc, -O-SO2-Re, -OS2-NRdRe, -SO-NRdRe, -S-NRdRe, -NRd-CSO2-Rd, - NRc-CSO-Rd, -NRc-CS-Rd, -SH, -S-Rb, and -PO2-ORc (Ra, etc. defined in claims). Although the methods of preparation are not claimed, .apprx.80 example prepns. of I are included and directions are given for combinatorial preparation of 396 I.

ST thiazolylamino benzamide prepn cell proliferation modulator protein kinase inhibitor

IT Receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study) (VEGF; preparation of thiazolylamino benzamide derivs. as modulators of cell proliferation and inhibitors of protein kinases)

IT Amides, preparation

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses) (aryl, thiazolylamino-substituted; preparation of thiazolylamino benzamide derivs. as modulators of cell proliferation and inhibitors of protein kinases)

IT Cyclins

RL: BSU (Biological study, unclassified); BIOL (Biological study) (complexes with cyclin-dependent kinases, inhibitors; preparation of thiazolylamino benzamide derivs. as modulators of cell proliferation and inhibitors of protein kinases)

IT Nervous system, disease

(degeneration; preparation of thiazolylamino benzamide derivs. as modulators of cell proliferation and inhibitors of protein kinases)

IT Nervous system agents

(degenerative; preparation of thiazolylamino benzamide derivs. as modulators of cell proliferation and inhibitors of protein kinases)

IT Drug delivery systems

(for thiazolylamino benzamide derivs. as modulators of cell proliferation and inhibitors of protein kinases)

IT Cell proliferation

(modulators; preparation of thiazolylamino benzamide derivs. as modulators of cell proliferation and inhibitors of protein kinases)

IT Cytotoxicity

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(of thiazolylamino benzamide derivs.)
       Angiogenesis
IT
       Angiogenesis inhibitors
       Antitumor agents
       Antiviral agents
       Autoimmune disease
           Combinatorial library
        Immunomodulators
        Neoplasm
             (preparation of thiazolylamino benzamide derivs. as modulators of cell
            proliferation and inhibitors of protein kinases)
ΙΤ
        Drug delivery systems
             (prodrugs; for thiazolylamino benzamide derivs. as modulators of cell
            proliferation and inhibitors of protein kinases)
ΙΤ
        Infection
             (viral; preparation of thiazolylamino benzamide derivs. as modulators of
            cell proliferation and inhibitors of protein kinases)
                                                                                            147014-97-9, CDK4
        141349-86-2, CDK2 kinase
                                                  143375-65-9, CDK1 kinase
ΙT
                      303014-92-8, CDK6
        kinase
        RL: BSU (Biological study, unclassified); BIOL (Biological study)
             (and cyclin complexes, inhibitors; preparation of thiazolylamino benzamide
             derivs. as modulators of cell proliferation and inhibitors of protein
             kinases)
        486413-82-5P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-
ΙΤ
        morpholin-4-ylethyl)benzamide 486413-83-6P 486413-84-7P
                                                                                                         486413-86-9P
                             486413-88-1P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-
        486413-87-0P
        yl]amino]-N-(2-isopropoxyethyl)benzamide 486413-91-6P,
        4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-phenylbenzamide
                              486413-95-0P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-
        486413-93-8P
                                                                                   486414-07-7P,
        yl]amino]-N-(1-benzylpiperidin-4-yl)benzamide
        4-[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-[4-(2-4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-[4-(2-4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-[4-(2-4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-[4-(2-4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-[4-(2-4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-[4-(2-4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-[4-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-mino-5-(2-4-
        hydroxyethyl)phenyl]benzamide 486416-20-0P, 4-[[4-Amino-5-(2,6-
        difluorobenzoyl)thiazol-2-yl]amino]-N-(4-(acetylamino)phenyl)benzamide
        486417-01-0P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-
        (dimethylamino)ethyl)benzamide
        RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN
        (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
        CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
             (drug candidate; preparation of thiazolylamino benzamide derivs. as
             modulators of cell proliferation and inhibitors of protein kinases)
        486415-57-0P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-
ΙΤ
        propyl-N-(cyclopropylmethyl)benzamide
                                                                      486415-58-1P, [4-[[4-Amino-5-(2,6-
        difluorobenzoyl)thiazol-2-yl]amino]phenyl](4-methylpiperazino)methanone
        486415-59-2P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-
        methyl-N-benzylbenzamide 486415-60-5P, 4-[[4-Amino-5-(2,6-
        difluorobenzoyl)thiazol-2-yl]amino]-N-(2-hydroxyethyl)-N-butylbenzamide
        486415-61-6P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-
        methyl-N-((dimethylamino)carbonyl)methyl)benzamide
        4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3-
        (aminocarbonyl)phenyl)benzamide
                                                           486415-63-8P, 4-[[4-Amino-5-(2,6-
        difluorobenzoyl)thiazol-2-yl]amino]-N-(3-chloro-4-methylphenyl)benzamide
        486415-64-9P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-
        (cyanomethyl) -N-butylbenzamide
                                                            486415-65-0P, [4-[[4-Amino-5-(2,6-
        difluorobenzoyl)thiazol-2-yl]amino]phenyl](morpholino)methanone
        486415-66-1P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-
                                                                 486415-67-2P, [4-[[4-Amino-5-(2,6-
        methyl-N-(2-phenylethyl)benzamide
        difluorobenzoyl)thiazol-2-yl]amino]phenyl](4-(3-
                                                                486415-68-3P, 4-[[4-Amino-5-(2,6-
        hydroxypropyl)piperazino)methanone
        difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-(2-methoxyethyl)benzamide
        486415-69-4P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3-486415-69-4P)
        hydroxy-4-methoxyphenyl)benzamide 486415-70-7P, 4-[[4-Amino-5-(2,6-
        difluorobenzoyl)thiazol-2-yl]amino]-N-(2-chloro-6-methylphenyl)benzamide
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486415-71-8P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3-
ethoxyphenyl)benzamide 486415-72-9P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-(2-hydroxyethyl)benzamide
486415-73-0P, [4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-
yl]amino]phenyl](2,6-dimethylmorpholino)methanone
                                                                             486415-74-1P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,2-
                                                    486415-75-2P, 4-[[4-Amino-5-(2,6-
dimethoxyethyl)-N-methylbenzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-((pyridin-3-
                                486415-76-3P, [4-[[4-Amino-5-(2,6-
yl)methyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]phenyl](4-(2-
propenyl)piperazino)methanone
                                               486415-77-4P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-(2-methoxy-5-
(trifluoromethyl)phenyl)benzamide
                                                     486415-78-5P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-(2-methoxyphenyl)benzamide
486415-79-6P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3-
(ethoxycarbonyl)phenyl)benzamide
                                                   486415-80-9P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-ethyl-N-(2-hydroxyethyl)benzamide
486415-81-0P, [4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-
yl]amino]phenyl](thiomorpholino)methanone
                                                                 486415-82-1P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-(2-
propenyl)benzamide
                               486415-83-2P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino}-N-ethyl-N-((pyridin-4-
                                486415-84-3P, [4-[[4-Amino-5-(2,6-
yl)methyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]phenyl]((R)-3-
(dimethylamino)pyrrolidino)methanone
                                                         486415-85-4P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-(4-(1,2,3-thiadiazol-4-
                                486415-86-5P, 4-[[4-Amino-5-(2,6-
yl)phenyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(methylthio)phenyl)benzamide
486415-87-6P, [4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-
yl]amino]phenyl](4-((furan-2-yl)carbonyl)piperazino)methanone
486415-88-7P, [4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-
yl]amino]phenyl](thiazolidin-3-yl)methanone
                                                                   486415-89-8P,
[4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]phenyl](4,4-
(ethylenedioxy)piperidino)methanone
                                                        486415-90-1P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(diethylamino)ethyl)-N-
ethylbenzamide
                         486415-91-2P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-
2-yl]amino]-N, N-bis(2-methoxyethyl)benzamide
                                                                      486415-92-3P,
[4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]phenyl]((S)-3-
(dimethylamino)pyrrolidino)methanone 486415-93-4P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-(4-chloro-3-methylphenyl)benzamide
486415-94-5P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-
                                                     486415-95-6P, [4-[[4-Amino-5-(2,6-
(methoxycarbonyl)phenyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]phenyl](2,5-dihydro-1H-pyrrol-1-
                      486415-96-7P, [4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-
yl)methanone
yl]amino]phenyl](3-(aminocarbonyl)piperidino)methanone
                                                                                     486415-97-8P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((dioxolan-2-
                                              486415-98-9P, [4-[[4-Amino-5-(2,6-
yl)methyl)-N-methylbenzamide
difluorobenzoyl)thiazol-2-yl]amino]phenyl](4-(aminocarbonyl)piperidino)met
             486415-99-0P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-
yl]amino]-N-(2-chloro-4-methylphenyl)benzamide
                                                                        486416-00-6P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,4-difl
                                        486416-01-7P, 4-[[4-Amino-5-(2,6-
dimethoxyphenyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(ethoxycarbonyl)phenyl)benzamide
486416-02-8P, [4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-
yl]amino]phenyl](pyrrolidino)methanone
                                                             486416-03-9P,
[4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]phenyl](3-
(hydroxymethyl)piperidino)methanone
                                                        486416-04-0P, [4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]phenyl](3-hydroxypiperidino)methanone
486416-05-1P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-
((methoxycarbonyl)methyl)-N-methylbenzamide
                                                                  486416-06-2P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-yl)
                                        486416-07-3P, 4-[[4-Amino-5-(2,6-
isopropylphenyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-(benzodioxol-5-yl)benzamide
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486416-08-4P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(hydroxymethyl)phenyl)benzamide 486416-09-5P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(4-methoxyphenyl)benzamide 486416-10-8P, [4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2yl]amino]phenyl](3-hydroxypyrrolidino)methanone 486416-11-9P, [4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]phenyl](4hydroxypiperidino) methanone 486416-12-0P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-(2-(pyridin-4-486416-13-1P, 4-[[4-Amino-5-(2,6yl)ethyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-(3-chloro-4-methoxyphenyl)benzamide 486416-14-2P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3cyanophenyl)benzamide 486416-15-3P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(4-(methoxycarbonyl)phenyl)benzamide 486416-16-4P, [4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2yl]amino]phenyl](4-((benzodioxol-5-yl)methyl)piperazino)methanone 486416-17-5P, [4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2yl]amino]phenyl](4-(2-hydroxyethyl)piperidino)methanone 486416-18-6P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3-(dimethylamino)propyl)-N-methylbenzamide 486416-19-7P, [4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]phenyl](4-486416-21-1P, 4-[[4-Amino-5-(2,6acetylpiperazino)methanone difluorobenzoyl)thiazol-2-yl]amino]-N-(quinolin-5-yl)benzamide 486416-22-2P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3,5-difluorophenyl)benzamide 486416-23-3P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(4-isopropylphenyl)benzamide 486416-24-4P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-486416-25-5P, 4-[[4-Amino-5-(2,6benzyl-N-isopropylbenzamide difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-(2-(pyridin-2yl)ethyl)benzamide 486416-26-6P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-(2-methylpropyl)benzamide 486416-27-7P, [4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2yl]amino]phenyl](3-(acetylamino)pyrrolidino)methanone 486416-28-8P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(4hydroxyphenyl)benzamide 486416-29-9P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(quinolin-6-yl)benzamide 486416-30-2P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3-486416-31-3P, 4-[[4-Amino-5-(2,6chlorophenyl) benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-(methoxycarbonyl)-2methylpropyl)-N-methylbenzamide. 486416-32-4P, [4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]phenyl](4-formylpiperazino)methanone 486416-33-5P, [4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2yl]amino]phenyl](1,2,3,4-tetrahydroisoquinolin-2-yl)methanone 486416-34-6P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-Ncyclopentyl-N-methylbenzamide 486416-35-7P, [4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]phenyl](4-ethylpiperazino)methanone 486416-36-8P, 4-[[4-Amino-5-(2,6-difluorobenzoy1)thiazol-2-y1]amino]-N-(4-(aminosulfonyl) phenyl) benzamide 486416-37-9P, 4-[[4-Amino-5-(2,6-4)]difluorobenzoyl)thiazol-2-yl]amino]-N-(isoquinolin-5-yl)benzamide 486416-38-0P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-486416-39-1P, 4-[[4-Amino-5-(2,6-(3,4-dichlorophenyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-(4-(aminocarbonyl)phenyl)benzamide 486416-40-4P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-486416-41-5P, 4-[[4-Amino-5-(2,6-(3,5-dimethoxyphenyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-(3-(2-methylpyrimidin-4yl)phenyl)benzamide 486416-42-6P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(3-(2-oxopyrrolidino)propyl)benzamid 486416-43-7P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(1,2-dimethylpropyl)benzamide 486416-44-8P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(1-ethylpentyl)benzamide 486416-45-9P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-486416-46-0P, 4-[[4-Amino-5-(2,6phenylethyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(dimethylamino)propyl)benzamide 486416-47-1P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(4-

(dimethylamino)butyl)benzamide 486416-48-2P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(4-(1-hydroxyethyl)phenyl)benzamide 486416-49-3P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-486416-50-6P, 4-[[4-Amino-5-(2,6-(indan-1-yl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-isopropylbenzamide 486416-51-7P. 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,2-yl)486416-52-8P, 4-[[4-Amino-5-(2,6dimethoxyethyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-(3-methoxypropyl)benzamide 486416-53-9P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(6-(dimethylamino)hexyl)benzamide 486416-54-0P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(benzothiazol-6-yl)benzamide 486416-55-1P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,3-dihydro-1,1,3-trioxobenzoisothiazol-6-yl)benzamide 486416-56-2P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(1-(hydroxymethyl) -2-methylpropyl) benzamide 486416-57-3P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-methoxy-1methylethyl)benzamide 486416-58-4P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(2-hydroxy-2-phenylethyl)benzamide 486416-59-5P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(4-aminopheny1) ethyl) benzamide 486416-60-8P, 4-[[4-Amino-5-(2,6-4)]difluorobenzoyl)thiazol-2-yl]amino]-N-(3-(methoxycarbonyl)phenyl)benzamide 486416-61-9P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(4isopropyl-3-methylphenyl)benzamide 486416-62-0P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-(hydroxymethyl)-3-486416-63-1P, 4-[[4-Amino-5-(2,6-(methylthio)propyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-(2-hydroxy-1-methylethyl)benzamide 486416-64-2P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2hydroxypropyl)benzamide 486416-65-3P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(4-methylphenyl)ethyl)benzamide 486416-66-4P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3,3,5-trimethylcyclohexyl)benzamide 486416-67-5P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(2-phenoxyethyl)benzamide 486416-68-6P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(4-(2-(ethoxycarbonyl)ethenyl)phenyl)benzamide 486416-69-7P, dioxobenzothiophen-6-yl)benzamide 486416-70-0P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-((tetrahydrofuran-2yl)methyl)benzamide 486416-71-1P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-((2-(ethoxycarbonyl)-1methylethyl)amino)benzamide 486416-72-2P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(2-phenylpropyl)benzamide 486416-73-3P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3-486416-74-4P, 4-[[4-Amino-5-(2,6-(dimethylamino) propyl) benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-(1,1-dioxotetrahydrothiophen-3-486416-75-5P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2yl)benzamide yl]amino]-N-(2-oxotetrahydrothiophen-3-yl)benzamide 486416-76-6P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3-amino-4methoxybenzoyl)benzamide 486416-77-7P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-cyclopropylbenzamide 486416-78-8P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-((1,3-difluorobdimethylbutyl)amino)benzamide 486416-79-9P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(2-methylpropyl)benzamide 486416-80-2P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3-(diethylamino)propyl)benzamide 486416-81-3P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(3-(piperidino)propyl)benzamide 486416-82-4P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-2-oxotetrahydrofuran-3-yl)benzamide 486416-83-5P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(4-486416-84-6P, ((ethoxycarbonyl)methyl)phenyl)benzamide 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-486416-85-7P, 4-[[4-Amino-5-(2,6cyclopentylbenzamide difluorobenzoyl)thiazol-2-yl]amino]-N-(3-(morpholino)propyl)benzamide 486416-86-8P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(1-486416-86-8P)

methyl-3-phenylpropyl) benzamide 486416-87-9P, 4-[[4-Amino-5-(2,6-4)]]difluorobenzoyl)thiazol-2-yl]amino]-N-(3-isopropoxypropyl)benzamide 486416-88-0P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2ethoxyethyl)benzamide 486416-89-1P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(aminocarbonyl)ethyl)benzamide 486416-90-4P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3methoxy-4-methylphenyl)benzamide 486416-91-5P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-cyclohexylbenzamide 486416-92-6P, 4-[(4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(pyridin-2yl)ethyl)benzamide 486416-93-7P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(1,5-dimethylhexyl)benzamide 486416-94-8P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(acetylamino)ethyl)benzamide 486416-95-9P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(3-(imidazol-1-yl)propyl)benzamide 486416-96-0P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(cyclopropylmethyl) benzamide 486416-97-1P, 4-[[4-Amino-5-(2,6-1)]difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-methyl-2-methoxy-2oxoethyl)benzamide 486416-98-2P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(2-morpholinophenyl)benzamide 486416-99-3P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(1-methylpyrrolidin-2-yl)ethyl)benzamide 486417-00-9P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-sec-486417-02-1P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazolbutylbenzamide 2-yl]amino]-N-(1,2-diethylpyrazolidin-4-yl)benzamide 486417-03-2P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(1phenylpropyl)benzamide 486417-04-3P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-(hydroxymethyl)-2methylpropyl)benzamide 486417-05-4P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(3-(oxazol-5-yl)phenyl)benzamide 486417-06-5P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((R)-2-hydroxy-1-phenylethyl)benzamide 486417-07-6P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(1-486417-08-7P, 4-[[4-Amino-5-(2,6-(hydroxymethyl)propyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(diethylamino)ethyl)benzamide 486417-09-8P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3-(pyrrolidino)propyl)benzamide 486417-10-1P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(1-(methoxymethyl)propyl)benzamide 486417-11-2P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-2-hydroxy-1-phenylethyl)benzamide 486417-12-3P, 4-[[4-Amino-5-(2,6-difluorobenzoy1)thiazol-2-y1]amino]-N-(2-y1)((methylamino)carbonyl)phenyl)benzamide 486417-13-4P, 486417-14-5P, 4-[[4-Amino-5-(2,6phenylethyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-(1-methylbutyl)benzamide 486417-15-6P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2methoxyethyl)benzamide 486417-16-7P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(2-propoxyethyl)benzamide 486417-17-8P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-486417-18-9P, 4-[[4-Amino-5-(2,6-((R)-1-phenylethyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-phenylethyl)benzamide 486417-19-0P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((4methoxyphenyl)methyl)benzamide 486417-20-3P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-((2-methoxyphenyl)methyl)benzamide 486417-22-5P, 4-[[4-Amino-5-(2,6cyanoethyl)-N-butylbenzamide difluorobenzoyl)thiazol-2-yl]amino]-N-((benzodioxol-5-yl)methyl)benzamide 486417-23-6P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-486417-24-7P, 4-[[4-Amino-5-(2,6-(cyclohexylmethyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-(2,3-dihydroxypropyl)-N-486417-25-8P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazolmethylbenzamide 2-yl]amino]-N-((R)-2-hydroxy-1-methylethyl)benzamide 486417-26-9P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((R)-indan-1-yl)amino]-N-((R)-indan-1-yl)amino-5-(R)-difluorobenzoyl)thiazol-2-yl]amino-1-N-((R)-indan-1-yl)amino-1-N-((R)-indan-1-N-((R)-indan-1-yl)amino-1-N-((R)-indan-1-N-((R)-indan-1-yl)amino-1-N-((R)-indan-1-yl)amino-1-N-((R)-indan-1-yl)amino-1-N-((R)-indan-1-yl)amino-1-N-((R)-indan-1-yl)amino-1-N-((R)-indan-1-yl)amino-1-N-((R)-indan-1-yl)amino-1-N-((R)-indan-1-yl)amino-1-N-((R)-indan-1-yl)amino-1-N-((R)-indan-1-yl)amino-1-N-((R)-indan-1-yl)amino-1-N-((R)-indan-1-yl)amino-1-N-((R)-indan-1-yl)amino-1-N-((R)-indan-1-yl)amino-1-N-((R)-indan-1-yl)amino-1-N-((R)-indan-1-yl)amino-1-N-((R)-indan-1-yl)amino-1-N-((R)-indan-1-yl)ami486417-27-0P vl)benzamide

, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2chlorophenyl)ethyl)benzamide 486417-28-1P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(2-cyanoethyl)-N-((tetrahydrofuran-2-486417-29-2P, 4-[[4-Amino-5-(2,6yl)methyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-((pyridin-2-yl)methyl)benzamide 486417-30-5P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-Nbenzylbenzamide 486417-31-6P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-(2-methyl-2-propenyl)benzamide 486417-32-7P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((R)-1-(hydroxymethyl)propyl)benzamide 486417-33-8P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-indan-1-yl)benzamide 486417-35-0P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2-methoxyphenyl)ethyl)benzamide 486417-36-1P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-butylbenzamide 486417-37-2P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-cyclohexyl-Nmethylbenzamide 486417-38-3P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((pyridin-3-yl)methyl)benzamide 486417-39-4P, 4-[[4-Amino-5-(2,6-difluorobenzoy1)thiazol-2-y1]amino]-N-(3acetylphenyl)benzamide 486417-40-7P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(4-(ethoxycarbonyl)phenyl)benzamide 486417-41-8P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-(aminocarbonyl)ethyl)benzamide 486417-42-9P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-((methylamino)carbonyl)ethyl) 486417-44-1P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2benzamide yl]amino]-N-(2-(3-methoxyphenyl)ethyl)benzamide 486417-46-3P, [4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]phenyl](4benzylpiperidino) methanone 486417-47-4P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(cyanomethyl)-N-methylbenzamide 486417-48-5P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-486417-48-5P)(2,4-dichlorophenyl)ethyl)benzamide 486417-50-9P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(4-methylphenyl)benzamide 486417-52-1P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-(aminocarbonyl)-2-hydroxyethyl)benzamide 486417-54-3P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((R)-2-yl)amino]486417-56-5P, 4-[[4-Amino-5-(2,6oxotetrahydrofuran-3-yl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-pentylbenzamide 486417-58-7P, [4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]phenyl](4-(pyridin-2-y1)piperazino)methanone 486417-60-1P, [4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]phenyl](4-hydroxy-4phenylpiperidino)methanone 486417-62-3P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2,3-dihydro-1,4-benzodioxin-5yl)ethyl)benzamide 486417-64-5P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(4-propylphenyl)benzamide 486417-66-7P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-(4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-(4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-(4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-(4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-(4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-(4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-(4-mino-6-min486417-68-9P, 4-[[4-Amino-5-(2,6butoxyphenyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2-fluorophenyl)ethyl)benzamide 486417-70-3P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2-hydroxyethyl)phenyl)benzamide 486417-72-5P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-((4-(trifluoromethoxy)phenyl)methyl) 486417-73-6P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2benzamide 486417-75-8P, [4-[[4-Amino-5-(2,6yl]amino]-N-benzyl-N-ethylbenzamide difluorobenzoyl)thiazol-2-yl]amino]phenyl](4-(ethoxycarbonyl)piperidino)me 486417-77-0P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2thanone yl]amino]-N-(2-(3,4-dichlorophenyl)ethyl)benzamide 486417-79-2P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3,4dimethoxyphenyl)benzamide 486417-81-6P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(isoxazol-3-yl)benzamide 486417-83-8P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-phenylpropyl)benzamide 486417-89-4P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(6-methoxypyridin-3-yl)benzamide 486417-91-8P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((2,3-dichloropheny1) methy1) benzamide 486417-93-0P, [4-[[4-Amino-5-(2,6-4]]]) methy1) benzamide 486417-93-0P, [4-[[4-Amino-5-(2,6-4]]]) methy1) benzamide 486417-93-0P, [4-[[4-Amino-5-(2,6-4]]]) methy1) benzamide 486417-93-0P, [4-[[4-Amino-5-(2,6-4]]]] methy1) benzamide 486417-93-0P, [4-[[4-Amino-5-(4,6-4]]]] methy1) benzamide 486417-93-0P, [4-[4-Amino-5-(4,6-4]]] methy1) benzamide 486417-93-0P, [4-[4-[4-Amino-5-(4,6-4]]] methy1) benzamide 486417-93-0P, [4-[4-[4-[4-[4-[4-[4-[4-[4-[4difluorobenzoyl)thiazol-2-yl]amino]phenyl](4-benzylpiperazino)methanone

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486417-95-2P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-
butyl-N-methylbenzamide 486417-97-4P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-(2-propenyl)benzamide
486417-99-6P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3-
methoxy-4-(methoxycarbonyl)phenyl)benzamide 486418-05-7P,
fluorophenyl)methyl)benzamide 486418-07-9P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-(3-(1-hydroxyethyl)phenyl)benzamide
486418-09-1P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-
methyl-2-propenyl)benzamide 486418-11-5P, [4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]phenyl](3-((diethylamino)carbonyl)piper
idino)methanone
                        486418-13-7P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-
2-yl]amino]-N-(2-hydroxy-2-phenylethyl)-N-methylbenzamide
                                                                                       486418-15-9P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-((ethyl)(3-4-[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-((ethyl)(3-4-[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-((ethyl)(3-4-[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-((ethyl)(3-4-[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-((ethyl)(3-4-[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-((ethyl)(3-4-[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-((ethyl)(3-4-[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-((ethyl)(3-4-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(2,6-[4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(4-Amino-5-(
methylphenyl)amino)ethyl)benzamide
                                                     486418-17-1P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-(indol-5-yl)benzamide
486418-19-3P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((2-
chlorophenyl)methyl)benzamide 486418-21-7P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2-oxoimidazolidino)ethyl)benzamí
       486418-23-9P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-
N-(4-(trifluoromethoxy)phenyl)benzamide
                                                            486418-25-1P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(1-
(ethoxycarbonyl)piperidin-4-yl)benzamide
                                                             486418-27-3P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N,N-
diethylbenzamide 486418-29-5P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-(2-hydroxyethyl)-N-propylbenzamide
486418-35-3P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-
((3,4,5-trimethoxyphenyl)methyl)benzamide
                                                                486418-37-5P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-
                                    486418-39-7P, 4-[[4-Amino-5-(2,6-
fluorophenyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-((2,4-dichlorophenyl)methyl)benzamid
      486418-42-2P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-
(2-(4-methoxyphenyl)ethyl)benzamide 486418-44-4P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-(4-(diethylamino)-1-
                                  486418-46-6P, [4-[[4-Amino-5-(2,6-
methylbutyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]phenyl](4-(4-
methoxyphenyl)piperazino)methanone
                                                      486418-48-8P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(3,4-
                                                 486418-50-2P, 4-[[4-Amino-5-(2,6-
dimethoxyphenyl)ethyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-(2-((5-nitropyridin-2-
                                       486418-53-5P, 4-[[4-Amino-5-(2,6-
yl)amino)ethyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-(3-hydroxypropyl)-N-((pyridin-2-
yl)methyl)benzamide
                                486418-55-7P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-((2-methylphenyl)methyl)benzamide
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU
(Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study);
PREP (Preparation); USES (Uses)
     (drug candidate; preparation of thiazolylamino benzamide derivs. as
    modulators of cell proliferation and inhibitors of protein kinases)
486418-57-9P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-
((S)-1-(4-methylphenyl)ethyl)benzamide
                                                            486418-59-1P,
4-[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((3-
                                                486418-60-4P, 4-[[4-Amino-5-(2,6-
methoxyphenyl)methyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-(3-methylbutyl)benzamide
486418-62-6P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N,N-
                             486418-64-8P, 4-[[4-Amino-5-(2,6-
dipropylbenzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-((furan-2-yl)methyl)benzamide
486418-67-1P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-
ethyl-N-[2-(pyridin-2-yl)ethyl]benzamide
                                                               486418-69-3P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-((3-
                                             486418-71-7P, 4-[[4-Amino-5-(2,6-
fluorophenyl)methyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-((4-methylphenyl)methyl)benzamide
486418-73-9P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-
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((2,4-dimethoxyphenyl)methyl)benzamide 486418-75-1P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(4-486418-77-3P, 4-[[4-Amino-5-(2,6-(methylthio)phenyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-(4-hydroxybutyl)benzamide 486418-79-5P, [4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2yl]amino]phenyl](4-(2-hydroxyethyl)piperazino)methanone 486418-81-9P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((4-final final finchlorophenyl) methyl) benzamide 486418-83-1P, 4-[4-Amino-5-(2,6-4)]difluorobenzoyl)thiazol-2-yl]amino]-N-((2-chlorophenyl)methyl)-N-486418-85-3P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazolmethylbenzamide 2-yl]amino]-N-(3-(diethylamino)propyl)-N-methylbenzamide 486418-87-5P, 4-[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((4-(trifluoromethyl)phenyl)methyl)benzamide 486418-89-7P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((3,5-486418-91-1P, 4-[[4-Amino-5-(2,6dimethoxyphenyl) methyl) benzamide. difluorobenzoyl)thiazol-2-yl]amino]-N-(3-hydroxy-2,2-486418-93-3P, 4-[[4-Amino-5-(2,6dimethylpropyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2-hydroxyethoxy)ethyl)benzamide 486418-95-5P, [4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2yl]amino]phenyl](2-(2-hydroxyethyl)piperidino)methanone 486418-97-7P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(4chlorophenyl)ethyl)benzamide 486418-99-9P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(4-tert-butylcyclohexyl)benzamide 486419-01-6P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(pyridin-4-y1)ethy1) benzamide 486419-03-8P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-((2-(trifluoromethyl)phenyl)methyl)b 486419-05-0P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2yl]amino]-N-((4-tert-butylphenyl)methyl)benzamide 486419-07-2P, 4-[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(indol-3-486419-09-4P, 4-[[4-Amino-5-(2,6yl)ethyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N, N-bis(2-propenyl)benzamide 486419-11-8P, [4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2yl]amino]phenyl](3,5-dimethylpiperidino)methanone 486419-13-0P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(5-486419-15-2P, 4-[[4-Amino-5-(2,6hydroxypentyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-((3-chloro-4methylphenyl)methyl)benzamide 486419-17-4P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(3-(trifluoromethyl)phenyl)ethyl) 486419-19-6P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2benzamide yl]amino]-N-((pyridin-4-yl)methyl)benzamide 486419-21-0P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((2-chloro-4-486419-23-2P, 4-[[4-Amino-5-(2,6fluorophenyl) methyl) benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-(2,3-dihydroxypropyl)benzamide 486419-25-4P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3methylphenyl)benzamide 486419-27-6P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(6-chloropyridin-3-yl)benzamide 486419-30-1P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-Nmethyl-N-(2-propynyl)benzamide 486419-32-3P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(2-ethylthioethyl)benzamide 486419-34-5P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2fluoro-4-methylphenyl)benzamide 486419-36-7P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-((2-ethoxyphenyl)methyl)benzamide 486419-38-9P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-486419-40-3P, 4-[[4-Amino-5-(2,6-((2,5-dichlorophenyl)methyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-(3-bromophenyl)benzamide 486419-42-5P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-1-N-(4-mino-6-(486419-44-7P, 4-[[4-Amino-5-(2,6chlorophenyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-(3-fluorophenyl)benzamide 486419-46-9P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-Nethyl-N-methylbenzamide 486419-48-1P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(4-phenylbutyl)benzamide 486419-50-5P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3-486419-52-7P, 4-[[4-Amino-5-(2,6-(trifluoromethoxy)phenyl)benzamide

difluorobenzoyl)thiazol-2-yl]amino]-N-((3-(trifluoromethyl)phenyl)methyl)b 486419-54-9P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2yl]amino]-N-((2,3-dimethylphenyl)methyl)benzamide 486419-56-1P, 4-[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3,4,5trimethoxyphenyl)benzamide 486419-58-3P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(2-cyanoethyl)-N-methylbenzamide 486419-59-4P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3,5-dimethylphenyl)benzamide 486419-60-7P, 4-[[4-Amino-5-(2,6-4)]difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(diethylamino)ethyl)-N-486419-61-8P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazolmethylbenzamide 2-yl]amino]-N-(2-hydroxyethyl)-N-(2-methylbutyl)benzamide 486419-62-9P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((R)-1-4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((R)-1-4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((R)-1-4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((R)-1-4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((R)-1-4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((R)-1-4-[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((R)-1-4-[4-Amino-5-(4-Amino-5cyclohexylethyl)benzamide 486419-64-1P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-((2,4-difluorophenyl)methyl)benzamid 486419-66-3P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((4-fluoro-3-(trifluoromethyl)phenyl)methyl)benzamide 486419-67-4P 4-[[4-Amino-5-(2,6-difluorobenzoy1)thiazol-2-y1]amino]-N-(3-(2-4)amino-5-(2,6-difluorobenzoy1)thiazol-2-y1]amino]-N-(3-(2-4)amino-5-(2,6-difluorobenzoy1)thiazol-2-y1]amino-1-N-(3-(2-4)amino-5-(2,6-difluorobenzoy1)thiazol-2-y1]amino-1-N-(3-(2-4)amino-5-(2,6-difluorobenzoy1)thiazol-2-y1]amino-1-N-(3-(2-4)amino-5-(2,6-difluorobenzoy1)thiazol-2-y1]amino-1-N-(3-(2-4)amino-5-(2-4)amino-1-N-(3-(2-4)amino-5-(2-4)amino-1-N-(3-(2-4)amino-5-(2-4)amino-1-N-(3-(2-4)amino-5-(2-4)amino-1-N-(2-4methylpiperidino)propyl)benzamide 486419-69-6P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(2-cyanoethyl)-N-(phenylmethyl)benzamide 486419-70-9P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(4-(phenylamino)phenyl)benzamide 486419-71-0P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-486419-72-1P, 4-[[4-Amino-5-(2,6benzyl-N-butylbenzamide difluorobenzoyl)thiazol-2-yl]amino]-N-((furan-2-yl)methyl)-N-486419-73-2P, [4-[[4-Amino-5-(2,6methylbenzamide difluorobenzoyl)thiazol-2-yl]amino]phenyl](3-methyl-4-(3-486419-74-3P, 4-[[4-Amino-5-(2,6methylphenyl)piperazino)methanone  $\verb|difluorobenzoyl|| \verb|thiazol-2-yl|| \verb|amino|| - \verb|N-((2,5-difluorophenyl)|| methyl|) benzamid | left to be a simple of the state of$ 486419-75-4P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(4-acetylphenyl)benzamide 486419-76-5P, [4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]phenyl](4-(pyridin-4-486419-77-6P, 4-[[4-Amino-5-(2,6yl)piperazino)methanone difluorobenzoyl)thiazol-2-yl]amino]-N-cyclohexyl-N-ethylbenzamide 486419-78-7P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(4ethoxyphenyl)benzamide 486419-79-8P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N, N-dibutylbenzamide 486419-80-1P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-((6-difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-((6-difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-((6-difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-((6-difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-((6-difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-((6-difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-((6-difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-((6-difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-((6-difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-((6-difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-((6-difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-((6-difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-((6-difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-((6-difluorobenzoyl)thiazol-2-yl]amino]-N-methyl-N-((6-difluorobenzoyl)thiazol-2-yl]aminol-2-yl-N-((6-difluorobenzoyl)thiazolmethylpyridin-2-yl)methyl)benzamide 486419-81-2P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(2-cyanoethyl)-N-((pyridin-3-486419-82-3P, 4-[[4-Amino-5-(2,6yl)methyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-((3,4-difluorophenyl)methyl)benzamid 486419-83-4P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-benzyl-2-hydroxyethyl)benzamide 486419-84-5P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2,5-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2,5-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2,5-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2,5-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2,5-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2,5-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2,5-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2,5-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2,5-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2,5-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2,5-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2,5-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2,5-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2,5-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(2,5-difluorobenzoyl)thiazol-2-yl]amino[-N-(2-(2,5-ddimethoxyphenyl)ethyl)benzamide 486419-85-6P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-cyclohexyl-N-(2-propenyl)benzamide 486419-86-7P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(4-486419-87-8P, 4-[[4-Amino-5-(2,6-(cyanomethyl)phenyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-propyl-N-(2-(pyridin-2-486419-88-9P, 4-[[4-Amino-5-(2,6vl)ethvl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-(2-((2-cyanoethyl)thio)phenyl)benzam 486419-89-0P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-486419-90-3P, 4-[[4-Amino-5-(2,6-N-((3-chlorophenyl)methyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-(3-phenylpropyl)benzamide 486419-91-4P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-486419-92-5P, 4-[[4-Amino-5-(2,6hydroxyethyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-((S,S)-1-(hydroxymethyl)-2methylbutyl)benzamide 486419-93-6P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(1-(hydroxymethyl)pentyl)benzamide 486419-94-7P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(4fluoro-3-methylphenyl)benzamide 486419-95-8P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(2-((butyl)(ethyl)amino)ethyl)benzam 486419-96-9P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-

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N-((2,3-dimethoxyphenyl)methyl)benzamide
                                                                                   486419-97-0P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-4-[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-4-[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-4-[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-4-[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-1-4-[4-Amino-6-(2,6-difluorobenzoyl)thiazol-2-yl]amino-((S)-(S)-(S)-(S)-(S)-(S)-(S)-(S)-
(hydroxymethyl)-3-methylbutyl)benzamide
                                                                               486419-98-1P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3-
hydroxypropyl)benzamide
                                                486419-99-2P, [4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]phenyl](4-(((isopropylamino)carbonyl)me
thyl)piperazino)methanone 486420-00-2P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-((3,4-dichlorophenyl)methyl)benzamid
        486420-01-3P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-
(2-(cyclohex-1-enyl)ethyl)benzamide 486420-02-4P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-((3,4-dimethylphenyl)methyl)benzamid
        486420-03-5P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-
(3-(bis(2-hydroxyethyl)amino)propyl)benzamide
                                                                                            486420-04-6P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-butyl-N-(4-
hydroxybutyl)benzamide
                                                486420-05-7P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-(4-chloro-2-
(hydroxymethyl)phenyl)benzamide
                                                                 486420-06-8P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(dioxolan-2-yl)ethyl)benzamide
486420-08-0P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-
(pyridin-3-yl)ethyl)benzamide
                                                           486420-10-4P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-(2,2-diethoxyethyl)benzamide
486420-12-6P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-
((3,4-dimethoxyphenyl)methyl)benzamide
                                                                                486420-13-7P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(trans-4-
                                                          486420-14-8P, 4-[[4-Amino-5-(2,6-
hydroxycyclohexyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-(3-fluoro-4-methoxyphenyl)benzamide
486420-15-9P, [4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-
yl]amino]phenyl](3-((acetyl)(methyl)amino)pyrrolidino)methanone
486420-16-0P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-
                                                                486420-17-1P, 4-[[4-Amino-5-(2,6-
(3-fluorophenyl)ethyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-(((1S,2R)-2-
                                                                        486420-18-2P, 4-[[4-Amino-5-(2,6-
hydroxycyclohexyl) methyl) benzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-benzyl-N-(4-hydroxybutyl)benzamide
486420-19-3P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(5-4)
                                                            486420-20-6P, 4-[[4-Amino-5-(2,6-
methylpyridin-2-yl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-(1-benzylpyrrolidin-3-yl)benzamide
486420-21-7P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(4-
(methoxycarbonyl) -2-methylphenyl)benzamide
                                                                                        486420-22-8P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(4-
                                                            486420-23-9P, 4-[[4-Amino-5-(2,6-
fluorophenyl)ethyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-propyl-N-(1-methylpropyl)benzamide
486420-24-0P, [4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-
yl]amino]phenyl](4-(3-(dimethylamino)propyl)piperazino)methanone
486420-25-1P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(6-
hydroxyhexyl)benzamide
                                               486420-26-2P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-(cyclohexylmethyl)-2-
                                                486420-27-3P, 4-[[4-Amino-5-(2,6-
hydroxyethyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-((3-methylphenyl)methyl)benzamide
486420-28-4P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)amino]-N-(2-yl)
(3,4-dimethoxyphenyl)ethyl)-N-methylbenzamide
                                                                                              486420-29-5P,
[4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]phenyl](4-(2-
                                                                     486420-30-8P, 4-[[4-Amino-5-(2,6-
methoxyethyl)piperazino)methanone
difluorobenzoyl)thiazol-2-yl]amino]-N-(3-((methyl)(phenyl)amino)propyl)ben
                  486420-31-9P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-
zamide
                                                                                           486420-32-0P
yl]amino]-N-(2-cyanoethyl)-N-propylbenzamide
486420-33-1P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-
                                                                             486420-34-2P, 4-[[4-Amino-5-(2,6-
 ((2-hydroxyethyl)thio)ethyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-((2,3-dihydro-1,4-benzodioxin-2-
yl)methyl)benzamide
                                          486420-35-3P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-(4-(trifluoromethyl)phenyl)benzamide
486420-36-4P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3-486420-36-4P)
 (1, 3, 4-oxadiazol-2-yl)phenyl)benzamide
                                                                              486420-37-5P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3-hydroxy-3-
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phenylpropyl) -N-methylbenzamide
                                486420-38-6P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-(3-(trifluoromethyl)phenyl)benzamide
486420-39-7P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-
(2,6-dichlorophenyl)ethyl)benzamide
                                     486420-40-0P, [4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]phenyl]((R)-3-
                                   486420-41-1P, [4-[[4-Amino-5-(2,6-
(acetylamino)pyrrolidino)methanone
difluorobenzoyl)thiazol-2-yl]amino]phenyl](4-(2-
(diethylamino)ethyl)piperazino)methanone
                                          486420-42-2P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((R)-2-yl)amino]
phenylpropyl)benzamide
                        486420-43-3P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-((1S,2R)-2-hydroxyindan-1-
              486420-44-4P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-
yl)benzamide
yl]amino]-N-(3-((trifluoromethyl)thio)phenyl)benzamide
                                                        486420-45-5P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3-
                         486420-46-6P, 4-[[4-Amino-5-(2,6-
hydroxyphenyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-benzyl-N-(2-hydroxyethyl)benzamide
486420-47-7P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-
                                 486420-48-8P, 4-[[4-Amino-5-(2,6-
(2-ethoxyphenyl)ethyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-benzylpyrrolidin-3-
              486420-49-9P, [4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-
yl)benzamide
yl]amino]phenyl](4-(2-(dimethylamino)ethyl)piperazino)methanone
486420-50-2P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-
((R)-1-((benzylthio)methyl)-2-hydroxyethyl)benzamide
                                                      486420-51-3P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((2-
aminophenyl)methyl)benzamide
                             .486420-52-4P, 4-[[4-Amino-5-(2,6-
486420-53-5P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(1-
(hydroxymethyl)-3-(methylthio)propyl)benzamide
                                                486420-54-6P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-(dioxolan-2-
yl)ethyl)-N-methylbenzamide
                             486420-55-7P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-cyclohexylethyl)benzamide
486420-56-8P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3-
isopropylphenyl)benzamide
                           486420-57-9P, [4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]phenyl](4-(hydroxymethyl)piperidino)met
        486420-58-0P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-
yl]amino]-N-((S)-2-hydroxy-2-phenylethyl)benzamide
                                                    486420-59-1P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(4,4-
                        486420-60-4P, 4-[[4-Amino-5-(2,6-
diethoxybutyl)benzamide
difluorobenzoy1)thiazol-2-yl]amino]-N-(5-methylisoxazol-3-yl)benzamide
486420-61-5P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(3-
                                  486420-62-6P, [4-[[4-Amino-5-(2,6-
chloro-4-hydroxyphenyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]phenyl][4-[2-(thien-2-
                              486420-63-7P, 4-[[4-Amino-5-(2,6-
yl)ethyl]piperazino]methanone
difluorobenzoyl)thiazol-2-yl]amino]-N-(2-hydroxy-5-methylphenyl)benzamide
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU
(Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study);
PREP (Preparation); USES (Uses)
   (drug candidate; preparation of thiazolylamino benzamide derivs. as
   modulators of cell proliferation and inhibitors of protein kinases)
486413-89-2P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-
((S)-pyrrolidin-2-ylmethyl)benzamide 486414-71-5P, 4-[[4-Amino-5-[1-(4-
methylpyridin-3-yl)methanoyl]thiazol-2-yl]amino]-N-((S)-1-methylpyrrolidin-
                      486415-22-9P, 4-[[4-Amino-5-(2-
2-ylmethyl)benzamide
methylsulfonylbenzoyl)thiazol-2-yl]amino]benzoic Acid
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
   (drug candidate; preparation of thiazolylamino benzamide derivs. as
   modulators of cell proliferation and inhibitors of protein kinases)
486413-85-8P
               486413-92-7P, 4-[(S)-4-Amino-5-(2,6-1)]
difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-Acetylpyrrolidin-2-
                    486413-94-9P 486413-96-1P, 4-[[4-Amino-5-(2,6-
ylmethyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-[2-(4-hydroxyphenyl)ethyl]benzamide
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486413-97-2P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2piperidin-1-ylethyl)benzamide 486413-98-3P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(4-dimethylaminophenyl)benzamide 486413-99-4P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,3-dihydrobenzo[1,4]dioxin-6-yl) benzamide 486414-01-1P, 4-[(4-Amino-5-[1-(2,6-difluorophenyl)methanoyl]thiazol-2-yl]amino]-N-(2methyl-2-methylaminopropyl)benzamide diacetate 486414-02-2P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(5-methoxy-2methylphenyl)benzamide 486414-03-3P 486414-04-4P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(4-morpholin-4-ylphenyl)benzamide 486414-05-5P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-486414-06-6P, 4-[[4-Amino-5-(2,6indan-2-ylbenzamide difluorobenzoyl)thiazol-2-yl]amino]-N-(2-diisopropylaminoethyl)benzamide 486414-08-8P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-[4-[acetyl(methyl)amino]phenyl]benzamide 486414-09-9P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(2-thiophen-2-ylethyl)benzamide 486414-10-2P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(pyridin-3-yl)benzamide 486414-11-3P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-(4-cyanomethylbenzyl)benzamide 486414-12-4P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2pyridin-4-ylethyl)benzamide 486414-13-5P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-((R)-1-methylpyrrolidin-3-486414-15-7P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2yl)benzamide yl]amino]-N-((S)-1-methylpyrrolidin-2-ylmethyl)benzamide Dihydrochloride 486414-20-4P, 4-[[4-Amino-5-(2,6-difluorobenzoy1)thiazol-2-486414-17-9P yl]amino]-N-(piperidin-2-ylmethyl)benzamide Trifluoroacetate 486414-22-6P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((R)-1-methylpyrrolidin-2-ylmethyl)benzamide 486414-23-7P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-pyrrolidin-1ylethyl)benzamide 486414-24-8P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-2-dimethylamino-1-methylethyl)-N-methylbenzamide 486414-26-0P, 4-[[4-Amino-5-(2,6-486414-28-2P, 4-[[4-Amino-5-(2,6-N-methylbenzamide difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-ethylpyrrolidin-2ylmethyl)benzamide 486414-29-3P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-[2-[(cyclopropylmethyl)methylamino]-486414-32-8P, 4-[[4-Amino-5-(2,6-2-methylpropyl]benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-((R)-2-dimethylamino-1methylethyl)benzamide Dihydrochloride 486414-36-2P, 4-[[4-Amino-5-[(2,6difluorophenyl)methanoyl]thiazol-2-yl]amino}-N-((S)-2-dimethylamino-1-486414-37-3P, 4-[[4-Amino-5-(2,6methylethyl)benzamide difluorobenzoyl)thiazol-2-yl]amino]-N-(2S-dimethylaminopropyl)benzamide 486414-40-8P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-methylpiperidin-2-ylmethyl)benzamide 486414-42-0P 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2Rdimethylaminopropyl)benzamide 486414-44-2P, 4-[[4-Amino-5-(2,6difluorobenzoyl)thiazol-2-yl]amino]-N-[2-(2,5-dihydropyrrol-1-486414-47-5P, 4-[[4-Amino-5-(2,6yl)ethyl]benzamide Dihydrochloride difluorobenzoyl)thiazol-2-yl]amino]-N-((R)-1-methyl-2-486414-48-6P (piperidino)ethyl)benzamide 486414-50-0P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((R)-1-486414-52-2P 486414-54-4P dimethylaminomethyl-2-methylpropyl)benzamide 486414-56-6P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-486414-55-5P yl]amino]-N-[2-(cis-2,6-dimethylpiperidin-1-yl)ethyl]benzamide 486414-57-7P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2methyl-2-piperidin-1-ylpropyl)benzamide 486414-58-8P, tetramethylpiperidin-1-yl)ethyl]benzamide 486414-59-9P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-[((2S,4R)-4-(2F,4hydroxy-1-methylpyrrolidin-2-yl)methyl]benzamide 486414-60-2P 486414-61-3P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2,2,5,5-tetramethylpyrrolidin-3-ylmethyl)benzamide Dihydrochloride

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486414-63-5P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-[2-
(cis-3,5-dimethylpiperazin-1-yl)ethyl]benzamide 486414-66-8P,
4-[[5-(1-Adamantan-1-ylmethanoyl)-4-aminothiazol-2-yl]amino]-N-(2-
dimethylaminoethyl)benzamide 486414-67-9P, 4-[[4-Amino-5-[1-(4-
methylpyridin-3-yl)methanoyl]thiazol-2-yl]amino]-N-(((S)-1-
methylpyrrolidin-2-yl)methyl)benzamide dihydrochloride 486414-72-6P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-dimethylamino-
1-methylethyl)-2-methoxybenzamide 486414-73-7P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-(2-dimethylamino-1,1-
dimethylethyl)benzamide Dihydrochloride
                                                                                  486414-74-8P,
4-[[4-Amino-5-[(3-methylthien-2-yl)carbonyl]thiazol-2-yl]amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((R)-2-yl)amino]-N-((
                                                                                486414-75-9P
(dimethylamino) -1-methylethyl)benzamide
                                                                                                              486414-82-8P
                            486414-88-4P
                                                           486414-91-9P
                                                                                         486414-95-3P,
486414-85-1P
4-[[4-Amino-5-(2-fluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-yl)
methylpyrrolidin-2-ylmethyl)benzamide bis(trifluoroacetate)
486414-97-5P, 4-[[4-Amino-5-(2,6-difluoro-4-methylbenzoyl)thiazol-2-
yl]amino]-N-((S)-1-methylpyrrolidin-2-ylmethyl)benzamide
                                                                                                                   486415-08-1P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-[(S)-1-((S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-1-(S)-(
methylpyrrolidin-2-yl)ethyl]benzamide
                                                                              486415-12-7P, 4-[[4-Amino-5-[1-(3-
methylthiophen-2-yl)methanoyl]thiazol-2-yl]amino]-N-((S)-1-
methylpyrrolidin-2-ylmethyl)benzamide
                                                                              486415-13-8P, 4-[[4-Amino-5-(2-
chloro-6-fluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-methylpyrrolidin-2-
                                         486415-16-1P, 4-[[5-(2-Acetylaminobenzoyl)-4-
ylmethyl)benzamide
aminothiazol-2-yl]amino]-N-((S)-1-methylpyrrolidin-2-ylmethyl)benzamide
486415-20-7P.
                             486415-24-1P
                                                          486415-28-5P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-N-(1-methylazetidin-3-
                                         486415-30-9P, 4-[[4-Amino-5-(2,6-
ylmethyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-(2-methyl-2-azabicyclo[2.2.1]hept-3-
                                                   486415-35-4P, 4-[[4-Amino-5-(2;6-
endo-ylmethyl)benzamide
difluorobenzoyl)-1,3-thiazol-2-yl]amino]-N-[[1-
(dimethylamino)cyclopentyl]methyl]benzamide
                                                                                         486415-36-5P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)-1,3-thiazol-2-yl]amino]-N-[[1-
(dimethylamino)cyclobutyl]methyl]benzamide
                                                                                        486415-39-8P,
4-[[4-Amino-5-(2,6-dichlorobenzoyl)thiazol-2-yl]amino]-N-
carbamoylmethylbenzamide
                                                    486415-40-1P, 4-[[4-Amino-5-(2,6-
dichlorobenzoyl)thiazol-2-yl]amino]-N-(2-hydroxyethyl)benzamide
486415-41-2P, 4-[[4-Amino-5-(2,6-dichlorobenzoyl)thiazol-2-yl]amino]-N-
                                                                 486415-42-3P, 4-[[4-Amino-5-(2,6-
(2,3-dihydroxypropyl)benzamide
dichlorobenzoyl)thiazol-2-yl]amino]-N-(2-dimethylaminoethyl)benzamide
486415-43-4P, 4-[[4-Amino-5-(2,6-dichlorobenzoyl)thiazol-2-yl]amino]-N-[2-
(2-hydroxyethoxy)ethyl]benzamide
                                                                     486415-46-7P, 4-[[4-Amino-5-(2,6-
difluorobenzoyl)thiazol-2-yl]amino]-2-chloro-N-(2-
                                                             486415-48-9P, 4-[[4-Amino-5-(2,6-
dimethylaminoethyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]-2-hydroxy-N-(2-
phenylaminoethyl) benzamide 486415-49-0P, 4-[4-Amino-5-(2,6-49-0P)]
difluorobenzoyl)thiazol-2-yl]amino]-N-(2-dimethylamino-1-methylethyl)-2-
                                      486415-52-5P, 5-[[4-Amino-5-(2,6-
hydroxybenzamide
difluorobenzoyl)thiazol-2-yl]amino]-2-(2-dimethylaminoethyl)isoindole-1,3-
                486415-56-9P, 3-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-
yl]amino]-N-(2-methylaminoethyl)benzamide
                                                                                       486420-65-9P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-
                                                                                  486420-66-0P,
(dimethylamino) -1-methylethyl) benzamide
dihydropyrrol-1-yl)ethyl)benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
      (drug candidate; preparation of thiazolylamino benzamide derivs. as
      modulators of cell proliferation and inhibitors of protein kinases)
                                                                       146279-88-1, Cdk2-cyclin A kinase
144378-32-5, Cyclin B-CDK1 kinase
149371-07-3, Cdk4 kinase-cyclin d complex
RL: BSU (Biological study, unclassified); BIOL (Biological study)
      (inhibitors; preparation of thiazolylamino benzamide derivs. as modulators
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ΙT

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of cell proliferation and inhibitors of protein kinases)
ΙT
     114051-78-4, LCK kinase 125149-26-0, FGF receptor kinase
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (preparation of thiazolylamino benzamide derivs. as modulators of cell
        proliferation and inhibitors of protein kinases)
ΙT
     55-81-2, (2-(4-Methoxyphenyl)ethyl)amine <math>61-54-1, (2-(Indol-3-1))
     y1)ethy1)amine 62-53-3, (Pheny1)amine, reactions 63-74-1,
     (4-(Aminosulfonyl)phenyl)amine 64-04-0, (2-Phenylethyl)amine
                                                                        75-31-0,
     (Isopropyl)amine, reactions 78-81-9, (2-Methylpropyl)amine
                                                                      78-96-6,
     (2-Hydroxypropyl)amine 87-25-2, (2-(Ethoxycarbonyl)phenyl)amine
     87-63-8, (2-Chloro-6-methylphenyl)amine 89-93-0, ((2-
     Methylphenyl)methyl)amine 89-97-4, ((2-Chlorophenyl)methyl)amine
     89-99-6, ((2-Fluorophenyl)methyl)amine 90-04-0, (2-Methoxyphenyl)amine
                                               94-09-7, (4-
     91-21-4, 1,2,3,4-Tetrahydroisoquinoline
     (Ethoxycarbonyl)phenyl)amine
                                    94-64-4, Methyl((2-
     chlorophenyl)methyl)amine 95-00-1, ((2,4-Dichlorophenyl)methyl)amine
     95-74-9, (3-Chloro-4-methylphenyl)amine 95-76-1, (3,4-
                           95-84-1, (2-Hydroxy-5-methylphenyl)amine
     Dichlorophenyl)amine
                                                                         96-20-8,
     (1-(Hydroxymethyl)propyl)amine 98-16-8, (3-(Trifluoromethyl)phenyl)amine
     99-03-6, (3-Acetylphenyl)amine 99-88-7, (4-Isopropylphenyl)amine
     99-92-3, (4-Acetylphenyl)amine 100-36-7, (2-(Diethylamino)ethyl)amine
     100-60-7, Methyl (cyclohexyl) amine 100-81-2, ((3-
     Methylphenyl)methyl)amine 100-82-3, ((3-Fluorophenyl)methyl)amine
     101-54-2, (4-(Phenylamino)phenyl)amine 102-48-7, ((3,4-Dimethyl)henyl)methyl)amine 102-49-8, ((3,4-Dichlorophenyl)methyl)amine
     102-97-6, Isopropyl(benzyl)amine 103-67-3 103-76-4,
     1-Piperazineethanol
                           104-10-9, (4-(2-Hydroxyethyl)phenyl)amine
     104-63-2, Benzyl(2-hydroxyethyl)amine 104-78-9, (3-
(Diethylamino)propyl)amine 104-79-0, Methyl(2-(diethylamino)ethyl)amine
     104-84-7, ((4-Methylphenyl)methyl)amine 104-86-9, ((4-
     Chlorophenyl) methyl) amine 104-94-9, (4-Methoxyphenyl) amine
                                                                      104-96-1,
     (4-(Methylthio)phenyl)amine 105-04-4, Ethyl(2-(diethylamino)ethyl)amine
     106-47-8, (4-Chlorophenyl)amine, reactions 106-49-0, (4-Methylphenyl)amine, reactions 107-11-9, (2-Propenyl)amine
                                                                        107-85-7,
     (3-Methylbutyl)amine
                            108-00-9, N, N-Dimethylethylenediamine
                                                                      108-09-8,
     (1,3-Dimethylbutyl)amine
                                108-42-9, (3-Chlorophenyl)amine
                                                                    108-44-1,
     (3-Methylphenyl)amine, reactions 108-69-0, (3,5-Dimethylphenyl)amine
     108-91-8, (Cyclohexyl) amine, reactions 109-01-3 109-55-7,
     (3-(Dimethylamino)propyl)amine 109-73-9, (Butyl)amine, reactions
     109-83-1, Methyl(2-hydroxyethyl)amine 109-85-3, (2-Methoxyethyl)amine
     109-89-7, Diethylamine, reactions 110-58-7, (Pentyl)amine 110-68-9,
     Methyl(butyl)amine 110-73-6 110-76-9, (2-Ethoxyethyl)amine
                                                                        110-91-8,
     Morpholine, reactions 111-75-1, 2-Hydroxyethyl(butyl)amine 111-92-2,
     Dibutylamine 111-95-5 120-20-7, (2-(3,4-Dimethoxyphenyl)ethyl)amine
     122-07-6, Methyl (2, 2-dimethoxyethyl) amine 122-80-5, (4-
     (Acetylamino) phenyl) amine 123-00-2, (3-(Morpholino) propyl) amine
     123-30-8, (4-Hydroxyphenyl)amine 123-75-1, Pyrrolidine, reactions 123-90-0, Thiomorpholine 124-02-7, Diallylamine 134-20-3,
     (2-(Methoxycarbonyl)phenyl)amine 140-80-7, (4-(Diethylamino)-1-
     methylbutyl)amine 141-43-5, (2-Hydroxyethyl)amine, reactions 141-91-3,
     2,6-Dimethylmorpholine 142-25-6, Methyl(2-(dimethylamino)ethyl)amine
                              156-41-2, (2-(4-Chlorophenyl)ethyl)amine
     142-84-7, Dipropylamine
     156-43-4, (4-Ethoxyphenyl)amine
                                       156-87-6, (3-Hydroxypropyl)amine
     177-11-7, 4,4-(Ethylenedioxy)piperidine 348-54-9, (2-Fluorophenyl)amine
     349-65-5, (2-Methoxy-5-(trifluoromethyl)phenyl)amine 366-99-4,
     (3-Fluoro-4-methoxyphenyl)amine 369-68-6, (3-
                                            372-19-0, (3-Fluorophenyl)amine
     ((Trifluoromethyl)thio)phenyl)amine
     372-39-4, (3,5-Difluorophenyl)amine
                                            372-66-7, (5-Hydroxy-1,5-
                           404-70-6, (2-(3-Fluorophenyl)ethyl)amine 452-69-7,
     dimethylhexyl)amine
     (4-Fluoro-3-methylphenyl)amine 452-80-2, (2-Fluoro-4-methylphenyl)amine
     455-14-1, (4-(Trifluoromethyl)phenyl)amine 461-82-5,
     (4-(Trifluoromethoxy)phenyl)amine 502-83-0, (1-(Hydroxymethyl)-3-
     (methylthio)propyl)amine 504-78-9, Thiazolidine
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(Benzothiazol-6-yl)amine 543-82-8, (1,5-Dimethylhexyl) amine 582-22-9, (2-Phenylpropyl)amine (Quinolin-6-yl)amine 582-33-2, (3-(Ethoxycarbonyl)phenyl)amine 591-19-5, (3-Bromophenyl) amine 591-27-5, (3-Hydroxyphenyl)amine 598-74-3, (1,2-Dimethylpropyl)amine 611-34-7, (Quinolin-5-yl)amine 615-65-6, (2-Chloro-4-methylphenyl)amine 616-30-8, (2,3-Dihydroxypropyl)amine 617-89-0, ((Furan-2-yl)methyl)amine 618-36-0, (1-Phenylethyl) amine 619-45-4, (4-(Methoxycarbonyl) phenyl) amine 621-33-0, (3-Ethoxyphenyl) amine 622-26-4, 4-(2-Hydroxyethyl)piperidine 624-78-2, Methyl(ethyl)amine 625-43-4, Methyl(2-methylpropyl)amine 627-37-2 643-28-7, (2-Isopropylphenyl)amine 645-36-3, (2,2-Diethoxyethyl)amine 693-05-0, 693-51-6, Butyl(2-Cyanoethyl)amine amine 765-30-0, (Cyclopropyl)amine Methyl(2-cyanoethyl)amine 706-03-6, (2-Cyanoethyl) (Phenylmethyl) amine 877-96-3, 4-(3-(Dimethylamino)propyl)piperazine 929-06-6, (2-(2-Hydroxyethoxy)ethyl)amine 1001-53-2, (2-(Acetylamino)ethyl)amine 1003-03-8, (Cyclopentyl)amine 1008-91-9, 4-(Pyridin-4-yl)piperazine 1072-67-9, (5-Methylisoxazol-3-yl)amine 1125-60-6, (Isoquinolin-5yl)amine 1126-09-6, 4-(Ethoxycarbonyl)piperidine 1484-84-0, 1535-73-5, (3-(Trifluoromethoxy)phenyl)amin 2-(2-Hydroxyethyl)piperidine 1583-88-6, (2-(4-Fluorophenyl)ethyl)amine 1603-41-4, (5-Methylpyridin-2-yl)amine 1664-40-0, N-Phenylethylenediamine 1687-53-2, (3-Hydroxy-4-methoxyphenyl)amine 1750-42-1, 1758-46-9, (2-Phenoxyethyl)amine 1857-20-1, (Isoxazol-3-yl)amine Methyl(((dimethylamino)carbonyl)methyl)amine 1938-58-5, (6-(Dimethylamino)hexyl) amine 2026-48-4, ((S)-1-(Hydroxymethyl)-2-(Hydroxymethyl)methylpropyl)amine 2038-03-1, (2-(Morpholino)ethyl)amine 2038-57-5, 2039-67-0, (2-(3-Methoxyphenyl)ethyl)amine(3-Phenylpropyl)amine 2045-79-6, (2-(2-Methoxyphenyl)ethyl)amine 2185-02-6, 2237-30-1, (3-Cyanophenyl) amine ((S)-2-Oxotetrahydrofuran-3-yl)amine 2393-23-9, ((4-Methoxyphenyl)methyl)amine 2403-22-7, Butyl(benzyl)amine 2454-37-7, (3-(1-2439-56-7, Methyl (cyclopentyl) amine Hydroxyethyl)phenyl)amine 2508-29-4, (5-Hydroxypentyl)amine 2516-47-4, (Cyclopropylmethyl)amine 2555-03-5, Methyl(2-methyl-2-propenyl)amine 2620-50-0, ((Benzodioxol-5-yl)methyl)amine 2627-86-3, ((S)-1-Phenylethyl)amine 2696-84-6, (4-Propylphenyl)amine 2706-56-1, (2-(Pyridin-2-yl)ethyl)amine 2735-04-8, (2,4-Dimethoxyphenyl)amine 2740-83-2, ((3-(Trifluoromethyl)phenyl)methyl)amine 2759-28-6, 4-Benzylpiperazine 2835-68-9, (4-(Aminocarbonyl)phenyl)amine enyl)amine 2899-37-8, ((S)-1-(Hydroxymethyl)-2906-12-9, (3-Isopropoxypropyl)amine 2878-14-0, (2-Methyl-2-propenyl)amine 3-(methylthio)propyl)amine 2987-53-3, (2-(Methylthio)phenyl)amine 2941-20-0, (1-Phenylpropyl)amine 3010-04-6, (Cyanomethyl) (butyl) amine 3048-01-9, ((2-3182-95-4, ((S)-1-Benzyl-2-(Trifluoromethyl)phenyl)methyl)amine hydroxyethyl)amine 3218-02-8, Cyclohexanemethanamine 3261-62-9, (2-(4-Methylphenyl)ethyl)amine 3300-51-4, ((4-3367-95-1, 3-(Trifluoromethyl)phenyl)methyl)amine 3399-73-3, (2-(Cyclohex-1-((Diethylamino)carbonyl)piperidine enyl)ethyl)amine 3490-06-0, Methyl(2-(3,4-dimethoxyphenyl)ethyl)amine 3529-08-6, (3-(Piperidino)propyl)amine 3529-10-0, (4-3544-24-9, (3-(Aminocarbonyl)phenyl)amine (Dimethylamino)butyl)amine 3600-86-0, (2-(2,5-3544-25-0, (4-(Cyanomethyl)phenyl)amine3644-18-6, 4-(2-Dimethoxyphenyl)ethyl)amine 3731-51-9, ((Pyridin-2-yl)methyl)amine (Dimethylamino)ethyl)piperazine 3731-52-0, ((Pyridin-3-yl)methyl)amine 3731-53-1, ((Pyridin-4-3789-59-1, ((S)-1-Phenylpropyl)amine 3886-69-9, yl)methyl)amine 3964-52-1, (3-Chloro-4-hydroxyphenyl)amine ((R)-1-Phenylethyl)amine 4038-92-0, 4-(2-(Diethylamino)ethyl)piperazine 4048-33-3, 4138-26-5, 3-(Aminocarbonyl)piperidine (6-Hydroxyhexyl)amine 4141-08-6, (2-((Methylamino)carbonyl)phenyl)amine 4152-90-3, 4327-52-0, (2-((2-((3-Chlorophenyl)methyl)amine 4344-55-2, (4-Butoxyphenyl)amine Cyanoethyl)thio)phenyl)amine 4379-15-1, (3-Hydroxy-1-isopropylpropyl)amine 4393-09-3, ((2,3-Dimethoxyphenyl)methyl)amine 4403-69-4, ((2-

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Aminophenyl) methyl) amine
                          4442-59-5, ((2,3-Dihydro-1,4-benzodioxin-2-
yl)methyl)amine 4518-10-9, (3-(Methoxycarbonyl)phenyl)amine
(4-Isopropyl-3-methylphenyl)amine
                                  4543-95-7, Butyl(4-hydroxybutyl)amine
4543-96-8, Methyl (3-(dimethylamino)propyl)amine
                                                 4606-65-9,
3-(Hydroxymethyl)piperidine
                             4726-85-6, (2-(Aminocarbonyl)ethyl)amine
4753-75-7, Methyl((furan-2-yl)methyl)amine
                                            4795-29-3,
                                     4985-85-7, (3-(Bis(2-
((Tetrahydrofuran-2-yl)methyl)amine
                                 5036-48-6, (3-(Imidazol-1-
hydroxyethyl)amino)propyl)amine
yl)propyl)amine
                 5048-82-8, (4-(2-(Ethoxycarbonyl)ethenyl)phenyl)amine
5071-96-5, ((3-Methoxyphenyl)methyl)amine 5192-03-0, (Indol-5-yl)amine
5303-65-1, (2-(Ethoxycarbonyl)-1-methylethyl)amine
                                                    5308-25-8
5317-32-8, 4-(3-Hydroxypropyl)piperazine
                                          5332-73-0, (3-
                    5339-85-5, (2-(2-Hydroxyethyl)phenyl)amine
Methoxypropyl)amine
5344-90-1, (2-(Hydroxymethyl)phenyl)amine 5345-54-0,
(3-Chloro-4-methoxyphenyl)amine 5350-93-6, (6-Chloropyridin-3-yl)amine
5369-16-4, (3-Isopropylphenyl)amine
                                     5378-35-8, (3-(1,3,4-0xadiazol-2-
                 5382-16-1, 4-Hydroxypiperidine
                                                  5400-88-4,
vl)phenyl)amine
                               5438-70-0, (4-
(4-tert-Butylcyclohexyl)amine
                                                   5459-95-0,
((Ethoxycarbonyl)methyl)phenyl)amine
                                      5459-93-8
                                     5473-12-1,
Methyl(3-(diethylamino)propyl)amine
                                      5585-33-1, (2-
Methyl((methoxycarbonyl)methyl)amine
Morpholinophenyl)amine 5616-32-0, Methyl(cyanomethyl)amine
                                                              5638-76-6,
Methyl(2-(pyridin-2-yl)ethyl)amine
                                   5754-35-8, (2-(Dioxolan-2-
yl)ethyl)amine
                5763-61-1, ((3,4-Dimethoxyphenyl)methyl)amine
RL: CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial
study); RACT (Reactant or reagent)
   (preparation of thiazolylamino benzamide derivs. as modulators of cell
   proliferation and inhibitors of protein kinases)
                                               5913-13-3,
5856-63-3, ((R)-1-(Hydroxymethyl)propyl)amine
((R)-1-Cyclohexylethyl)amine
                              6168-72-5, (2-Hydroxy-1-methylethyl) amine
6281-42-1, (2-(2-Oxoimidazolidino)ethyl)amine
                                               6304-26-3,
                                    6315-89-5, (3,4-Dimethoxyphenyl)amine
Ethyl(2-(pyridin-2-yl)ethyl)amine
6338-70-1, (1,1-Dioxotetrahydrothiophen-3-yl)amine
                                                     6346-09-4,
                         6457-49-4, 4-(Hydroxymethyl)piperidine
(4,4-Diethoxybutyl)amine
6589-55-5, Methyl(2-hydroxy-2-phenylethyl)amine
                                                 6628-00-8,
Cyclohexyl(2-propenyl)amine 6628-77-9, (6-Methoxypyridin-3-yl)amine
6791-49-7, ((S)-1-(Aminocarbonyl)-2-hydroxyethyl)amine
                                                         6850-57-3,
                                6859-99-0, 3-Hydroxypiperidine
((2-Methoxyphenyl)methyl)amine
6950-99-8, ((Pyridin-2-yl)methyl)(3-hydroxypropyl)amine
                                                         6971-57-9,
Methyl((6-methylpyridin-2-yl)methyl)amine
                                           7149-75-9,
                                7249-87-8, Propyl(2-cyanoethyl)amine
(4-Chloro-3-methylphenyl)amine
7324-05-2, ((S)-1-(Aminocarbonyl)ethyl)amine
                                              7533-40-6,
((S)-1-(Hydroxymethyl)-3-methylbutyl) amine 7568-93-6,
(2-Hydroxy-2-phenylethyl)amine
                               7663-77-6, (3-(2-
Oxopyrrolidino)propyl)amine
                             7755-92-2, 4-Formylpiperazine
                                                              10065-72-2,
((S)-1-Methyl-2-methoxy-2-oxoethyl)amine
                                          10272-07-8,
(3,5-Dimethoxyphenyl) amine 10277-74-4, ((R)-Indan-1-yl) amine
10541-69-2, ((2,5-Dichlorophenyl)methyl)amine
                                              10593-85-8,
(2-0xotetrahydrothiophen-3-yl)amine 13078-80-3, (2-(2-
                          13214-66-9, (4-Phenylbutyl) amine 13258-63-4,
Chlorophenyl)ethyl)amine
                               13325-10-5, (4-Hydroxybutyl)amine
(2-(Pyridin-4-yl)ethyl)amine
13472-00-9, (2-(4-Aminophenyl)ethyl)amine
                                          13484-40-7,
                               13889-98-0, 4-Acetylpiperazine
4-(2-Methoxyethyl)piperazine
                               13961-36-9, 4-(2-Propenyl)piperazine
13952-84-6, (sec-Butyl)amine
14003-16-8, ((5-Methylfuran-2-yl)methyl)amine 14268-66-7,
(Benzodioxol-5-yl)amine
                        14321-27-8, Ethyl(benzyl)amine
                                                         14572-89-5,
                                 14573-23-0, (2-(2,6-
(4-(1-Hydroxyethyl)phenyl)amine
                             15205-11-5, ((2-Chloro-4-
Dichlorophenyl)ethyl)amine
                            15404-06-5, (2-((Butyl)(ethyl)amino)ethyl)amin
fluorophenyl)methyl)amine
    15901-42-5, (3,3,5-Trimethylcyclohexyl)amine
                                                 16369-21-4,
                             16397-19-6, (1-(Hydroxymethyl)pentyl)amine
Propyl(2-hydroxyethyl)amine
16452-01-0, (3-Methoxy-4-methylphenyl)amine 17430-98-7,
((S)-1-Cyclohexylethyl)amine
                             17481-27-5, (3-Amino-4-methoxybenzoyl)amine
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18471-40-4, (1-Benzylpyrrolidin-3-yl)amine
                                             18595-14-7,
(4-(Methoxycarbonyl)-2-methylphenyl)amine
                                             18638-99-8,
((3,4,5-Trimethoxyphenyl)methyl)amine
                                       19248-13-6, (2-((Ethyl)(3-
methylphenyl)amino)ethyl)amine
                                 19764-58-0, (2-
                             20173-04-0, Methyl((pyridin-3-
(Dimethylamino)propyl)amine
yl)methyl)amine
                  20173-24-4, (2-(Pyridin-3-yl)ethyl)amine
                                                             20503-40-6,
                                     20781-20-8, ((2,4-
(1,1-Dioxobenzothiophen-6-yl)amine
Dimethoxyphenyl) methyl) amine 20989-17-7, ((S)-2-Hydroxy-1-
                    21581-45-3, (2-(3,4-Dichlorophenyl)ethyl)amine
phenylethyl)amine
22094-62-8, (2,3-Dihydro-1,1,3-trioxobenzoisothiazol-6-yl)amine
22374-89-6, (1-Methyl-3-phenylpropyl)amine 22483-09-6,
(2,2-Dimethoxyethyl)amine 23159-07-1, (3-(Pyrrolidino)propyl)amine
24304-84-5, (2-((2-Hydroxyethyl)thio)ethyl)amine
                                                   24313-88-0,
(3,4,5-Trimethoxypheny1) amine 24629-25-2, ((S,S)-1-(Hydroxymethy1)-2-
methylbutyl)amine
                   25560-00-3, (3-(2-Methylpiperidino)propyl)amine
26116-12-1, ((1-Ethylpyrrolidin-2-yl)methyl)amine
                                                     26389-60-6,
Propyl(cyclopropylmethyl)amine 26734-09-8, (3-Hydroxy-2,2-
dimethylpropyl) amine 27298-98-2, ((S)-1-(4-Methylphenyl) ethyl) amine
27489-62-9, (trans-4-Hydroxycyclohexyl)amine 27492-84-8,
4-Amino-2-methoxybenzoic acid methyl ester 28163-64-6,
((R)-2-Phenylpropyl)amine
                            28292-42-4, (1-Ethylpentyl)amine 29602-39-9,
(2-((5-Nitropyridin-2-yl)amino)ethyl)amine
                                             31252-42-3,
4-Benzylpiperidine 32231-06-4, 4-((Benzodioxol-5-yl)methyl)piperazine
33194-35-3, ((S)-1-((Methylamino)carbonyl)ethyl)amine 33403-97-3,
Ethyl((pyridin-4-yl)methyl)amine
                                  33611-48-2, ((Pyridin-3-yl)methyl)(2-
cyanoethyl)amine 34698-41-4, (Indan-1-yl)amine
                                                    34803-66-2
                                                  35016-37-6,
34967-24-3, ((3,5-Dimethoxyphenyl)methyl)amine
                                                       35161-71-8,
Methyl((S)-1-(methoxycarbonyl)-2-methylpropyl)amine
                          35320-23-1, ((R)-2-Hydroxy-1-methylethyl)amine aperidine 35947-10-5, 3-Methyl-4-(3-
Methyl(2-propynyl)amine
35794-11-7, 3,5-Dimethylpiperidine
methylphenyl)piperazine 36489-03-9, (2-Ethylthioethyl)amine
37143-54-7, (2-Methoxy-1-methylethyl)amine
                                              37585-25-4,
(4-Chloro-2-(hydroxymethyl)phenyl)amine 37806-29-4, ((2-
                            38212-30-5, 4-(4-Methoxyphenyl)piperazine
Ethoxyphenyl)methyl)amine
38256-93-8, Methyl(2-methoxyethyl)amine
                                           39190-67-5, Propyl(sec-
butyl)amine
              39216-86-9, Ethyl(4-hydroxybutyl)amine
                                                        39226-95-4,
((2,3-Dichlorophenyl)methyl)amine
                                    39546-32-2, 4-
(Aminocarbonyl)piperidine
                           39590-27-7, (2-(2-Ethoxyphenyl)ethyl)amine
39890-42-1, 4-(((Isopropylamino)carbonyl)methyl)piperazine
((4-\text{tert-Butylphenyl})\text{methyl}) amine 40137-22-2, Methyl(2,3-
                        40172-95-0, 4-((Furan-2-yl)carbonyl)piperazine
dihydroxypropyl)amine
                                  40807-61-2, 4-Hydroxy-4-
40499-83-0, 3-Hydroxypyrrolidine
                   42142-52-9, Methyl(3-hydroxy-3-phenylpropyl)amine
phenylpiperidine
42185-03-5, (2-Propoxyethyl)amine 50541-93-0, (1-Benzylpiperyl)amine 51387-90-7, (2-(1-Methylpyrrolidin-2-yl)ethyl)amine
                                    50541-93-0, (1-Benzylpiperidin-4-
51586-20-0, ((2,3-Dimethylphenyl)methyl)amine 51744-82-2,
((R)-2-Oxotetrahydrofuran-3-yl)amine
                                       52516-13-9, (2-(2,4-
                             52516-30-0, (2-(3-
Dichlorophenyl) ethyl) amine
(Trifluoromethyl)phenyl)ethyl)amine 52721-69-4, (2-(2-
Fluorophenyl)ethyl)amine 53485-07-7, (3-((Methyl)(phenyl)amino)propyl)am
      55496-55-4, Methyl(2-(pyridin-4-yl)ethyl)amine
                                                        55496-57-6,
                                     55755-16-3,
Propyl(2-(pyridin-2-yl)ethyl)amine
                             56613-80-0, ((R)-2-Hydroxy-1-
Methyl(2-phenylethyl)amine
phenylethyl)amine 56613-81-1, ((S)-2-Hydroxy-2-phenylethyl)amine 57366-77-5, Methyl((dioxolan-2-yl)methyl)amine 58859-46-4,
(1-(Ethoxycarbonyl)piperidin-4-yl)amine
                                          59578-63-1, Benzyl (4-
                     61341-86-4, ((S)-Indan-1-yl)amine 63448-63-5,
hydroxybutyl)amine
(1-(Methoxymethyl)propyl)amine 63493-28-7, (1-Methylbutyl)amine
67515-74-6, ((4-Fluoro-3-(trifluoromethyl)phenyl)methyl)amine
67952-93-6, ((3-Chloro-4-methylphenyl)methyl)amine
                                                       70180-92-6,
                                     71172-58-2
                                                   72235-52-0,
(1,2-Diethylpyrazolidin-4-yl)amine
                                     72235-53-1, ((3,4-
((2,4-Difluorophenyl)methyl)amine
Difluorophenyl)methyl)amine 79286-74-1, 3-(Acetylamino)pyrrolidine
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79286-87-6, 3-((Acetyl)(methyl)amino)pyrrolidine
                                                   81731-43-3,
(2-Isopropoxyethyl)amine 85118-06-5, ((2,5-Difluorophenyl)methyl)amine
85803-43-6, ((R)-1-((Benzylthio)methyl)-2-hydroxyethyl)amine
((Tetrahydrofuran-2-yl)methyl)(2-cyanoethyl)amine
                                                    93919-56-3,
((4-(Trifluoromethoxy)phenyl)methyl)amine
                                          114715-38-7,
((S)-1-Benzylpyrrolidin-3-yl)amine
                                    114715-39-8, ((R)-1-Benzylpyrrolidin-
             121180-51-6, (4-(1,2,3-Thiadiazol-4-yl)phenyl)amine
3-yl)amine
             131288-67-0, ((S)-1-(Cyclohexylmethyl)-2-hydroxyethyl)amine
126456-43-7
131900-62-4, (R)-3-(Acetylamino)pyrrolidine
                                             132883-44-4,
(S)-3-(Dimethylamino)pyrrolidine
                                  132958-72-6, (R)-3-
                             133269-86-0, (((1S,2R)-2-
(Dimethylamino)pyrrolidine
Hydroxycyclohexyl) methyl) amine
                                142753-10-4, Methyl(2-(dioxolan-2-
yl)ethyl)amine
                157837-31-5, (3-(0xazol-5-yl)phenyl)amine
                                                             175201-90-8,
(3-(2-Methylpyrimidin-4-yl)phenyl) amine 261633-75-4,
                                                  461046-73-1,
(2-(2,3-Dihydro-1,4-benzodioxin-5-yl)ethyl)amine
4-(2-(Thien-2-yl)ethyl)piperazine
RL: CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial
study); RACT (Reactant or reagent)
   (preparation of thiazolylamino benzamide derivs. as modulators of cell
   proliferation and inhibitors of protein kinases)
486413-81-4P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-
yl]amino]benzoic Acid
RL: CRT (Combinatorial reactant); RCT (Reactant); SPN (Synthetic
preparation); CMBI (Combinatorial study); PREP (Preparation); RACT
(Reactant or reagent)
   (preparation of thiazolylamino benzamide derivs. as modulators of cell
   proliferation and inhibitors of protein kinases)
75-77-4, Chlorotrimethylsilane, reactions
                                            75-86-5, 2-Hydroxy-2-
                     108-15-6, N1, N1-Dimethylpropane-1, 2-diamine
methylpropionitrile
109-96-6, 2,5-Dihydro-1H-pyrrole
                                  110-89-4, Piperidine, reactions
133-11-9, 4-Amino-2-hydroxybenzoic acid phenyl ester
                                                     461-96-1,
                            551-93-9, 2'-Aminoacetophenone 766-17-6,
1-Bromo-3,5-difluorobenzene
                             768-66-1, 2,2,6,6-Tetramethylpiperidine
cis-2,6-Dimethylpiperidine
1142-20-7, 2S-Benzyloxycarbonylaminopropionic acid 1205-06-7,
4-Ethoxycarbonylphenyl isothiocyanate 2273-39-4, 2-Methyl-2-
                         2457-76-3, 4-Amino-2-chlorobenzoic acid
methylaminopropionitrile
3317-61-1, 5,5-Dimethyl-1-pyrroline N-oxide
                                              3430-22-6,
                          4530-20-5, N-tert-Butoxycarbonylglycine
3-Bromo-4-methylpyridine
5122-82-7, 1-Adamantyl bromomethyl ketone
                                           5466-84-2, 4-Nitrophthalic
          5739-10-6, 2-\text{Imidazol}-1-\text{ylethylamine}
                                                  7764-95-6,
anhydride
                                           10200-59-6,
2R-tert-Butoxycarbonylaminopropionic acid
                         13504-85-3, (2S,4R)-4-Hydroxypyrrolidine-1,2-
2-Thiazolecarboxaldehyde
                                  13670-99-0, 2',6'-Difluoroacetophenone
dicarboxylic acid 1-benzyl ester
13750-81-7, 1-Methyl-2-imidazolecarboxaldehyde 15761-38-3,
                                   18144-47-3, tert-Butyl 4-aminobenzoate
N-(tert-Butoxycarbonyl)-L-alanine
18977-45-2, (Cyclopropylmethyl) methylamine
                                             21655-48-1,
                            22795-99-9, S-(-)-2-Aminomethyl-1-
cis-3,5-Dimethylpiperazine
                   22838-58-0, N-tert-Butoxycarbonyl D-valine
ethylpyrrolidine
26250-84-0, (S)-(-)-1-(tert-Butoxycarbonyl)-2-piperidinecarboxylic acid
26607-51-2, N-Benzyloxycarbonyl-D-alanine
                                            33208-99-0,
(S)-2-Aminopropionamide hydrochloride 35320-22-0
                                                     36476-78-5,
Azetidine-3-carboxylic acid 40637-81-8, 1-Methylimidazole-5-carboxamide
54606-49-4, 3-Aminomethyl-2,2,5,5-tetramethyl-1-pyrrolidinyloxy
59531-86-1, D-Alanine tert-butyl ester hydrochloride
                                                       62466-11-9,
2-Bromoacetyl-3-methylthiophene
                                 63399-73-5, (S)-2-Methylpyrrolidine-2-
carboxylic acid hydrobromide
                              66411-53-8, [((R)-1-Methylpyrrolidin-2-
yl)methyl]amine
                 66411-54-9, [((S)-1-Methylpyrrolidin-2-yl)methyl]amine
76936-44-2, 2,N2,N2-Trimethylpropane-1,2-diamine 81547-72-0,
2-Bromo-2', 6'-dichloroacetophenone 89379-40-8, 2,N1,N1-Trimethylpropane-
              92146-82-2, tert-Butyl 3-aminobenzoate
                                                       97674-02-7,
1.2-diamine
Tributyl(1-ethyloxyvinyl)stannane
                                  119020-01-8, (S)-2-
Aminomethylpyrrolidine-1-carboxylic acid tert-butyl ester
                                                            122536-77-0,
                            152294-81-0, 5-Amino-2-(2-
3R-(t-Boc-amino)pyrrolidine
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dimethylaminoethyl)isoindole-1,3-dione 164642-21-1, 1-(Aminomethyl)-N,N-
                               166735-47-3, 3-endo-2-Azabicyclo[2.2.1]hept-5-
     dimethylcyclopentanamine
     ene-3-carboxylic acid ethyl ester
                                         176445-78-6, 1-(Aminomethyl)-N, N-
     dimethylcyclobutanamine
                               243983-21-3, (S) -2-[(S)-1-
     (Benzylhydroxyamino)ethyl]pyrrolidine-1-carboxylic acid tert-butyl ester
     370069-31-1, 2-Aminomethylpiperidine-1-carboxylic acid tert-butyl ester
     486415-11-6
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of thiazolylamino benzamide derivs. as modulators of cell
        proliferation and inhibitors of protein kinases)
IT
     655-15-2P, 2-Bromo-2'-fluoroacetophenone
                                                828-55-7P, 1-(2-Aminoethyl)-
     2,2,6,6-tetramethylpiperidine
                                     1788-35-8P, cis-1-(2-Aminoethyl)-2,6-
                          3323-76-0P, 1-(2-Methanesulfonylphenyl)ethanone
     dimethylpiperidine
     4688-64-6P, N-[2-(2-Bromoacetyl)phenyl]acetamide
                                                         5234-26-4P,
                                   20062-62-8P, 1-Methyl-1H-imidazole-2-
     N-(2-Acetylphenyl)acetamide
                             51227-30-6P, 1-(4-Methylpyridin-3-yl)ethanone
     carboxaldehyde oxime
     54151-73-4P, 1-(2-Amino-1,1-dimethylethyl)piperidine
                                                             55661-33-1P,
                                 56159-89-8P, 2-Bromo-2',6'-
     C-Thiazol-2-ylmethylamine
                            78058-41-0P, (S)-2-Carbamoylpiperidine-1-carboxylic
     difluoroacetophenone
                             82105-48-4P, (S)-N, N-Dimethylpropane-1, 2-diamine
     acid tert-butyl ester
     dihydrochloride
                       96807-37-3P, 2-(2-Dimethylaminoethyl)-5-nitroisoindole-
                 99513-18-5P, 2-Bromo-1-(2-methanesulfonylphenyl)ethanone
     1,3-dione
     114358-07-5P, (4R,2S)-2-Carbamoyl-4-hydroxypyrrolidine-1-carboxylic Acid
                    116577-09-4P, 2,N2-Dimethylpropane-1,2-diamine
     Benzyl Ester
     124312-73-8P, C-(1-Methyl-1H-imidazol-2-yl)methylamine
                                                                125218-78-2P,
     ((S)-1-Dimethylcarbamoylethyl)carbamic acid tert-butyl ester
     129993-47-1P, 1-Methyl-1H-imidazole-4-carboxylic acid amide
     134618-04-5P, (5S,3R)-5-Aminomethyl-1-methylpyrrolidin-3-ol 157359-99-4P, 2-Bromo-1-(2-chloro-6-fluorophenyl)ethanone
                                                                     142253-55-2P
                                                                  184910-20-1P,
     (4-Bromo-2,6-difluorophenyl)trimethylsilane
                                                    220594-95-6P,
     5-Aminomethyl-2,2-dimethylpyrrolidin-1-ol
                                                  223786-78-5P,
     4-[[4-Amino-5-(2,6-dichlorobenzoyl)thiazol-2-yl]amino]benzoic acid
     300578-46-5P, 2-(2,5-Dihydropyrrol-1-yl)ethylamine Dihydrochloride
     346691-01-8P, ((R)-1-Methylpyrrolidin-3-yl)carbamic acid tert-butyl ester 352439-94-2P, 2-Hydroxy-4-isothiocyanato-benzoic Acid Phenyl Ester
     403712-75-4P, (R)-N,N-Dimethylpropane-1,2-diamine dihydrochloride 435273-53-3P, 2-Bromo-1-(4-methylpyridin-3-yl)ethanone Hydrobromide
     486413-80-3P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-
     yl]amino]benzoic acid Ethyl Ester
                                          486413-90-5P, 2S-[[[1-[4-[[4-Amino-5-
     [1-(2,6-difluorophenyl)methanoyl]thiazol-2-yl]amino]phenyl]methanoyl]amino
     ]methyl]pyrrolidine-1-carboxylic acid tert-butyl ester
                                                                486414-14-6P,
     (R)-1-Methylpyrrolidin-3-ylamine trifluoroacetic acid salt
                                                                    486414-16-8P,
     4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-((S)-1-
                                              486414-18-0P, (S)-1-
     methylpyrrolidin-2-ylmethyl)benzamide
     Methylpyrrolidin-3-ylamine trifluoroacetic acid salt
                                                              486414-21-5P,
     yl]amino]phenyl]methanoyl]amino]methyl]piperidine-1-carboxylic acid
                         486414-25-9P, (S)-N1,N1,N2-Trimethylpropane-1,2-diamine
     tert-butyl ester
     486414-27-1P, (R)-N1,N1,N2-Trimethylpropane-1,2-diamine
                                                                 486414-30-6P,
                                                                  486414-31-7P,
     2-[(Cyclopropylmethyl)methylamino]-2-methylpropionitrile
                                                               486414-33-9P,
     N2-Cyclopropylmethyl-2, N2-dimethylpropane-1, 2-diamine
     (1R-Dimethylcarbamoylethyl)carbamic acid Benzyl Ester
                                                               486414-34-0P,
     ((R)-2-Dimethylamino-1-methylethyl)carbamic acid Benzyl Ester
     486414-35-1P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-
     ((R)-2-dimethylamino-1-methylethyl)benzamide
                                                      486414-38-4P,
                                                       486414-39-5P,
     (S)-2-Dimethylaminopropionamide Hydrochloride
     (S)-N2, N2-Dimethylpropane-1, 2-diamine Dihydrochloride
                                                               486414-41-9P,
     [((S)-1-Methylpiperidin-2-yl)methyl]amine
                                                   486414-43-1P,
                                                             486414-45-3P,
     (R)-N2, N2-Dimethylpropane-1, 2-diamine hydrochloride
     [2-(2,5-Dihydropyrrol-1-yl)-2-oxoethyl]carbamic acid tert-Butyl Ester
     486414-46-4P, 2-Amino-1-(2,5-dihydropyrrol-1-yl)ethanone
                                                                  486414-49-7P,
     1R-Methyl-2-piperidin-1-ylethylamine 486414-51-1P, (R)-3,N1,N1-
     Trimethylbutane-1,2-diamine 486414-53-3P, 4-[[4-Amino-5-(2,6-
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difluorobenzoyl)thiazol-2-yl]amino]-N-(1-hydroxy-5,5-dimethylpyrrolidin-2-
                   486414-62-4P, 4-[[4-Amino-5-(2,6-
ylmethyl)benzamide
difluorobenzoyl)thiazol-2-yl]amino]-N-(1-hydroxy-2,2,5,5-
tetramethylpyrrolidin-3-ylmethyl)benzamide
                                           486414-64-6P,
cis-3,5-Dimethylpiperazine-1-carbonitrile
                                            486414-65-7P,
2-(cis-3,5-Dimethylpiperazin-1-yl)ethylamine
                                               486414-68-0P,
                                    486414-69-1P, 4-[[4-Amino-5-[1-(4-
3-(1-Ethoxyvinyl)-4-methylpyridine
methylpyridin-3-yl)methanoyl]thiazol-2-yl]amino]benzoic Acid
486414-70-4P, 4-[[4-Amino-5-[1-(4-methylpyridin-3-yl)methanoyl]thiazol-2-
                                  486414-76-0P, 4-[[4-Amino-5-[1-(3-
yl]amino]benzoic acid Ethyl Ester
methylthiophen-2-yl)methanoyl]thiazol-2-yl]amino]benzoic Acid Ethyl Ester
486414-78-2P, 4-[[4-Amino-5-[1-(3-methylthiophen-2-yl)methanoyl]thiazol-2-
                      486414-83-9P, C-(1-Methyl-1H-imidazol-4-
yl]amino]benzoic Acid
yl)methylamine
               486414-86-2P, C-(3-Methyl-3H-imidazol-4-yl)methylamine
486414-89-5P, 2R-[[4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-
yl]amino]benzoyl]amino]propionic acid tert-Butyl Ester
                                                         486414-92-0P.
4-[[4-Amino-5-(2-fluorobenzoyl)thiazol-2-yl]amino]benzoic Acid Ethyl Ester
486414-93-1P, 4-[[4-Amino-5-(2-fluorobenzoyl)thiazol-2-yl]amino]benzoic
       486414-99-7P, (2,6-Difluoro-4-methylphenyl)trimethylsilane
Acid
486415-01-4P, 2,6-Difluoro-4-methylacetophenone
                                                 486415-03-6P,
                                             486415-05-8P,
2-Bromo-2',6'-difluoro-4'-methylacetophenone
4-[[4-Amino-5-(2,6-difluoro-4-methylbenzoyl)thiazol-2-yl]amino]benzoic
       486415-07-0P, 4-[[4-Amino-5-(2,6-difluoro-4-methylbenzoyl)thiazol-2-
yl]amino]benzoic acid ethyl ester 486415-09-2P, (S)-2-((S)-1-
Aminoethyl)pyrrolidine-1-carboxylic Acid tert-Butyl Ester
                                                           486415-10-5P
486415-14-9P, 4-[[4-Amino-5-(2-chloro-6-fluorobenzoyl)thiazol-2-
yl]amino]benzoic Acid Ethyl Ester
                                   486415-15-0P, 4-[[4-Amino-5-(2-chloro-
6-fluorobenzoyl)thiazol-2-yl]amino]benzoic Acid
                                                  486415-17-2P,
4-[[5-(2-Acetylaminobenzoyl)-4-aminothiazol-2-yl]amino]benzoic Acid Ethyl
Ester
        486415-18-3P, 4-[[5-(2-Acetylaminobenzoyl)-4-aminothiazol-2-
                       486415-21-8P, 4-[[4-Amino-5-(2-
yl]amino]benzoic Acid
methanesulfonylbenzoyl)thiazol-2-yl]amino]benzoic Acid Ethyl Ester
486415-25-2P, (S)-2-Methylpyrrolidine-1,2-dicarboxylic Acid 1-tert-Butyl
Ester 486415-26-3P, (S)-2-Carbamoyl-2-methylpyrrolidine-1-carboxylic
Acid tert-Butyl Ester
                       486415-27-4P, C-((2S)-1,2-Dimethylpyrrolidin-2-
                 486415-29-6P, 3-Carbamoylazetidine-1-carboxylic Acid
vl)methylamine
                   486415-31-0P, 3-endo-2-(tert-Butoxycarbonyl)-2-
tert-Butyl Ester
azabicyclo[2.2.1]hept-5-ene-3-carboxylic acid Ethyl Ester 486415-32-1P,
3-endo-2-(tert-Butoxycarbonyl)-2-azabicyclo[2.2.1]heptane-3-carboxylic
       486415-33-2P, 3-endo-2-(tert-Butoxycarbonyl)-2-
azabicyclo[2.2.1]hept-5-ene-3-carboxylic acid 486415-34-3P,
3-endo-Carbamoyl-2-azabicyclo[2.2.1]heptane-2-carboxylic acid tert-Butyl
        486415-37-6P, 4-Isothiocyanatobenzoic Acid tert-Butyl Ester
486415-38-7P, 4-[[4-Amino-5-(2,6-dichlorobenzoy1)thiazol-2-
yl]amino]benzoic Acid tert-Butyl Ester 486415-44-5P,
                                      486415-45-6P, 4-[[4-Amino-5-(2,6-
2-Chloro-4-isothiocyanatobenzoic Acid
difluorobenzoyl)thiazol-2-yl]amino]-2-chlorobenzoic acid
                                                          486415-47-8P,
4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-2-hydroxybenzoic
acid Phenyl Ester
                    486415-50-3P, 5-Amino-2-(2-
dimethylaminoethyl)isoindole-1,3-dione hydrochloride
                                                       486415-51-4P,
2-(2-Dimethylaminoethyl)-5-isothiocyanato-isoindole-1,3-dione
486415-53-6P, 3-Isothiocyanatobenzoic Acid tert-Butyl Ester
486415-54-7P, 3-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-
yl]amino]benzoic acid tert-Butyl Ester
                                        486415-55-8P,
3-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]benzoic Acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
   (preparation of thiazolylamino benzamide derivs. as modulators of cell
   proliferation and inhibitors of protein kinases)
7568-93-6, (2-Hydroxy-2-phenylethyl)amine
RL: CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial
study); RACT (Reactant or reagent)
   (preparation of thiazolylamino benzamide derivs. as modulators of cell
```

ΙT

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proliferation and inhibitors of protein kinases)
     7568-93-6 HCAPLUS
RN
CN
     Benzenemethanol, \alpha-(aminomethyl)- (9CI) (CA INDEX NAME)
   Ph
HO-CH-CH2-NH2
    ANSWER 4 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
T<sub>1</sub>23
     2002:858108 HCAPLUS
AN
     138:237942
DN
     Entered STN: 13 Nov 2002
ED
ΤT
     Enantioselective synthesis of aziridines using asymmetric
     transfer hydrogenation as a precursor for chiral derivatives used as
     bonding agent for rocket solid propellants
     Kawamoto, Aparecida M.; Wills, Martin
ΑU
CS
     Div. Quimica, Inst. Aeronautica Espaco, Centro Tecnico Aeroespacial, Sao
     Jose dos Campos, 12228-904, Brazil
SO
     Quimica Nova (2002), 25(6), 921-925
     CODEN: QUNODK; ISSN: 0100-4042
PΒ
     Sociedade Brasileira de Quimica
DT
     Journal
LΑ
     English
     27-3 (Heterocyclic Compounds (One Hetero Atom))
CC
     Section cross-reference(s): 50
OS
     CASREACT 138:237942
AB
     A rapid, expedient, and enantioselective method for the synthesis
     of \beta-hydroxy amines and monosubstituted aziridines in up to 99% e.e.,
     via asym. transfer hydrogenation of \alpha-amino ketones and cyclization
     through treatment with tosyl chloride and base, is described.
     (1R, 2R) -N-(para-toluenesulfonyl)-1,2-ethylenediamine with formic acid has
     been utilized as a ligand for the ruthenium(II) catalyzed enantioselective
     transfer hydrogenation of the ketones. The chiral 2-methylaziridine,
     which is a potentially more efficient bonding agent for rocket
     solid propellant, has been successfully achieved.
ST
     asym transfer hydrogenation amido ketone; bonding agent rocket solid
     propellant chiral aziridine
IT
     Asymmetric synthesis and induction
        (enantioselective preparation of aziridines using asym. transfer
        hydrogenation of \alpha-amido ketone and cyclization as a precursor
        for chiral derivs. used as bonding agent for rocket solid
        propellants)
     Cyclization
IT
        (enantioselective preparation of aziridines using asym. transfer
        hydrogenation of \alpha-amido ketones and cyclization as a precursor
        for chiral derivs. used as bonding agent for rocket solid propellants)
TT
     Propellants (fuels)
        (solid; enantioselective preparation of aziridines using asym. transfer
        hydrogenation of \alpha-amido ketones and cyclization as a precursor
        for chiral derivs. used as bonding agent for rocket solid propellants)
TT
     Hydrogenation
        (transfer, stereoselective; enantioselective preparation of aziridines using
        asym. transfer hydrogenation of \alpha\text{-amido} ketones and cyclization
        as a precursor for chiral derivs. used as bonding agent for rocket
        solid propellants)
     Hydrogenation catalysts
ΙT
        (transfer, stereoselective; ruthenium(II) catalyzed enantioselective
        preparation of aziridines using asym. transfer hydrogenation of
```

 $\alpha$ -amido ketones and cyclization as a precursor for chiral derivs.

used as bonding agent for rocket solid propellants)

```
ΙT
     Ketones, preparation
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (\alpha-amido; enantioselective preparation of aziridines using asym.
        transfer hydrogenation of \alpha-amido ketones and cyclization as a
        precursor for chiral derivs. used as bonding agent for rocket solid
        propellants)
                       7652-64-4P, HX 752
ΙT
     57-39-6P, MAPO
     RL: PNU (Preparation, unclassified); PREP (Preparation)
        (chiral derivative or analog; enantioselective preparation of aziridines
using
        asym. transfer hydrogenation of \alpha-amido ketones and cyclization
        as a precursor for chiral derivs. used as bonding agent for rocket
        solid propellants)
ΙT
     52462-29-0
                  144222-34-4
     RL: CAT (Catalyst use); USES (Uses)
        (enantioselective preparation of aziridines using asym. transfer
        hydrogenation of \alpha-amido ketones and cyclization as a precursor
        for chiral derivs. used as bonding agent for rocket solid propellants)
ΙΤ
     78-96-6 7568-93-6
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (enantioselective preparation of aziridines using asym. transfer
        hydrogenation of \alpha-amido ketones and cyclization as a precursor
        for chiral derivs. used as bonding agent for rocket solid propellants)
ΙT
     67341-07-5P
                   76477-26-4P
                                  95656-86-3P
                                               167938-56-9P
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     281670-47-1P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (enantioselective preparation of aziridines using asym. transfer
        hydrogenation of \alpha-amido ketones and cyclization as a precursor
        for chiral derivs. used as bonding agent for rocket solid propellants)
                    129319-91-1P
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     129319-71-7P
                                    197020-64-7P
                                                    313657-44-2P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (enantioselective preparation of aziridines using asym. transfer
        hydrogenation of \alpha-amido ketones and cyclization as a precursor
        for chiral derivs. used as bonding agent for rocket solid propellants)
RE.CNT
              THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
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IT
     7568-93-6
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (enantioselective preparation of aziridines using asym. transfer
        hydrogenation of \alpha-amido ketones and cyclization as a precursor
        for chiral derivs. used as bonding agent for rocket solid propellants)
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     Benzenemethanol, \alpha-(aminomethyl)- (9CI) (CA INDEX NAME)
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HO-CH-CH2-NH2
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L23
     2002:793502 HCAPLUS
ΑN
DN
     137:310454
ED
     Entered STN: 18 Oct 2002
TΙ
     Solid phase synthesis supports and
     methods
     Rasmussen, Jerald K.; Krepski, Larry R.
IN
     3M Innovative Properties Company, USA
PA
SO
     PCT Int. Appl., 35 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
IC
     ICM B01J019-00
     21-2 (General Organic Chemistry)
CC
     Section cross-reference(s): 33, 34
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     PATENT NO.
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                                             APPLICATION NO.
                                             _____
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                      A2
                             20021017
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                                                               20020228
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                      А3
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             AZ, BY, KG, KZ
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
              BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                            US 2001-827107 20010405
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     US 2002173051
                       A1
                    . A2
                                             EP 2002-715021
                             20040102
                                                               20020228
     EP 1373167
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              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRAI US 2001-827107
                             20010405
                      Α.
                      W
     WO 2002-US6367
                             20020228
     A method for preparation of azlactone-linker-functionalized support
     of formula SS-[CO-NH-CR5R6-(CH2)n-CO-NH-[CR1R2]p-CR3R4OR7]m [SS represents
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a support material; CO-NH-CR5R6-(CH2)n-CO is derived from an

azlactone group; R5 and R6 = each independently an organic group and n = 0, 1; NH-(CR1R2)p-CR3R4OR7 is the linker; R1, R2, R3, and R4 = each independently H or an organic group, and at least one of R3 and R4 = an aromatic group; R7 = H, a protecting group, or an organic group capable of being derivatized, and p = at least 1; and m = 1 to the resin capacity of the support material] for solid phase synthesis of derivatized organic compds. is developed. The examples include the coupling of 2-amino-1-phenylethanol, 2-amino-1-(4methoxyphenyl)ethanol, or 3-formylindol-1-ylacetic acid to EMPHAZE AB 1 solid phase synthesis org compd azlactone STlinker functionalized support; coupling linker azlactone functionalized support bead membrane; combinatorial chem library solid phase polypeptide polynucleotide TT Spheres (beads; preparation of azlactone-linker-functionalized support by coupling of linker to EMPHAZE AB 1 beads) ΙT Solid phase synthesis (combinatorial; solid phase synthesis of derivatized organic compds. as building blocks for combinatorial libraries on prepared azlactone-linker-functionalized support) Coupling reaction TT Linking agents Polymer-supported reagents (preparation of azlactone-linker-functionalized support by coupling of linker to azlactone-functionalized support) Combinatorial library TΤ (solid phase synthesis of derivatized organic compds. as building blocks for combinatorial libraries on prepared azlactone-linker-functionalized support) IT Membranes, nonbiological (solid phase synthesis of derivatized organic compds. on prepared azlactone-linker-functionalized support as membrane) IΤ Polynucleotides RL: CPN (Combinatorial preparation); SPN (Synthetic preparation); CMBI (Combinatorial study); PREP (Preparation) (solid phase synthesis of polynucleotides on azlactone-linker-functionalized support) Peptides, preparation RL: CPN (Combinatorial preparation); SPN (Synthetic preparation); CMBI (Combinatorial study); PREP (Preparation) (solid phase synthesis of polypeptides on azlactone-linker-functionalized support) IT Combinatorial chemistry (solid-phase; solid phase synthesis of derivatized organic compds. as building blocks for combinatorial libraries on prepared azlactone-linker-functionalized support) IT129825-50-9 RL: NUU (Other use, unclassified); RCT (Reactant); RACT (Reactant or reagent); USES (Uses) (preparation of azlactone-linker-functionalized support by coupling of linker to azlactone-functionalized support) 65-85-0, Benzoic acid, reactions 107-15-3, Ethylenediamine, reactions ΙT 7568-93-6, 2-Amino-1-phenylethanol 55275-61-1, 2-Amino-1-(4-methoxyphenyl)ethanol 117870-93-6, Indolyl RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of azlactone-linker-functionalized support by coupling of linker to azlactone-functionalized support) 7568-93-6, 2-Amino-1-phenylethanol 55275-61-1, TT

2-Amino-1-(4-methoxyphenyl)ethanol

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RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of azlactone-linker-functionalized support by coupling of
        linker to azlactone-functionalized support)
RN
     7568-93-6 HCAPLUS
     Benzenemethanol, \alpha-(aminomethyl)- (9CI) (CA INDEX NAME)
CN
   Ρh
HO-CH-CH2-NH2
     55275-61-1 HCAPLUS
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CN
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MeO
     ANSWER 6 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
L23
AN
     2002:256222 HCAPLUS
     136:294651
DN
     Entered STN: 05 Apr 2002
ED
ТΙ
     Preparation of aryl-substituted N-hydroxy amides with amide linkages as
     HDAC inhibitors for treatment of proliferative conditions
     Watkins, Clare J.; Romero-Martin, Maria-Rosario; Moore, Kathryn G.;
ΙN
     Ritchie, James; Finn, Paul W.; Kalvinsh, Ivars; Loza, Einars; Starchenkov,
     Igor; Dikovska, Klara; Bokaldere, Rasma Melita; Gailite, Vija; Vorona,
     Maxim; Andrianov, Victor; Lolya, Daina; Semenikhina, Valentina; Amolins, Andris; Harris, C. John; Duffy, James E. S.
PΑ
     Prolifix Limited, UK
     PCT Int. Appl., 346 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
IC
     ICM
          C07C233-03
          C07C233-05; C07C233-09; C07C233-08; C07D207-40; C07D213-06;
          C07D209-14; C07D235-24; C07D307-34; C07D333-24; C07D333-60;
          A61P035-00
     25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
CC
     Section cross-reference(s): 1, 34
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                                             APPLICATION NO.
                       KIND
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EP 2001-970014
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PRAI GB 2000-23985
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                       Ρ
     US 2001-297785P
                            20010614
                      W
                            20010927
     WO 2001-GB4329
OS
    MARPAT 136:294651
     The title compds. AQ1JQ2CONHOH [I; wherein A = aryl group; Q1 = aryl
AΒ
     leader group having a backbone of at least 2 C atoms; J = NR1CO or CONR1;
     R1 = amido substituent; Q2 = acid leader group; and pharmaceutically
     acceptable salts, solvates, amides, esters, ethers, chemical protected forms,
     and prodrugs thereof] were prepared via solution phase and solid
     phase synthetic methods as histone deacetylase (HDAC) inhibitors
     for treatment of proliferative conditions, such as cancer and psoriasis.
     For example, 6-aminocaproic acid Me ester HCl was coupled with
     2-naphthoyl chloride in the presence of diisopropyl ethylamine in DMF to
     give the amide. Deesterification (79%), followed by conversion to the
     N-hydroxyamide using HONH2•HCl in the presence of 1,1'-
     carbonyldiimidazole in THF, afforded naphthalene-2-carboxylic acid
     (5-hydroxycarbamoylpentyl)amide II (PX105687) in 40% yield. The latter
     inhibited recombinant HDAC1 and HDAC2 with IC50 values of 33 nM and 29 nM,
     resp., and inhibited cell proliferation against the human cervical
     adenocarcinoma (HeLa) cell line using cell proliferation reagent WST-1
     with IC50 of 1.1 nM. Structure-activity relationship studies showed
     superior activity for I when (1) the backbone of Q1 had > 1 carbon atoms,
     and (2) the alkylene group Q2 had > 5 carbon atoms.
ST
     aryl hydroxyamide amide prepn histone deacetylase inhibitor;
     arylcarboxylic acid hydroxycarbamoylalkylamide prepn antiproliferative
     anticancer psoriasis treatment
IT
     Structure-activity relationship
        (HDAC; preparation of N-hydroxy amides with amide linkages as HDAC
        inhibitors for treatment of proliferative conditions)
ΙT
     Antitumor agents
     Cytotoxic agents
     Human
       Solid phase synthesis
        (preparation of N-hydroxy amides with amide linkages as HDAC inhibitors for
        treatment of proliferative conditions)
IT
        (treatment; preparation of N-hydroxy amides with amide linkages as HDAC
        inhibitors for treatment of proliferative conditions)
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ΙT
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105816

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                                     408354-02-9P, PX 106232
408354-03-0P, PX 117237
                          408354-04-1P, PX 116235
                                                    408354-05-2P, PX
         408354-06-3P, PX 117254
117224
                                   408354-07-4P, PX 117239
                                                              408354-08-5P,
PX 116211
            408354-09-6P, PX 117255
                                      408354-10-9P, PX 117430
408354-11-0P, PX 117436
                          408354-12-1P, PX 117437
                                                     408354-13-2P, PX
         408354-14-3P, PX 117454
117451
                                   408354-15-4P, PX 117737
                                                             408354-21-2P,
PX 117738
            408354-22-3P, PX 117456
                                      408354-24-5P, PX 116231
408354-25-6P, PX 116234
                          408354-26-7P, PX 116214
                                                     408354-28-9P, PX
116215
         408354-29-0P, PX 106494
                                   408354-30-3P, PX 106496
                                                              408354-31-4P,
PX 106497
            408354-32-5P, PX 116219
                                      408354-33-6P, PX 116220
                          408354-35-8P, PX 117247
408354-34-7P, PX 116221
                                                     408354-43-8P, PX
                                   408354-89-2P, PX 117441
117415
        408354-65-4P, PX 117421
                                                              408355-10-2P,
PX 117442
            408355-31-7P, PX 117721
                                      408355-66-8P, PX 117729
408355-89-5P, PX 117722
                          408356-18-3P, PX 117261
                                                    408356-36-5P, PX
117444
         408356-74-1P, PX 117797
                                   408356-88-7P, PX 105687
                                                              408356-93-4P,
                                      408356-95-6P, PX 106515
PX 106492
            408356-94-5P, PX 106493
408356-96-7P, PX 117458
                          408356-97-8P, PX 117717
                                                    408356-98-9P, PX
         408356-99-0P, PX 117719
117718
                                   408357-29-9P, PX 116213
                                                             408357-30-2P,
PX 105824
            408357-31-3P, PX 105826
                                      408357-32-4P, PX 105827
408357-33-5P, PX 105828
                          408357-34-6P, PX 106488
                                                    408357-35-7P, PX
         408357-36-8P, PX 116216
                                   408357-37-9P, PX 116217
106489
                                                              408357-38-0P,
PX 116224
            408357-39-1P, PX 116226
                                      408357-40-4P, PX 117249
                          408357-43-7P, PX 117419
408357-41-5P, PX 117416
                                                    408357-44-8P, PX
         408357-49-3P, PX 117408
                                   408357-61-9P, PX 116218
117420
                                                             408357-69-7P,
                                      408357-71-1P, PX 117461
PX 116223
            408357-70-0P, PX 117417
408357-72-2P, PX 117720
                          408357-73-3P, PX 117459
                                                    408357-76-6P, PX
         408357-81-3P, PX 117407
                                   408357-82-4P, PX 117406
                                                             408357-83-5P,
PX 117767
            408357-84-6P, PX 117783
                                      408357-85-7P, PX 117785
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
   (HDAC inhibitor; preparation of N-hydroxy amides with amide linkages as HDAC
   inhibitors for treatment of proliferative conditions)
110-94-1DP, Pentanedioic acid, resin-bound
                                             956-09-2P,
6-Benzoylaminohexanoic acid
                             1608-36-2P, 3-(4-Nitrophenyl)acrylic acid
                                          3303-84-2P, N-tert-
methyl ester 3303-84-2DP, resin-bound
Butoxycarbonyl-\beta-alanine
                          6404-29-1DP, resin-bound
                                                      18496-54-3P,
                           22834-47-5P, 6-(4-Methoxybenzoylamino) hexanoic
4-Phenylbutyryl chloride
      26930-49-4P, 3-Benzo[1,3]dioxol-5-ylacryloyl chloride
                            56374-47-1P, Naphthalene-2-carboxylic acid
27219-07-4DP, resin-bound
                                 57294-38-9DP, resin-bound
2,5-dioxopyrrolidin-1-yl ester
                                                             59378-98-2P,
                                       60722-88-5P, 4-
Mono-tert-butyl monomethyl glutarate
Benzyloxycarbonylaminobutyric acid 2,5-dioxopyrrolidin-1-yl ester
63128-51-8DP, resin-bound 63128-51-8P, Mono-tert-butyl glutarate
65198-02-9P, 3-(4-Aminophenyl)acrylic acid methyl ester
                                                          75930-73-3P,
6-(4-Nitrobenzoylamino)hexanoic acid methyl ester
                                                    78121-46-7P,
                                     86432-33-9P, (S)-3-(1H-Indol-3-yl)-2-
6-Diphenylacetylaminohexanoic acid
(4-phenylbutyrylamino)propionic acid
                                       88556-26-7P, 4-Phenylbutyric acid
                                 94476-64-9DP, resin-bound
2,5-dioxopyrrolidin-1-yl ester
                                                             94476-72-9DP,
             100636-30-4P, 5-Phenyl-(2E,4E)-pentadienoyl chloride
resin-bound
                             118528-55-5P, 6-[(Naphthalene-1-
107326-34-1DP, resin-bound
carbonyl)amino]hexanoic acid
                               119516-39-1P, 6-[(Naphthalene-2-
carbonyl)amino]hexanoic acid
                               172333-10-7P, Naphthalen-2-ylacetic acid
2,5-dioxopyrrolidin-1-yl ester
                                 177653-67-7P, 3-(4-
                                  193550-99-1P, 6-(4-
Benzoylaminophenyl) acrylic acid
                                         202060-10-4DP, resin-bound
Dimethylaminobenzoylamino)hexanoic acid
251304-83-3P, 6-(4-Dimethylaminobenzoylamino)hexanoic acid methyl ester
251456-57-2DP, resin-bound
                           251456-91-4P, 6-(4-
Methoxybenzoylamino) hexanoic acid methyl ester
                                                 313267-03-7P,
6-[(Furan-2-carbonyl)amino]hexanoic acid
                                           384807-63-0P,
6-[(3,4-Dimethoxybenzoyl)amino]hexanoic acid methyl ester
                                                            406725-10-8P,
4-[(2E)(4E)-5-Phenylpenta-2,4-dienoylamino]butyric acid methyl ester
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406725-12-0P, 6-[(2E)(4E)-5-Phenylpenta-2,4-

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dienoylamino]hexanoic acid methyl ester 406725-13-1P 406725-14-2P, (2E, 4E)-6-[5-(2-Nitrophenyl)penta-2, 4-dienoylamino]hexanoic acid methyl 406725-16-4P, (2E, 4E)-6-(4-Methyl-5-phenylpenta-2, 4dienoylamino) hexanoic acid methyl ester 406725-17-5P, (2E, 4E)-6-[5-(4-Nitrophenyl)penta-2, 4-dienoylamino]hexanoic acid methyl 406725-18-6P, (E)-6-(3-Benzo[1,3]dioxol-5-ylacryloylamino)hexanoic 406725-19-7P, (2E,4E)-6-(5-Biphenyl-4-ylpenta-2,4acid methyl ester 406725-20-0P, dienoylamino) hexanoic acid methyl ester (2Z, 4E)-6-[5-(4-Chlorophenyl)penta-2, 4-dienoylamino]hexanoic acid methyl 406725-21-1P, (2E, 4E)-6-[5-(4-Chlorophenyl)penta-2, 4ester dienoylamino]hexanoic acid methyl ester 406725-22-2P, (2E, 4E) -8-(5-Phenylpenta-2, 4-dienoylamino) octanoic acid methyl ester 406725-23-3P, (2E,4E)-7-(5-Phenylpenta-2,4-dienoylamino)heptanoic acid methyl ester 406725-24-4P, (2E, 4E)-6-(2-Methyl-5-phenylpenta-2, 4dienoylamino) hexanoic acid methyl ester 406725-25-5P, (2E, 4E) -6-[5-(4-Methoxyphenyl)penta-2, 4-dienoylamino]hexanoic acid methyl 406725-26-6P, (E)-6-(5-Phenylpent-2-en-4-ynoylamino) hexanoic acid ester 406725-27-7P, (2E,4E)-6-(3-Methyl-5-phenylpenta-2,4methyl ester dienoylamino) hexanoic acid methyl ester 406725-28-8P, (2E, 4E)-6-[5-(4-Dimethylaminophenyl)penta-2, 4-dienoylamino]hexanoic acid 406725-29-9P, Methyl 6-[(3-phenylpropanoyl)amino]hexanoate methyl ester 406725-30-2P, Methyl 6-[((E)-3-phenyl-2-propenoyl)amino]hexanoate 406725-31-3P, (E)-6-(3-Pyridin-4-ylacryloylamino)hexanoic acid methyl ester 406725-32-4P, (E)-6-(3-Pyridin-2-ylacryloylamino)hexanoic acid methyl ester 406725-33-5P, 6-[[(E)-3-(2-Furyl)-2-406725-34-6DP, resin-bound propenoyl]amino]hexanoate 406725-35-7P, 3-[3-[(E)-3-(1,3-Benzodioxol-5-yl)-1-oxo-2-propenyl]amino]phenyl]-(E)-2propenoic acid methyl ester 406725-36-8P, 3-[3-[[(E)-3-(1,3-Benzodioxol-Pe5-y1)-1-oxo-2-propeny1]amino]pheny1]-(E)-2-propenoic acid 406725-38-0P, 3-[3-[[(2E, 4E)-1-0xo-5-phenyl-2, 4-pentadienyl]amino]phenyl]-(2E)-propenoic 406725-40-4P, 3-[3-[[(2E,4E)-1-0xo-5-phenyl-2,4acid methyl ester pentadienyl]amino]phenyl]-(2E)-propenoic acid 406725-42-6P, 5-Phenyl-4-methyl-(2E,4E)-pentadienoyl chloride 406725-45-9P, 3-[3-[[(2E, 4E)-4-Methyl-1-oxo-5-phenyl-2, 4-pentadienyl]amino]phenyl]-(2E)propenoic acid methyl ester 406725-47-1P, 3-[3-[[(2E,4E)-4-Methyl-1-oxo-5-phenyl-2,4-pentadienyl]amino]phenyl]-(2E)-propenoic acid 406725-49-3P, 3-[3-(4-Phenylbutyrylamino)phenyl]acrylic acid methyl ester 406725-53-9P, 3-(4-Benzoylaminophenyl)acrylic acid methyl ester 406725-56-2P, 3-(4-Benzoylaminophenyl)propionic acid 406725-58 406725-58-4P. 3-[4-[(Naphthalene-2-carbonyl)amino]phenyl]acrylic acid methyl ester 406725-59-5P, 3-[4-[(Naphthalene-2-carbonyl)amino]phenyl]acrylic acid 406725-60-8P, 3-[4-(2-Naphthalen-1-ylacetylamino)phenyl]acrylic acid 406725-64-2P, 3-[4-(2-Naphthalen-1methyl ester ylacetylamino)phenyl]acrylic acid 406725-67-5P, 4-[(2E)(4E)-5-(4-Chlorophenyl)penta-2,4-dienoylamino]butyric acid methyl ester 406725-70-0P, 4-[(2E)(4E)-5-(4-Bromophenyl)penta-2,4-dienoylamino]butyric acid methyl ester 406725-73-3P, 4-[(2Z)(4E)-5-(4-Bromophenyl)penta-2,4dienoylamino]butyric acid methyl ester 406725-77-7P, 5-[(2E)(4E)-5-Phenylpenta-2,4-dienoylamino]pentanoic acid methyl ester 406725-79-9P, 6-[(4-Aminofurazan-3-carbonyl)amino]hexanoic acid methyl 406725-81-3P, 6-(4-Phenylbutyrylamino)hexanoic acid methyl ester 406725-83-5P, 6-((E)-3-Naphthalen-2-ylacryloylamino)hexanoic acid methyl 406725-85-7P, 6-[(2E)(4E)-5-Naphthalen-1-ylpenta-2,4dienoylamino]hexanoic acid methyl ester 406725-87-9P 406725-91-5P, 6-[3-[5-(3,5-Bis-trifluoromethylphenyl)furan-2-yl]acryloylamino]hexanoic 406725-94-8P acid methyl ester 406725-95-9P 406725-96-0P 406725-97-1P 406725-98-2P 406725-99-3P 406726-00-9P, (2E)-6-(5,5-Diphenylpenta-2,4-dienoylamino)hexanoic acid methyl ester 406726-01-0P, 6-((E)-2-Methyl-5-phenylpent-2-en-4-ynoylamino) hexanoic acid methyl ester 406726-02-1P 406726-03-2P 406726-04-3P 406726-05-4P, 6-(2-Fluoren-9-ylideneacetylamino)hexanoic acid methyl ester 406726-06-5P 406726-07-6P 406726-08-7P 406726-09-8P, 6-(4-Bromobenzoylamino) hexanoic acid methyl ester 406726-10-1P,

6-(4-Iodobenzoylamino) hexanoic acid methyl ester 406726-11-2P, 6-(4-tert-Butylbenzoylamino) hexanoic acid methyl ester 406726-12-3P. 6-(4-Cyano-benzoylamino) hexanoic acid methyl ester 406726-13-4P, 6-[(Furan-3-carbonyl)amino]hexanoic acid methyl ester 406726-14-5P 406726-15-6P, 6-[(5-Bromofuran-2-carbonyl)amino]hexanoic acid methyl ester 406726-16-7P, 6-(3-Thiophen-2-ylacryloylamino)hexanoic acid methyl ester 406726-17-8P, 6-(3-Phenylpropynoylamino) hexanoic acid methyl ester 406726-18-9P, 6-(4-Isopropylbenzoylamino) hexanoic acid methyl ester 406726-19-0P, 6-(3-Naphthalen-1-ylacryloylamino)hexanoic acid methyl ester 406726-20-3P, 6-(2-Benzo[b]thiophen-3-ylacetylamino)hexanoic acid methyl 406726-21-4P, 6-[2-[1-(4-Fluorobenzyl)-1H-indol-3yl]acetylamino]hexanoic acid methyl ester 406726-22-5P 406726-24-7P, 6-[2-(4-Chlorophenyl)-2-methylpropionylamino]hexanoic acid methyl ester 406726-25-8P, 6-(5-Phenylpentanoylamino)hexanoic acid methyl ester 406726-26-9P 406726-27-0P, 6-(5-Phenylpent-4E-enoylamino)hexanoic acid 406726-28-1P, 6-[(Naphthalene-2-carbonyl)amino]hexanoic methyl ester acid methyl ester 406726-29-2P, 5-[(Naphthalene-2carbonyl)amino]pentanoic acid methyl ester 406726-30-5P, 7-[(Naphthalene-2-carbonyl)amino]heptanoic acid methyl ester 406726-31-6P, 8-[(Naphthalene-2-carbonyl)amino]octanoic acid methyl ester 406726-32-7P, 6-(4-Phenylbut-3-enoylamino)hexanoic acid methyl ester 406726-33-8P, 6-[2-(4-Dimethylaminophenyl)acetylamino]hexanoic acid methyl 406726-34-9P, 6-[3-(4-Trifluoromethylphenyl)acryloylamino]hexanoic acid methyl ester 406726-35-0P, 6-[3-(3-Trifluoromethoxyphenyl)acryloyla 406726-36-1P, 6-[3-(4-Chloro-2mino]hexanoic acid methyl ester fluorophenyl)acryloylamino]hexanoic acid methyl ester 406726-37-2P, 5-[[[4-(Dimethylamino)-1-naphthalenyl]carbonyl]amino]pentanoic acid methyl 406726-38-3P, 6-[[[4-(Dimethylamino)-1naphthalenyl]carbonyl]amino]hexanoic acid methyl ester 406726-39-4P, Methyl 6-[(1H-benzimidazol-2-ylcarbonyl)amino]hexanoate 406726-40-7P, 6-[(1H-Benzimidazol-2-ylcarbonyl)amino]hexanoic acid 406726-41-8P, N-[6-[(Benzyloxy)amino]-6-oxohexyl]-1H-benzimidazole-2-carboxamide 406726-42-9P, 6-[(Furan-2-carbonyl)amino]hexanoic acid methyl ester 406726-43-0P, 6-[(Furan-2-carbonyl)amino]hexanoic acid benzyloxyamide 406726-44-1P, 6-(3-Furan-2-ylpropionylamino)hexanoic acid methyl ester 406726-45-2P, 6-(3-Furan-2-ylpropionylamino)hexanoic acid 406726-46-3P, 6-(3-Furan-2-ylpropionylamino)hexanoic acid benzyloxyamide 406726-47-4P, 6-[(Naphthalene-1-carbonyl)amino]hexanoic acid methyl ester 406726-48-5P, 6-[(Naphthalene-1-carbonyl)amino]hexanoic acid 406726-49-6P, 6-(2-Biphenyl-4-ylacetylamino)hexanoic acid benzyloxyamide methyl ester 406726-50-9P, 6-(2-Biphenyl-4-ylacetylamino)hexanoic acid 406726-51-0P, 6-(2-Biphenyl-4-ylacetylamino)hexanoic acid benzyloxyamide 406726-52-1P, 6-Diphenylacetylaminohexanoic acid methyl ester 406726-53-2P, 6-Diphenylacetylaminohexanoic acid benzyloxyamide 406726-54-3P, 7-[2-(1H-Indol-3-yl)ethylcarbamoyl]heptanoic acid methyl ester 406726-55-4P, 5-[2-(1H-Indol-3-yl)ethylcarbamoyl]pentanoic acid methyl ester 406726-56-5P, 7-(2-Naphthalen-1-ylethylcarbamoy1)heptanoic 406726-57-6P, 7-(2-Hydroxy-2acid methyl ester phenylethylcarbamoyl)heptanoic acid methyl ester 406726-58-7P, 7-(2,2-Diphenylethylcarbamoyl)heptanoic acid methyl ester 406726-59-8P, 7-(3-Phenylallylcarbamoyl)heptanoic acid methyl ester 406726-60-1P, 7-Benzylcarbamoylheptanoic acid methyl ester 406726-61-2P, 7-Phenethylcarbamoylheptanoic acid methyl ester 406726-62-3P, 7-(3-Phenylpropylcarbamoyl)heptanoic acid methyl ester 406726-63-4P, 6-[2-(1H-Indol-3-yl)ethyl]carbamoylhexanoic acid ethyl ester 406726-64-5P, 7-(Naphthalen-1-ylcarbamoyl)heptanoic acid methyl ester 406726-65-6P, 7-(Naphthalen-2-ylcarbamoyl)heptanoic acid methyl ester 406726-66-7P, 7-(Benzhydrylcarbamoyl)heptanoic acid methyl ester 406726-67-8P, 6-(Naphthalen-2-ylcarbamoyl)hexanoic acid ethyl ester 406726-68-9P, 7-(Biphenyl-4-ylcarbamoyl)heptanoic acid ethyl ester 406726-69-0P, 7-[(Naphthalen-1-ylmethyl)carbamoyl]heptanoic acid methyl 406726-70-3P, 7-[2-(1H-Benzimidazol-2-yl)ethylcarbamoyl]heptanoic acid methyl ester 406726-71-4P, 7-[2-(1H-Benzimidazol-2-

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yl)ethylcarbamoyl]heptanoic acid 406726-72-5P, Octanedioic acid
[2-(1H-benzimidazol-2-yl)ethyl]amide benzyloxyamide 406726-73-6P,
(S)-6-[3-(1H-Indol-3-yl)-2-(4-phenylbutyrylamino)propionylamino]hexanoic
                                     406726-74-7P, (S)-3-(1H-Indol-3-yl)-
acid 2,5-dioxopyrrolidin-1-yl ester
2-(2-naphthalen-2-ylacetylamino)propionic acid
                                                406726-75-8P,
(S)-6-[3-(1H-Indol-3-yl)-2-(2-naphthalen-2-ylacetylamino)propionylamino]he
xanoic acid 2,5-dioxopyrrolidin-1-yl ester 406726-76-9P,
4-(1H-Indol-3-yl)butyric acid 2,5-dioxopyrrolidin-1-yl ester
406726-77-0P, (S)-3-(1H-Indol-3-yl)-2-(4-1H-indol-3-
ylbutyrylamino) propionic acid 406726-78-1P, (S)-6-[3-(1H-Indol-3-yl)-2-
(4-1H-indol-3-ylbutyrylamino)propionylamino]hexanoic acid benzyloxyamide
406726-79-2P, (S)-3-(1H-Indol-3-yl)-2-[(naphthalene-2-
carbonyl)amino]propionic acid 406726-80-5P, (S)-Naphthalene-2-carboxylic
acid [1-(5-benzyloxycarbamoylpentylcarbamoyl)-2-(1H-indol-3-yl)ethyl]amide
406726-81-6P, (S)-2-(4-Benzyloxycarbonylaminobutyrylamino)-3-(1H-indol-3-
yl)propionic acid
                  406726-82-7P, (S)-6-[2-(4-
Benzyloxycarbonylaminobutyrylamino)-3-(1H-indol-3-
yl)propionylamino]hexanoic acid 2,5-dioxopyrrolidin-1-yl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
   (intermediate; preparation of N-hydroxy amides with amide linkages as HDAC
   inhibitors for treatment of proliferative conditions)
9076-57-7, Histone deacetylase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
   (preparation of N-hydroxy amides with amide linkages as HDAC inhibitors for
   treatment of proliferative conditions)
60-32-2, 6-Aminocaproic acid
                              61-54-1, 2-(1H-Indol-3-yl) ethylamine
                              64-04-0, Phenethylamine 73-22-3,
62-23-7, 4-Nitrobenzoic acid
                          86-55-5, Naphthalene-1-carboxylic acid
L-Tryptophan, reactions
87-51-4, (1H-Indol-3-yl)acetic acid, reactions 88-14-2, Furan-2-carboxylic acid 91-00-9, Diphenylmethylamine 91-59-8,
Naphthalen-2-ylamine
                      92-67-1, Biphenyl-4-ylamine
                                                     93-09-4,
Naphthalene-2-carboxylic acid
                                98-73-7, 4-tert-Butylbenzoic acid
99-88-7, 4-Isopropylaniline
                              100-09-4, 4-Methoxybenzoic acid 102-92-1
104-94-9, p-Anisidine
                       117-34-0, Diphenylacetic acid
                                                       118-31-0,
1-Naphthylmethylamine
                       133-32-4, 4-(1H-Indol-3-yl) butyric acid
134-32-7, Naphthalen-1-ylamine 140-10-3, trans-Cinnamic acid, reactions
                                   501-52-0, 3-Phenylpropanoic acid 539-47-9, 3-Furyl-2-acrylic acid
488-93-7, Furan-3-carboxylic acid
536-66-3, 4-Isopropylbenzoic acid
581-96-4, Naphthalen-2-ylacetic acid
                                       585-70-6, 5-Bromofuran-2-carboxylic
                                       606-84-8, 3,3-Diphenylacrylic acid
       586-76-5, 4-Bromobenzoic acid
619-58-9, 4-Iodobenzoic acid 619-65-8, 4-Cyanobenzoic acid
                             619-89-6, 4-Nitrocinnamic acid
4-Dimethylaminobenzoic acid
                                                                627-91-8,
Adipic acid monomethyl ester 637-44-5, Phenylpropynoic acid
                                                                704-80-3
708-83-8
           935-13-7, 3-Furan-2-ylpropionic acid
                                                  1124-65-8,
3-Thiophen-2-ylacrylic acid 1126-74-5, 3-Pyridin-3-ylacrylic acid
1131-09-5, Benzo[b]thiophen-3-ylacetic acid 1204-06-4,
3-(1H-Indol-3-yl)acrylic acid 1501-27-5, Monomethyl glutarate
1552-94-9, 5-Phenylpenta-2, 4-dienoic acid 1552-96-1,
4-Dimethylaminocinnamic acid 1821-12-1, 4-Phenylbutyric acid
                                                                1914-58-5
1926-80-3, 6-(Amino)hexanoic acid methyl ester hydrochloride
                                                               2038-57-5,
                      2062-26-2, 4-Trifluoromethylcinnamic acid
3-Phenylpropylamine
2243-83-6, 2-Naphthalenecarbonyl chloride
                                           2270-20-4, 5-Phenylpentanoic
       2373-80-0, 3-Benzo[1,3]dioxol-5-ylacrylic acid
                                                       2687-43-6,
acid
O-Benzylhydroxylamine hydrochloride
                                     2849-93-6, 1H-Benzimidazole-2-
carboxylic acid
                 3946-32-5, Suberic acid monomethyl ester
                                                             3963-62-0,
                         4360-51-4
                                     4425-73-4, Fluoren-9-ylideneacetic
2,2-Diphenylethylamine
       4735-50-6, 2-Naphthalen-1-ylethylamine 5105-78-2,
acid
4-Benzyloxycarbonylaminobutyric acid
                                      5121-00-6, 1-Naphthaleneacetyl
          5728-52-9, Biphenyl-4-ylacetic acid 6258-30-6,
2-(4-Chlorophenyl)-2-methylpropionic acid
                                            6315-89-5, 4-Aminoveratrole
           7498-88-6, 4,4-Diphenylbut-3-enoic acid 7568-93-6,
2-Amino-1-phenylethanol 13026-12-5, 3-Naphthalen-1-ylacrylic acid
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13031-60-2, Methyl 4-aminobutyrate hydrochloride
                                                        15542-32-2
    15542-34-4, (2E,4E)-5-(4-Chlorophenyl)-2,4-pentadienoic acid
    15542-37-7, (2E,4E)-5-(4-Methoxyphenyl)-2,4-pentadienoic acid
     15542-38-8, (2E, 4E)-5-[4-(Dimethylamino)phenyl]-2, 4-pentadienoic acid
     15542-39-9, (2E,4E)-5-[1,1'-Biphenyl]-4-yl-2,4-pentadienoic acid
    17078-28-3, 4-Dimethylaminophenylacetic acid 17920-83-1
                                                                 17994-94-4,
    Methyl 7-aminoheptanoate hydrochloride 20414-94-2, (2E,4E)-2-Methyl-5-
    phenyl-2,4-pentadienoic acid 20430-13-1, (2E,4E)-3-Methyl-5-phenyl-2,4-
                        27219-07-4, 5-(tert-Butoxycarbonylamino)valeric acid
    pentadienoic acid
     27655-93-2, (2E,4E)-4-Methyl-5-phenyl-2,4-pentadienoic acid
                                                                  27948-28-3,
     (E)-5-Phenyl-2-penten-4-ynoic acid 28010-12-0, (2E,4E)-5-Phenyl-2,4-
                        28010-14-2, (2Z,4E)-5-(4-Chlorophenyl)-2,4-
    pentadienoic acid
                                    29518-68-1, 2-(1H-Benzimidazol-2-
    pentadienoic acid
                         29275-88-5
    yl)ethylamine
                    29840-56-0, Methyl 5-aminopentanoate hydrochloride
     33018-91-6, Pimelic acid monoethyl ester
                                               38489-76-8,
     (E)-3-(1,3-Benzodioxol-5-yl)-2-propenoic acid
                                                     51485-76-8,
                                               51557-26-7, 3-Naphthalen-2-
     3-(1-Methyl-1H-pyrrol-2-yl)acrylic acid
                     54495-51-1, (E)-3-(2-Pyridinyl)-2-propenoic acid
    ylacrylic acid
                 57294-38-9
                               58186-45-1
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                                                         60773-92-4,
     56427-17-9
     4-Phenylbut-3-enoyl chloride
                                   73850-25-6
                                                 77420-98-5, Methyl 8-amino
     octanoate hydrochloride 78062-03-0, 4-Dimethylaminonaphthalene-1-
                      78350-50-2, 4-Aminofurazan-3-carboxylic acid
     carboxylic acid
     83106-03-0, 3-(3-Phenoxyphenyl)acrylic acid
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     (E)-3-(4-Pyridinyl)-2-propenoic acid
                                           92356-78-0, (2E,4E)-5-(4-
    Nitrophenyl)-2,4-pentadienoic acid
                                        120553-18-6
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     168833-80-5, 3-(3-Trifluoromethoxyphenyl)acrylic acid 169184-42-3,
    Methyl 6-aminooctanoate hydrochloride
                                           176204-51-6, [1-(4-Fluorobenzyl)-
                                202982-65-8, 3-(4-Chloro-2-
     1H-indol-3-yl]acetic acid
                                406725-15-3, (2E,4E)-5-(2-Nitrophenyl)penta-
     fluorophenyl)acrylic acid
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     2,4-dienoic acid
                                     406725-86-8
                                                    406725-89-1,
     3-[1-(4-Chlorophenyl)-1H-pyrrol-2-yl]acrylic acid
                                                         406725-93-7,
     3-[5-(3,5-Bis-trifluoromethylphenyl)furan-2-yl]acrylic acid
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     RL: RCT (Reactant); RACT (Reactant or reagent)
        (reactant; preparation of N-hydroxy amides with amide linkages as HDAC
        inhibitors for treatment of proliferative conditions)
RE.CNT
              THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
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    HCAPLUS
(5) Manfred, J; JOURNAL OF MEDICINAL CHEMISTRY 1999, V42(22), P4669
(6) Schmidt, K; ARCHIV DER PHARMAZIE 1999, V332(10), P353 HCAPLUS
ΙT
     7568-93-6, 2-Amino-1-phenylethanol
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RN
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     Benzenemethanol, \alpha-(aminomethyl)- (9CI) (CA INDEX NAME)
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HO-CH-CH<sub>2</sub>-NH<sub>2</sub>
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L23 ANSWER 7 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN AN 2002:72047 HCAPLUS DN 136:134676 ED Entered STN: 25 Jan 2002 Preparation of cyclic amine phenyl \beta 3 adrenergic receptor agonists
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for treatment of metabolic disorders related to insulin resistance or
     hyperglycemia
     Hu, Baihua; Sum, Fuk-Wah; Malamas, Michael Sotirios
IN
PΑ
     American Home Products Corporation, USA
SO
     PCT Int. Appl., 235 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
     ICM C07D211-58
IC
         CO7D401-10; CO7D417-10; CO7D417-14; CO7D401-14; CO7D401-12;
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          C07D417-10; C07D277-00; C07D211-00
     27-16 (Heterocyclic Compounds (One Hetero Atom))
CC
     Section cross-reference(s): 1, 34
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     WO 2002006232
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PT
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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                       Α1
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                                            US 2001-903754
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     EP 1301482
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                                                              20010716
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                       W
                             20010716
OS
     MARPAT 136:134676
GΙ
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Title compds. I [wherein A = (hetero)aryl or heterocyclyl; X = OCH2, SCH2, AR or a bond; T1 = (CH2)m; T2 = (CH2)n; m = 1-3; n = 1-3; T = a bond, (un) substituted alkyl or alkenyl, alkynyl, alkylthio, alkylamino, alkoxy(alkyl), alkylthioalkyl, acyl, or alkenylcarbonyl; R1, R2, and R3 = independently H, (cyclo) alkyl, OH, halo, CF3, alkoxy, benzyloxy, allyloxy, propargyloxy, acyloxy, CN, NO2, NH2, CONH2, (di)alkylamino, formamido, ureido, acylamino, alkylsulfonylamino, arylsulfonylamino, dialkyloxyphosphorylamino, dihydroxyphosphorylamino, alkoxycarbonyl, or (un) substituted aryl; R4 = H, alkyl, halo, OH, alkoxy, alkylthio, (alkyl)amino, carboxy, acyl, arylcarbonyl, alkoxycarbonyl, CONH2, alkylaminocarbonyl, alkylsulfonyl, or arylsulfonylamino; R5 = (un) substituted (di) oxoimidazolidinyl, (di) oxooxazolidinyl, (di)oxothiazolidinyl, dioxooxadiazolidinyl, tetrazolyl, oxopyrrolinyl, alkoxycarbonyl, aminocarbonyl, acyl, ureido, etc.; or a pharmaceutically acceptable salt thereof] were prepared by standard and combinatorial synthetic methods as  $\beta$ 3 adrenergic receptor agonists. For example, acetic acid was added to a mixture of N-[5-[(1R)-2-amino-1-hydroxyethy1]-2hydroxyphenyl]methanesulfonamide (preparation given), 2-[4-(4-oxo-1piperidinyl)benzyl]-1,2,4-oxadiazolidine-3,5-dione, and DMF. Sodium triacetoxyborohydride was added and the mixture stirred at room temperature for 24

h to give (R)-I (71%). The latter bound to the  $\beta 3$  adrenergic receptor with EC50 of 20  $\mu\text{M}\text{,}$  exhibited a maximal response activity equivalent to isoproterenol, and increased thermogenesis in  $\beta 3$  transgenic mice by 30  $\pm$  8% compared to an increase of 16  $\pm$  4% in  $\beta$ 3 knockout mice. Thus, I are useful in treating or inhibiting metabolic disorders related to insulin resistance or hyperglycemia (typically associated with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenetic inflammation, glaucoma, ocular hypertension, frequent urination, and are particularly useful in the treatment or inhibition II diabetes.

cyclic amine prepn beta adrenergic receptor agonist; antidiabetic agent ST cyclic amine prepn

ΙΤ Antiarteriosclerotics

> (antiatherosclerotics; preparation of cyclic amine Ph  $\beta$ 3 adrenergic receptor agonists for treatment of metabolic disorders related to insulin resistance or hyperglycemia)

ΙΤ Micturition

> (frequent, treatment; preparation of cyclic amine Ph  $\beta$ 3 adrenergic receptor agonists for treatment of metabolic disorders related to insulin resistance or hyperglycemia)

ΙT Anti-inflammatory agents

(neurogenetic; preparation of cyclic amine Ph  $\beta 3$  adrenergic receptor agonists for treatment of metabolic disorders related to insulin resistance or hyperglycemia)

TT Diabetes mellitus

> (non-insulin-dependent, treatment; preparation of cyclic amine Ph  $\beta 3$ adrenergic receptor agonists for treatment of metabolic disorders related to insulin resistance or hyperglycemia)

IT Antidiabetic agents

Antiglaucoma agents

Antiobesity agents

## Combinatorial library

(preparation of cyclic amine Ph  $\beta$ 3 adrenergic receptor agonists for treatment of metabolic disorders related to insulin resistance or hyperglycemia)

TΤ Digestive tract, disease

(treatment; preparation of cyclic amine Ph β3 adrenergic receptor agonists for treatment of metabolic disorders related to insulin resistance or hyperglycemia)

421-52-3P 5577-42-4P, N-[4-(2-Bromoacetyl)phenyl]methanesulfonamide IT

13698-56-1P, N-[5-(2-Amino-1-hydroxyethyl)-2-hydroxyphenyl]methanesulfonam 14336-30-2P, N-[2-Benzyloxy-5-(2-dibenzylamino-1oxoethyl)phenyl]methanesulfonamide 54126-80-6P, 2-Methyl-5-(2-57743-42-7P, 3-(2-Oxiranylmethoxy)pyridine oxiranylmethoxy)pyridine 62312-88-3P, N-Benzyl-N-(2-benzyloxy-5-oxiranylmethoxyphenyl)methanesulfon 71031-03-3P, (2S)-2-Phenoxymethyloxirane 74213-24-4P, Dibromoformaldoxime 76596-53-7P, 3-Bromo-5-acetylisoxazole 79406-58-9P, 79406-57-8P 76596-54-8P, 3-Bromo-5-(bromoacetyl)isoxazole 79406-59-0P, N-(5-Acetyl-2-chlorophenyl)methanesulfonamide N-(5-Bromoacetyl-2-chlorophenyl)methanesulfonamide 112243-65-9P, 113732-55-1P, 2-Bromo-1-[2-(2S)-1-Amino-3-phenoxypropan-2-ol (trifluoromethyl)-1,3-thiazole-4-yl]ethanone 119677-65-5P, (1R)-2-Bromo-1-(3-bromoisoxazole-5-yl)ethanol 129280-26-8P, N-[4-((1R)-2-Amino-1-hydroxyethyl)] phenyl] methanesulfonamide 168203-91-6P, (1S)-2-Bromo-1-[2-(trifluoromethyl)-1,3-thiazole-4-169032-01-3P, (1R)-2-Amino-1-(3-chlorophenyl)ethanol vllethanol 197446-34-7P, 174060-40-3P, 3-((2R)-Oxiranyl)pyridine hydrochloride 4-(4-0xopiperidin-1-y1) benzoic acid 208459-22-7P, (2S)-1-Amino-3-(4-218610-20-9P, 8-(2-Nitrophenyl)-1,4-dioxa-8hydroxyphenoxy)propan-2-ol azaspiro[4.5] decane 300345-77-1P, N-[5-((1R)-2-Azido-1-hydroxyethyl)-2benzyloxyphenyl]methanesulfonamide 300345-78-2P, N-[2-Benzyloxy-5-(2-amino-(1R)-1-hydroxyethyl)phenyl]methanesulfonamide 329281-07-4P, 3-((2S)-Oxiranyl)pyridine 340756-74-3P, 340756-75-4P, 4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)benzoic acid N-[5-(2-Amino-(1R)-1-hydroxyethyl)-2-hydroxyphenyl]methanesulfonamide 340756-77-6P, [4-(4-Oxopiperidin-1-yl)phenyl]acetic acid 340756-80-1P, (E)-3-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)phenyl]acrylic acid ethyl340756-81-2P, (E) -3-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-azaspiro[4ester 340756-82-3P, (E)-3-[4-(4-Oxopiperidin-1yl)phenyl]acrylic acid yl)phenyl]acrylic acid 340756-84-5P, [4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-340756-87-8P, 4-(4-0xo-1-piperidin-1yl)phenyl]methanol 340756-85-6P 340756-90-3P, Ethyl [[4-(4-oxo-1yl)benzamide 340756-91-4P, 3-[4-(4-Oxopiperidin-1piperidinyl)benzoyl]amino]acetate yl)benzoylamino]propionic acid benzyl ester 340756-92-5P 340756-93-6P, 3-Methyl-(2S)-2-[4-(4-oxopiperidin-1-yl)benzoylamino]butyric acid ethyl ester 340756-94-7P, 4-Methyl-(2S)-2-[4-(4-oxopiperidin-1yl)benzoylamino]pentanoic acid ethyl ester 340756-95-8P 340757-10-0P, 1-[4-(Butylamino)phenyl]-4-piperidinone 340757-11-1P, Ethyl 3-oxo-3-[4-(4-oxo-1-piperidinyl)anilino]propanoate 340757-12-2P 340809-44-1P, (2S)-2-[4-(4-Oxopiperidin-1-yl)benzoylamino]-3-344604-91-7P, 5-[4-(4-Oxopiperidin-1phenylpropionic acid methyl ester 349636-57-3P, 1-[4-(2-Imino-4yl)benzyl]thiazolidine-2,4-dione oxothiazolidin-5-ylmethyl)phenyl]piperidin-4-one 349636-61-9P, tert-Butyl 2-[2,4-dioxo-5-[4-(4-oxo-1-piperidinyl)benzyl]-1,3-thiazolidin-349636-63-1P, 4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-3-yl]acetate yl)benzaldehyde oxime 349636-64-2P, 8-[4-[(Hydroxyamino)methyl]phenyl]-349636-66-4P, N-Hydroxy-N-[4-(4-oxo-1-1,4-dioxa-8-azaspiro[4.5]decane 349636-68-6P, 2-[4-(4-0xo-1-piperidinyl)benzyl]piperidinyl)benzyl]urea 349636-91-5P, 5-(3-Amino-(2S)-2-hydroxy-1,2,4-oxadiazolidine-3,5-dione propoxy) -8-hydroxy-3, 4-dihydro-1H-quinolin-2-one 349636-95**-**9P,  $\label{eq:n-solution} $N-[5-((2S)-3-Amino-2-hydroxypropoxy)-2-hydroxyphenyl]$ methanesulfonamide$ 373359-51-4P, 4-(1,4-Dioxa-8-373359-46-7P 373359**-**50-3P 373359-52-5P, N-[4-[4-(1,4-Dioxa-8azaspiro[4.5]dec-8-yl)phenylamine azaspiro[4.5]dec-8-yl)phenylsulfamoyl]phenyl]acetamide 373359-53-6P, N-[4-[4-(4-Oxopiperidin-1-yl)phenylsulfamoyl]phenyl]acetamide373359-55-8P 373359-56-9P, 5-Pyridin-2-ylthiophene-2-373359-54-7P 373359-57-0P, sulfonic acid [4-(4-oxopiperidin-1-yl)phenyl]amide 3,4-Dimethoxy-N-[4-(4-oxopiperidin-1-yl)phenyl]benzenesulfonamide 373359-58-1P, Butane-1-sulfonic acid [4-(4-oxo-piperidin-1-yl)phenyl]amide 373359-59-2P, Octane-1-sulfonic acid [4-(4-oxo-1-piperidinyl)phenyl]amide 373359-60-5P, Pyridine-3-sulfonic acid [4-(4-oxopiperidin-1-373359-61-6P 373359-62-7P, 3-[4-(4-Oxopiperidin-1yl)phenyl]amide yl)phenylsulfamoyl]thiophene-2-carboxylic acid methyl ester

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373359-64-9P, 4-[4-(4-Oxopiperidin-1-yl)phenylsulfamoyl]benzoic acid
373360-08-8P, (2S)-1-Amino-3-(4-benzyloxyphenoxy)propan-2-ol
391872-89-2P, 5-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)benzylidene]-2-
                          391904-79-3P, Benzoic acid 4-benzyloxy-3-
thioxothiazolidin-4-one
nitrophenyl ester
                   391904-80-6P
                                   391904-81-7P, Benzoic acid
                                                 391904-82-8P
3-benzenesulfonylamino-4-benzyloxyphenyl ester
391904-83-9P, N-Benzyl-N-(2-benzyloxy-5-hydroxyphenyl)benzenesulfonamide
              391904-85-1P, N-[5-(3-Amino-2-hydroxypropoxy)-2-
391904-84-0P
                                   391904-86-2P, N-[5-((1R)-2-Azido-1-
hydroxyphenyl]benzenesulfonamide
hydroxyethyl)-2-chlorophenyl]methanesulfonamide
                                                  391904-87-3P
391904-88-4P, Propane-2-sulfonic acid [5-((lR)-2-amino-1-hydroxyethyl)-2-
                     391904-89-5P, N-(5-Acetyl-2-
hydroxyphenyl]amide
                                     391904-90-8P, N-(2-Benzyloxy-5-
benzyloxyphenyl)benzenesulfonamide
bromoacetylphenyl)benzenesulfonamide
                                       391904-91-9P, N-[2-Benzyloxy-5-(2-
bromo-1-hydroxyethyl)phenyl]benzenesulfonamide
                                                391904-92-0P,
N-[5-((1R)-2-Azido-1-hydroxyethyl)-2-benzyloxyphenyl]benzenesulfonamide
391904-93-1P, N-[5-((1R)-2-Amino-1-hydroxyethyl)-2-
hydroxyphenyl]benzenesulfonamide
                                   391904-94-2P, 1-[4-(4-0xo-2-
thioxothiazolidin-5-ylidenemethyl)phenyl]piperidin-4-one
                                                           391904-95-3P,
5-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)benzylidene]thiazolidine-2,4-dione
391904-96-4P, 5-[4-(4-Oxopiperidin-1-y1)benzylidene]-thiazolidine-2,4-
        391904-97-5P, 5-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-
dione
yl)benzyl]thiazolidine-2,4-dione
                                   391904-98-6P, 5-[4-(1,4-Dioxa-8-
azaspiro[4.5]dec-8-yl)benzylidene]-imidazolidine-2,4-dione
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5-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)benzyl]imidazolidine-2,4-dione
391905-02-5P, 5-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)benzylidene]-2-
                         391905-03-6P, 5-[4-(4-Oxopiperidin-1-
iminothiazolidin-4-one
yl)benzylidene]-imidazolidine-2,4-dione
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1-[4-(2-Imino-4-oxothiazolidin-5-ylidenemethyl)phenyl]piperidin-4-one
391905-07-0P, 5-[4-(4-Oxopiperidin-1-yl)benzyl]imidazolidine-2,4-dione
391905-10-5P, 8-[4-(1H-Tetrazol-5-yl)phenyl]-1,4-dioxa-8-
                      391905-12-7P, 1-[4-(1H-Tetrazol-5-
azaspiro[4.5]decane
yl)phenyl]piperidin-4-one
                            391905-13-8P, Ethyl [5-[4-(1,4-dioxa-8-
azaspiro[4.5]dec-8-yl)phenyl]-2H-tetrazol-2-yl]acetate 391905-14-9P,
Ethyl [5-[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)phenyl]-1H-tetrazol-1-
             391905-16-1P, Ethyl 2-[2,4-dioxo-5-[4-(4-oxo-1-1)]
yllacetate
piperidinyl)benzyl]-1,3-thiazolidin-3-yl]acetate
                                                   391905-17-2P,
N-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)benzyl]-N-hydroxyurea
391905-20-7P, 3-Bromo-4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)benzaldehyde
391905-21-8P, 5-[3-Bromo-4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)benzylidene]-
                       391905-22-9P, 5-[3-Bromo-4-(4-oxopiperidin-1-
thiazolidine-2,4-dione
                                        391905-24-1P, 4-(1,4-Dioxa-8-
yl)benzylidene]thiazolidine-2,4-dione
azaspiro[4.5]dec-8-yl)-3-fluorobenzaldehyde
                                              391905-25-2P,
5-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorobenzylidene]thiazolidine-
            391905-28-5P, 5-[3-Fluoro-4-(4-oxopiperidin-1-
2,4-dione
                                   391905-30-9P, 5-[3-Fluoro-4-(4-
yl)benzyl]thiazolidine-2,4-dione
oxopiperidin-1-yl)benzylidene]thiazolidine-2,4-dione
                                                       391905-89-8P,
4-((2S)-Oxiran-2-yl)-2-trifluoromethyl-1,3-thiazole
                                                      391905-90-1P,
(1S)-2-Amino-1-[(2-trifluoromethyl)-1,3-thiazole-4-yl]ethanol
391905-92-3P, (1S)-2-Bromo-1-[3-(3,4-dichlorophenyl)isoxazol-5-yl]ethanol
391905-93-4P, 3-(3,4-Dichlorophenyl)-5-((2S)-oxiran-2-yl)isoxazole
391905-94-5P, (1S)-2-Amino-1-[3-(3,4-dichlorophenyl)isoxazol-5-yl]ethanol
391905-96-7P, N-[4-((1R)-2-Bromo-1-hydroxyethyl)phenyl]methanesulfonamide
391905-97-8P, N-[4-((1R)-2-Azido-1-hydroxyethyl)phenyl]methanesulfonamide
391906-00-6P, 3-Bromo-5-((2S)-oxiran-2-yl)isoxazole
                                                      391906-01-7P,
(1S)-2-Amino-1-(3-bromoisoxazole-5-yl) ethanol
                                                391906-03-9P,
                                             391906-05-1P,
(2S) -1-Amino-3-(3-pyridinyloxy) -2-propanol
(2S)-1-Amino-3-[(6-methyl-3-pyridinyl)oxy]-2-propanol
                                                        391906-07-3P,
(1R) - 2 - Bromo - 1 - (3 - pyridinyl) - 1 - ethanol
                                         391906-08-4P,
(1S)-2-Amino-1-(3-pyridinyl)-1-ethanol
                                         391906-10-8P,
(1R) -2-Amino-1-[1,2,3,4] tetrazolo[1,5-a]pyridin-6-yl-1-ethanol
391906-12-0P, 5-[4-[4-[(2R)-2-Hydroxy-2-[1,2,3,4]tetrazolo[1,5-a]pyridin-
6-ylethyl]amino]-1-piperidinyl]benzyl]-1,3-thiazolidine-2,4-dione
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391906-13-1P, (1R)-2-Amino-1-(3-pyridinyl)-1-ethanol391906-15-3P, N-[5-(2-Bromoacetyl)-2-pyridinyl]methanesulfonamide 391906-16-4P 391906-24-4P, 391906-20-0P 391906-21-1P 391906-23-3P 5-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)phenyl]-1,2-dihydropyrazol-3-one391906-25-5P, 1-[4-(5-0xo-2,5-dihydro-1H-pyrazol-3-yl)phenyl]piperidin-4-391906-27-7P, 2-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)benzylidene]malonic acid diethyl ester 391906-28-8P, 2-[4-(4-Oxopiperidin-1yl)benzylidene|malonic acid diethyl ester 391906-29-9P, N-(Benzyloxy)-4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)benzamide391906-30-2P, N-(Benzyloxy)-4-(4-oxopiperidin-yl)benzamide 391906-31-3P, (2S)-2-[4-(4-Oxopiperidin-1-yl)benzoylamino]pentanedioic acid diethyl 391906-32-4P, 3-[4-(4-Oxopiperidin-1-yl)benzoylamino]propionic 391906-33-5P, Methyl [[4-(4-oxo-1acid ethyl ester piperidinyl)benzoyl]amino]acetate 391906-34-6P, 4-Methyl-(2S)-2-[4-(4oxopiperidin-1-yl)benzoylamino]pentanoic acid methyl ester 391906-35-7P, Methyl 1-[4-(4-oxopiperidin-1-yl)benzoylamino]cyclopropanecarboxylate 391906-36-8P, (E)-3-[4-(4-Oxopiperidin-1-yl)phenyl]acrylic acid ethyl 391906-37-9P, 4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)-N-[(1S)-1-(hydroxymethyl)-3-methylbutyl]benzamide 391906-38-0P, N-[(1S)-1-(Hydroxymethyl)-3-methylbutyl]-4-(4-oxo-1-piperidinyl) benzamide391906-40-4P, N-((3S)-2-Oxoazepanyl)-4-(4-oxo-1-391906-39-1P 391906-41-5P, N-Butyl-N-(cyanomethyl)-4-(1,4-dioxapiperidinyl)benzamide 391906-42-6P, N-Butyl-4-(1,4-dioxa-8-8-azaspiro[4.5]dec-8-yl)benzamide azaspiro[4.5]dec-8-yl)-N-(1H-tetrazol-5-ylmethyl)benzamide 391906-43-7P, N-Butyl-4-(4-oxo-1-piperidinyl)-N-(1H-tetrazol-5-ylmethyl)benzamide 391906-50-6P 391906-68-6P, N-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8yl)phenyl]-4-methoxybenzenesulfonamide 391906-70-0P, 4-Methoxy-N-[4-(4-oxopiperidin-1-yl)phenyl]benzenesulfonamide 391906-73-3P, 2-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)phenylamine hydrochloride 391906-75-5P, N-[4-[2-(1,4-Dioxa-8-azaspiro[4.5]dec-8-391906-77-7P, N-[4-[2-(4yl)phenylsulfamoyl]phenyl]acetamide 391906-79-9P, Oxopiperidin-1-yl)phenylsulfamoyl]phenyl]acetamide 391906-82-4P, 1-(4-Aminophenyl)piperidinhexylureido) benzenesulfonamide 4-one hydrochloride 391906-84-6P, 5-(5-Trifluoromethylpyridin-2ylsulfonyl)thiophene-2-sulfonic acid [4-(4-oxopiperidin-1-yl)phenyl]amide 391906-88-0P, 4-[4-(4-0xopiperidin-1yl)phenyl]benzenesulfonamide yl)phenylsulfamoyl]benzoic acid ethyl ester 391906-93-7P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid [4-(4-oxopiperidin-1yl)phenyl]amide 391906-95-9P, 4-Cyano-N-[4-(4-oxopiperidin-1-391906-97-1P, 3-Bromo-5-chlorothiophene-2yl)phenyl]benzenesulfonamide 391906-99-3P sulfonic acid [4-(4-oxopiperidin-1-yl)phenyl]amide 391907-02-1P, 3,4-Dichloro-N-[4-(4-oxopiperidin-1yl)phenyl]benzenesulfonamide 391907-05-4P, N-[4-(4-Oxopiperidin-1yl)phenyl]-4-trifluoromethylbenzenesulfonamide 391907-07-6P, N-[4-(4-Oxopiperidin-1-yl)phenyl]-4-trifluoromethoxybenzenesulfonamide391907-09-8P, 4-Chloro-N-[4-(4-oxopiperidin-1-yl)phenyl]benzenesulfonamide 391907-11-2P, 4-Butyl-N-[4-(4-oxopiperidin-l-yl)phenyl]benzenesulfonamide 391907-14-5P, 2,5-Dimethoxy-N-[4-(4-oxopiperidin-lyl)phenyl]benzenesulfonamide 391907-16-7P, 3,5-Dichloro-N-[4-(4-391907-18-9P, oxopiperidin-1-yl)phenyl]benzenesulfonamide 5-Bromo-2-methoxy-N-[4-(4-oxopiperidin-1-yl)phenyl]benzenesulfonamide 391907-22-5P, [(3,4-Dimethoxybenzenesulfonyl)-[4-(4-391907-20-3P oxopiperidin-1-yl)phenyl]amino]acetic acid ethyl ester 391907-24-7P 391907-27-0P, [(3,4-Dimethoxybenzenesulfonyl)]4-(4-oxopiperidin-1-391909-58-3P yl)phenyl]amino]acetic acid benzyl ester 391909-60-7P 391909-62-9P 391909-64-1P, N-[4-(4-Oxopiperidin-1-y1)phenyl]butyramide 391909-67-4P, 3,4-Dimethoxy-N-[4-(4-oxopiperidin-1-y1)phenyl]benzamide 391909-69-6P, 2-Chloro-N-[4-(4-oxopiperidin-1-yl)phenyl]acetamide 391910-20-6P, 1-Benzhydryl-3-(tert-391909-78-7P 391909-80-1P 391910-22-8P, 1-(4butyldimethylsilanyloxy)azetidine 391910-24-0P 391910-26-2P, Nitrophenyl)azetidin-3-ol

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Benzyl[1-(4-nitrophenyl)azetidin-3-yl]amine 391910-28-4P,  $(2S)^{-1}$ -[Benzyl[1-(4-nitrophenyl)azetidin-3-yl]amino]-3-(9H-carbazol-4-391910-31-9P yloxy)propan-2-ol 391910-33-1P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; preparation of cyclic amine Ph \( \beta \) adrenergic receptor agonists for treatment of metabolic disorders related to insulin resistance or hyperglycemia) 98-09-9, Phenylsulfonyl chloride 97-31-4, DL-Normetanephrine 98-31-7, 3,4-Dichlorobenzene sulfonyl chloride 98-60-2, 4-Chlorobenzene sulfonyl chloride 98-68-0, 4-Methoxybenzenesulfonyl chloride 103-49-1, Dibenzylamine 104-14-3, Benzaldehyde, reactions DL-Octopamine 105-36-2, Ethyl bromoacetate 105-53-3, Diethyl malonate 107-95-9,  $\beta$ -Alanine 108-94-1, Cyclohexanone, reactions 109-00-2, 121-60-8, N-Acetylsulfanilyl chloride 3-Pyridinol 123-72-8, 141-75-3, Butyryl chloride Butyraldehyde 177-11-7, 1,4-Dioxa-8-azaspiro[4.5]decane 298-12-4, Glyoxylic acid 350-46-9, 4-Fluoronitrobenzene 354-38-1, Trifluoroacetamide 461-72-3, Hydantoin 556-90-1, Pseudothiohydantoin 536-21-0, DL-Norphenylephrine 623-33-6, Glycine ethyl ester hydrochloride 623-48-3, Ethyl iodoacetate 705-21-5, 3,5-Dichlorobenzene sulfonyl chloride 1118-89-4, L-Glutamic acid diethyl ester hydrochloride 1121-78-4, 6-Methyl-3-pyridinol 1126-78-9, N-Butylaniline 1138-56-3, 4-Butoxybenzenesulfonyl chloride 1423-60-5, 3-Butyn-2-one 1483-28-9, 2,5-Dimethoxybenzene sulfonyl chloride 1493-27-2, 2-Fluoronitrobenzene 1821-36-9, N-Cyclohexylaniline 2133-40-6, L-Proline methyl ester hydrochloride 2295-31-0, 2,4-Thiazolidinedione 2386-60-9, 1-Butanesulfonyl chloride 2687-43-6, O-Benzylhydroxyamine hydrochloride 2743-40-0, L-Leucine ethyl ester hydrochloride 2791-84-6 2949-22-6, Ethyl isocyanatoacetate 2991-42-6, 4-(Trifluoromethyl)benzene sulfonyl chloride 3010-04-6, (n-Butylamino)acetonitrile 3182-83-0, N-Butylglycine ethyl ester 4244-84-2,  $\beta$ -Alanine ethyl ester hydrochloride 5002-93-7, 1-Amino-3-(4-methoxyphenoxy)propan-2-ol 5292-43-3, tert-Butyl 5437-45-6, Benzyl 2-bromoacetate 5465-65-6 5680-79-5, bromoacetate 6305-43-7, 1,4-Dibromo-2,3-Glycine methyl ester hydrochloride butanedione 7517-19-3, L-Leucine methyl ester hydrochloride 7524-50-7, L-Phenylalanine methyl ester hydrochloride 7568-93-6, 2-Amino-1-phenylethanol 7598-91-6, Ethyl 5-hydroxy-2-methylindole-3-carboxylate 7795-95-1, 1-Octanesulfonyl chloride 10130-89-9, 4-(Chlorosulfonyl)benzoic acid 10147-37-2, Isopropylsulfonyl chloride 13985-43-8, Benzoic acid 4-hydroxy-3-nitrophenyl ester 14347-15-0, 1-(3-Amino-4-benzyloxyphenyl)ethanone 16133-25-8, 3-Pyridinesulfonyl 17609-47-1, L-Valine ethyl ester hydrochloride 17694-68-7, 3-(2-Bromoacetyl)pyridine hydrobromide 18162-48-6, tert-Butyldimethylsilyl chloride 18621-17-5, 1-(Diphenylmethyl)-3hydroxyazetidine 19828-20-7, 1-(6-Amino-3-pyridinyl)-1-ethanone 21568-87-6, L- $\alpha$ -Amino- $\epsilon$ -caprolactam 23095-05-8, 5-Bromo-2-methoxybenzene sulfonyl chloride 23499-01-6, 25437-95-0, 1-(4-Ethoxycarbonylphenyl)-1-(4-Nitrophenyl)piperidin-4-one 27019-47-2 34036-07-2, 3,4-Difluorobenzaldehyde 4-piperidone 36239-09-5, Ethyl 3-chloro-3-oxopropionate 54997-92-1, 4-n-Butylbenzene 56077-78-2, (4-Chlorosulfonylphenoxy)acetic acid sulfonyl chloride 59337-92-7, 2-(Methoxycarbonyl)thiophene-3-sulfonyl methyl ester 59826-16-3, 8-Benzyloxy-5-hydroxy-3,4-dihydro-1H-quinolin-2-one chloride 62312-86-1, N-Benzyl-N-(2-benzoxy-5-hydroxyphenyl)methanesulfonamide 62600-71-9, (1R)-2-(3-Chlorophenyl)oxirane 63131-29-3, Methyl 4-fluorobenzoyl acetate 72784-42-0, 1-Aminocyclopropane-1-carboxylic 77771-02-9, 3-Bromo-4-fluorobenzaldehyde acid methyl ester hydrochloride 79421-38-8, 4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)benzoic acid ethyl ester 79421-39-9, 4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)benzonitrile79421-40-2, 4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)benzaldehyde 79421-41-3, 1-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-y1)phenyl]ethanone79421-42-4, 8-(4-Nitrophenyl)-1, 4-dioxa-8-azaspiro[4.5]decane

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94108-56-2, 4-(Trifluoromethoxy) benzene sulfonyl chloride
                                                            95093-95-1.
(2S)-3-(9H-Carbazol-4-yloxy) methyloxirane 101544-49-4,
                                                    115314-14-2,
5-(2-Amino-1-hydroxyethyl)-1H-indole-7-carboxamide
(2S)-(+)Glycidyl 3-nitrobenzenesulfonate 121652-86-6,
(1R)-2-Amino-1-(3-chlorophenyl) ethanol 122797-04-0, (2S)-2-(4-1)
Benzyloxyphenoxymethyl)oxirane 123787-99-5, 6-((2R)-
Oxiranyl)[1,2,3,4]tetrazolo[1,5-a]pyridine
                                           125758-75-0,
4-(2,4-Dioxothiazolidin-5-ylmethyl)benzenesulfonyl chloride
2-(Benzoylaminomethyl)thiophene-5-sulfonyl chloride
                                                      143412-40-2,
(2S)-3-(9H-Carbazol-4-yloxy)-2-hydroxypropylamine 151858-64-9,
5-Pyridin-2-ylthiophene-2-sulfonyl chloride
                                             159215-13-1
                                                            166740-83-6,
4-((2S)-3-Amino-2-hydroxypropoxy)-1,3-dihydrobenzoimidazol-2-one
170011-70-8, 1-(4-Aminophenyl)piperidin-4-one
                                               173901-02-5,
4-(3-Hexylureido)benzenesulfonyl chloride
                                          175135-06-5,
4-(2-Chloro-4-nitrophenoxy)-3,5-dichlorobenzenesulfonyl chloride
175202-87-6, 5-(5-Trifluoromethylpyridin-2-ylsulfonyl)thiophene-2-sulfonyl
          175277-38-0, 5-(Bromoacetyl)-3-(3,4-dichlorophenyl)isoxazole
chloride
182251-92-9
              246262-39-5, N-[2-Benzyloxy-5-[2-iodo-(1R)-1-
[(triethylsilyl)oxy]ethyl]phenyl]methanesulfonamide
                                                      327088-20-0,
2-Amino-1-(6-methylpyridin-3-yl)ethanol
                                        338422-71-2,
4-(3-Chloro-5-trifluoromethyl-2-pyridyloxy)benzenesulfonyl chloride
373359-49-0, N-[2-Benzyloxy-5-(2-chloro-1-oxoethy1)phenyl]methanesulfonami
     373360-07-7
                   373360-12-4, 2-Amino-1-(2,4-dihydroxyphenyl)ethanol
391872-88-1, N-[2-Benzyloxy-5-(2-bromo-1-hydroxyethyl)phenyl]methanesulfon
                      391908-36-4, [4-[4-(4-Oxopiperidin-1-
        391906-57-3
yl)phenylsulfamoyl]phenyl]acetic acid methyl ester
                                                     391908-43-3
RL: RCT (Reactant); RACT (Reactant or reagent)
   (reactant; preparation of cyclic amine Ph \beta 3 adrenergic receptor
  agonists for treatment of metabolic disorders related to insulin
   resistance or hyperglycemia)
9004-10-8, Insulin, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
   (resistance; preparation of cyclic amine Ph \beta3 adrenergic receptor
  agonists for treatment of metabolic disorders related to insulin
   resistance or hyperglycemia)
340756-96-9P, Ethyl [[4-[4-[(2R)-2-hydroxy-2-[4-hydroxy-3-
[(methylsulfonyl)amino]phenyl]ethyl]amino]-1-piperidinyl]benzoyl]amino]ace
       340756-97-0P 340756-98-1P
                                    340757-00-8P
                                                    340757-13-3P, Ethyl
3-[4-[4-[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethy
l]amino]-1-piperidinyl]anilino]-3-oxopropanoate 344605-02-3P
373360-02-2P, Methyl 3-[[4-[4-[(2R)-2-hydroxy-2-[4-hydroxy-3-
[(methylsulfonyl)amino]phenyl]ethyl]amino]-1-piperidinyl]anilino]sulfonyl]-
                        391905-31-0P
                                      391905-67-2P 391905-86-5P, Ethyl
2-thiophenecarboxylate
[5-[4-[4-[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]eth]
yl]amino]-1-piperidinyl]phenyl]-1H-tetrazol-1-yl]acetate
                                                          391906-46-0P
391908-97-7P
              391909-84-5P, Ethyl [[[4-[4-[[(2R)-2-hydroxy-2-[4-hydroxy-3-
[(methylsulfonyl)amino]phenyl]ethyl]amino]-1-piperidinyl]anilino]carbonyl]
               391909-88-9P
                              391910-35-3P
amino]acetate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
   (\beta3 agonist; preparation of cyclic amine Ph \beta3 adrenergic receptor
  agonists for treatment of metabolic disorders related to insulin
  resistance or hyperglycemia)
329280-02-6P, 4-[4-[(2S)-2-Hydroxy-3-(2-oxo-2,3-dihydro-1H-benzimidazole-4-
yloxy)propyl]amino]piperidin-1-yl]benzoic acid ethyl ester
                                                             340756-76-5P
              340756-83-4P
                            340756-86-7P
                                           340756-88-9P
                                                            340756-99-2P
340757-01-9P, [[4-[4-[[(2R)-2-Hydroxy-2-[4-hydroxy-3-
[(methylsulfonyl)amino]phenyl]ethyl]amino]-l-piperidinyl]benzoyl]amino]ace
          340757-02-0P
tic acid
                         340757-03-1P 340757-04-2P
                                                       340757-05-3P
340757-06-4P
              340757-07-5P, (2S)-2-[[4-[4-[(2R)-2-Hydroxy-2-[4-hydroxy-3-
[(methylsulfonyl)amino]phenyl]ethyl]amino]-1-piperidinyl]benzoyl]amino]pen
tanedioic acid 340757-08-6P
                               340757-14-4P 340757-15-5P,
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3-[4-[4-[((2R)-2-Hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethy l]amino]-l-piperidinyl]anilino]-3-oxopropanoic acid 340757-17-7p 344604-93-9P 344604-95-1P 344604-97-3P 344604-98-4P 349636-59-5P 349636-62-0P 349636-69-7P, N-[5-[(1R)-2-[1-[4-(3,5-Dioxo-[1,2,4]oxadiazolidin-2-ylmethyl)phenyl]piperidin-4-ylamino]-1hydroxyethyl]-2-hydroxyphenyl]methanesulfonamide 373359-67-2P 373359-68-3P, N-[4-[4-[4-(4-(4-(4-4))]]phenoxypropyl)amino]-1-piperidinyl]anilino]sulfonyl]phenyl]acetamide 373359-69-4P, N-[4-[[4-[4-[[(2S)-2-Hydroxy-3-(4hydroxyphenoxy)propyl]amino]-1-piperidinyl]anilino]sulfonyl]phenyl]acetami 373359-70-7P, N-[4-[[4-[4-[(2S)-2-Hydroxy-3-[(2-oxo-2,3-dihydro-1Hbenzimidazol-4-yl)oxy]propyl]amino]-1-piperidinyl]anilino]sulfonyl]phenyl] 373359-71-8P, N-[4-[[4-[4-[(2S)-2-Hydroxy-3-[(8-hydroxy-2-oxo-1,2,3,4-tetrahydro-5-quinolinyl)oxy]propyl]amino]-1piperidinyl]anilino]sulfonyl]phenyl]acetamide 373359-72-9P, piperidinyl]anilino]sulfonyl]phenyl]acetamide 373359-73-0P, 4-[4-[(Hexylamino)carbonyl]amino]-N-[4-[4-[(2S)-2-hydroxy-3-[(2-oxo-2,3dihydro-1H-benzimidazol-4-yl)oxy]propyl]amino]-1-373359-74-1P, 4-[4-(3piperidinyl]phenyl]benzenesulfonamide Cyclopentylpropyl)-5-oxo-4,5-dihydro-1H-tetrazol-1-yl]-N-[4-[4-[(2S)-2-(2S)-2hydroxy-3-[(2-oxo-2,3-dihydro-1H-benzimidazol-4-yl)oxy]propyl]amino]-1piperidinyl]phenyl]benzenesulfonamide 373359-75-2P, N-[4-[4-[(2S)-2-Hydroxy-3-[(2-oxo-2,3-dihydro-1H-benzimidazol-4-yl)oxy]propyl]amino]-1piperidinyl]phenyl]-5-(2-pyridinyl)-2-thiophenesulfonamide 373359-76-3P, N-[4-[4-[(2S)-2-Hydroxy-3-[(2-oxo-2,3-dihydro-1H-benzimidazol-4yl)oxy]propyl]amino]-1-piperidinyl]phenyl]-3,4-dimethoxybenzenesulfonamide 373359-77-4P, N-[4-[4-[[(2S)-2-Hydroxy-3-[(2-oxo-2,3-dihydro-1Hbenzimidazol-4-yl)oxy]propyl]amino]-1-piperidinyl]phenyl]-1butanesulfonamide 373359-78-5P, N-[4-[4-[(2S)-2-Hydroxy-3-[(2-oxo-2,3dihydro-1H-benzimidazol-4-yl)oxy]propyl]amino]-1-piperidinyl]phenyl]-1-373359-79-6P 373359-80-9P, N-[4-[4-[[(2S)-2-Hydroxyoctanesulfonamide 3-[(8-hydroxy-2-oxo-1,2,3,4-tetrahydro-5-quinolinyl)oxy]propyl]amino]-1piperidinyl]phenyl]-3,4-dimethoxybenzenesulfonamide 373359-81-0P, N-[4-[4-[[(2S)-2-Hydroxy-3-[(8-hydroxy-2-oxo-1,2,3,4-tetrahydro-5quinolinyl)oxy]propyl]amino]-1-piperidinyl]phenyl]-1-butanesulfonamide 373359-84-3P, N-[4-[[4-[4-[[2-Hydroxy-2-(4-373359-82-1P 373359-83-2P hydroxyphenyl)ethyl]amino]-1-piperidinyl]anilino]sulfonyl]phenyl]acetamide 373359-85-4P, N-[4-[4-[4-[(2R)-2-(3,4-Dihydroxyphenyl)-2hydroxyethyl]amino]-1-piperidinyl]anilino]sulfonyl]phenyl]acetamide 373359-86-5P, N-[4-[[4-[4-[2-(2,4-Dihydroxyphenyl)-2-hydroxyethyl]amino]-1-piperidinyl]anilino]sulfonyl]phenyl]acetamide 373359-87-6P, N-[4-[4-[4-[4-[2-Hydroxy-2-(4-hydroxy-3-methoxyphenyl)ethyl]amino]-1piperidinyl]anilino]sulfonyl]phenyl]acetamide 373359-88-7P, 5-[2-[[1-[4-[[[4-(Acetylamino)phenyl]sulfonyl]amino]phenyl]-4piperidinyl]amino]-1-hydroxyethyl]-1H-indole-7-carboxamide 373359-90-1P 373359-91-2P, 4-[[(Hexylamino)carbonyl]amino]-N-[4-[4-[[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-1piperidinyl]phenyl]benzenesulfonamide 373359-93-4P 373359-94-5P, N-[4-[4-[2-Hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino[henyl]ethyl]no]-1-piperidinyl]phenyl]-5-(2-pyridinyl)-2-thiophenesulfonamide 373359-95-6P, N-[4-[4-[(2-Hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-1-piperidinyl]phenyl]-3,4dimethoxybenzenesulfonamide 373359-96-7P, N-[4-[4-[[2-Hydroxy-2-[4hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-1-piperidinyl]phenyl]-1-butanesulfonamide 373359-97-8P, N-[4-[4-[[2-Hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-1-piperidinyl]phenyl]-1octanesulfonamide 373359-98-9P, N-[4-[4-[(2R)-2-Hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-1-piperidinyl]phenyl]-3pyridinesulfonamide 373359-99-0P, 4-[[4-[4-[(2R)-2-Hydroxy-2-[4-hydroxy-23-[(methylsulfonyl)amino]phenyl]ethyl]amino]-1piperidinyl]anilino]sulfonyl]benzoic acid 373360-00-0P, Ethyl 4-[4-[4-(2R)-2-hydroxy-2-[4-hydroxy-3-(methylsulfonyl)amino]phenyl]eth

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yl]amino]-l-piperidinyl]anilino]sulfonyl]benzoate
                                                                              373360-03-3P,
 3-[[4-[4-[[(2R)-2-Hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]eth
yl]amino]-1-piperidinyl]anilino]sulfonyl]-2-thiophenecarboxylic acid
 373360-04-4P, Benzyl [[4-[4-[[(2R)-2-hydroxy-2-[4-hydroxy-3-
 [(methylsulfonyl)amino]phenyl]ethyl]amino]-1-piperidinyl]anilino]sulfonyl]
               373360-05-5P, [[4-[4-[[(2R)-2-Hydroxy-2-[4-hydroxy-3-
 [(methylsulfonyl)amino]phenyl]ethyl]amino]-1-piperidinyl]anilino]sulfonyl]
                     373360-06-6P, Methyl {4-[[4-[4-[[(2R)-2-hydroxy-2-[4-hydroxy-
3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-1-
piperidinyl]anilino]sulfonyl]phenoxy]acetate
                                                                       391905-34-3P,
5-[[4-[4-[4-[(R)-2-Hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethylographyl
l]amino]-1-piperidinyl]phenyl]methyl]-2,4-dioxo-3-thiazolidineacetic acid
ethyl ester
                     391905-35-4P
                                           391905-39-8P
                                                                  391905-40-1P
                                                                                         391905-41-2P
391905-42-3P
                       391905-43-4P
                                             391905-44-5P
                                                                    391905-46-7P
                                                                                          391905-48-9P
391905-51-4P
                       391905-54-7P
                                             391905-56-9P
                                                                    391905-58-1P
                                                                                          391905-61-6P
391905-64-9P
                       391905-65-0P
                                             391905-68-3P
                                                                    391905-70-7P
                                                                                          391905-72-9P
391905-76-3P
                       391905-78-5P
                                             391905-80-9P
                                                                    391905-81-0P
                                                                                          391905-82-1P
391905-83-2P
                       391905-84-3P
                                             391905-85-4P
                                                                    391905-87-6P,
[5-[4-[4-[4-[(2R)-2-Hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]eth]
yl]amino]-1-piperidinyl]phenyl]-1H-tetrazol-1-yl]acetic acid
391905-88-7P, [5-[4-[4-[(2R)-2-Hydroxy-2-[4-hydroxy-3-
[(methylsulfonyl)amino]phenyl]ethyl]amino]-1-piperidinyl]phenyl]-2H-
                                        391905-91-2P, 5-[4-[4-[[(2S)-2-Hydroxy-2-[2-
tetrazol-2-yl]acetic acid
(trifluoromethyl)-1,3-thiazol-4-yl]ethyl]amino]piperidine-1-yl]benzyl]-1,3-
                                     391905-95-6P, 5-[4-[4-[[(2S)-2-[3-(3,4-
thiazolidine-2,4-dione
Dichlorophenyl)isoxazol-5-yl]-2-hydroxyethyl]amino]piperidin-1-yl]benzyl]-
                                      391905-98-9P, N-[4-[(1R)-2-[[1-[4-[(2,4-Dioxo-1,3-
1,3-thiazole-2,4-dione
thiazolidin-5-yl)methyl]phenyl]piperidine-4-yl]amino]-1-
hydroxyethyl]phenyl]methanesulfonamide
                                                             391906-02-8P,
5-[4-[4-[4-[(2S)-2-(3-Bromoisoxazol-5-yl)-2-hydroxyethyl]amino]piperidine-
1-yl]benzyl]-1,3-thiazolidine-2,4-dione 391906-04-0P
                                                                                      391906-06-2P
391906-09-5P, 5-[4-[4-((2S)-2-Hydroxy-2-pyridin-3-ylethylamino)piperidin-1-
yl]benzyl]thiazolidine-2,4-dione
                                                    391906-11-9P
                                                                           391906-14-2P,
5-[4-[4-((2R)-2-Hydroxy-2-pyridin-3-ylethylamino)piperidin-1-
yl]benzyl]thiazolidine-2,4-dione
                                                   391906-17-5P
                                                                           391906-19-7P
391906-22-2P
                      391906-26-6P, N-[(2R)-2-Hydroxy-5-[1-hydroxy-2-[1-[4-(5-oxo-
2,5-dihydro-1H-pyrazol-3-yl)phenyl]piperidin-4-
ylamino]ethyl]phenyl]methanesulfonamide
                                                               391906-44-8P
                                                                                      391906-45-9P
391906-47-1P
                      391906-48-2P
                                             391906-49-3P
                                                                   391906-51-7P
                                                                                          391906-52-8P,
Diethyl (2S)-2-[[4-[4-[(2R)-2-hydroxy-2-[4-hydroxy-3-
[(methylsulfonyl)amino]phenyl]ethyl]amino]-1-piperidinyl]benzoyl]amino]pen
tanedioate
                   391906-53-9P, Ethyl 3-[[4-[4-[(2R)-2-hydroxy-2-[4-hydroxy-3-
[(methylsulfonyl)amino]phenyl]ethyl]amino]-l-piperidinyl]benzoyl]amino]pro
               391906-54-0P
panoate
                                      391906-55-1P, Methyl [[4-[4-[[(2R)-2-hydroxy-2-[4-
hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-1-
piperidinyl]benzoyl]amino]acetate
                                                      391906-56-2P
                                                                            391906-58-4P
391906-59-5P, 4-[4-[[(2R)-2-Hydroxy-2-[4-hydroxy-3-
[(methylsulfonyl)amino]phenyl]ethyl]amino]-1-piperidinyl]-N-[(1S)-1-
(hydroxymethyl)-3-methylbutyl]benzamide
                                                              391906-60-8P
                                                                                      391906-62-0P,
N-Butyl-4-[4-[[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl
]ethyl]amino]-1-piperidinyl]-N-(1H-tetrazol-5-ylmethyl)benzamide
391907-29-2P, N-[4-[4-(2-Hydroxy-2-phenylethylamino)piperidin-1-yl]phenyl]-
4-methoxybenzenesulfonamide
                                            391907-31-6P
                                                                   391907-37-2P,
N-[4-[4-[(2R)-2-(3-Chlorophenyl)-2-hydroxyethyl]amino]-1-
piperidinyl]phenyl]-4-methoxybenzenesulfonamide
                                                                           391907-39-4P,
N-[4-[4-[4-[((2R)-2-Hydroxy-2-phenylethyl)amino]-1-piperidinyl]phenyl]-4-
methoxybenzenesulfonamide
                                          391907-41-8P, N-[4-[4-[4-[2-Hydroxy-3-(4-
\verb|methoxyphenoxy|| \verb|amino|| -1 - piperidinyl|| anilino|| sulfonyl|| phenyl|| acetami||
       391907-44-1P, N-[4-[[2-[4-[[2-Hydroxy-2-(3-hydroxyphenyl)ethyl]amino]-
1-piperidinyl]anilino]sulfonyl]phenyl]acetamide
                                                                           391907-46-3P,
N-[4-[2-[4-[(2R)-2-(3,4-Dihydroxyphenyl)-2-hydroxyethyl]amino]-1-
piperidinyl]anilino]sulfonyl]phenyl]acetamide
                                                                      391907-48-5P,
N = [4 - [4 - [(2R) - 2 - (3, 4 - Dihydroxyphenyl) - 2 - hydroxyethyl] amino] - 1 - [4 - [4 - [(2R) - 2 - (3, 4 - Dihydroxyphenyl) - 2 - hydroxyethyl] amino] - 1 - [4 - [4 - [(2R) - 2 - (3, 4 - Dihydroxyphenyl) - 2 - hydroxyethyl] amino] - 1 - [4 - [4 - [(2R) - 2 - (3, 4 - Dihydroxyphenyl) - 2 - hydroxyethyl] amino] - 1 - [4 - [4 - [(2R) - 2 - (3, 4 - Dihydroxyphenyl) - 2 - hydroxyethyl] amino] - 1 - [4 - [4 - [(2R) - 2 - (3, 4 - Dihydroxyphenyl) - 2 - hydroxyethyl] amino] - 1 - [4 - [4 - [(2R) - 2 - (3, 4 - Dihydroxyphenyl) - 2 - hydroxyethyl] amino] - 1 - [4 - [4 - [(2R) - 2 - (3, 4 - Dihydroxyphenyl) - 2 - hydroxyethyl] amino] - 1 - [4 - [4 - [4 - (3, 4 - Dihydroxyphenyl) - 2 - hydroxyethyl] amino] - 1 - [4 - [4 - (3, 4 - Dihydroxyphenyl) - 2 - hydroxyphenyl] - [4 - [4 - (3, 4 - Dihydroxyphenyl) - 2 - hydroxyphenyl] - [4 - [4 - (3, 4 - Dihydroxyphenyl) - 2 - hydroxyphenyl] - [4 - [4 - (3, 4 - Dihydroxyphenyl) - 2 - hydroxyphenyl] - [4 - [4 - (3, 4 - Dihydroxyphenyl] - 2 - hydroxyphenyl] - [4 - [4 - (3, 4 - Dihydroxyphenyl] - 2 - hydroxyphenyl] - [4 - [4 - (3, 4 - Dihydroxyphenyl] - 2 - hydroxyphenyl] - [4 - [4 - (3, 4 - Dihydroxyphenyl] - 2 - hydroxyphenyl] - [4 - [4 - (3, 4 - Dihydroxyphenyl] - 2 - hydroxyphenyl] - [4 - [4 - (3, 4 - Dihydroxyphenyl] - 2 - hydroxyphenyl] - [4 - (3, 4 - Dihydroxyphenyl] - [4 - (3, 4
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piperidinyl]phenyl]-4-methoxybenzenesulfonamide 391907-60-1P, N-[4-[4-[4-[4-[4-[4-[4-[4-hydroxy-3-[4-hyd]amino]-1-piperidinyl]anilino]sulfonyl]phenyl]acetamide 391907-62-3P, 4-[[(Hexylamino)carbonyl]amino]-N-[4-[4-[[2-hydroxy-2-(6-methyl-3pyridinyl)ethyl]amino]-1-piperidinyl]phenyl]benzenesulfonamide 391907-73-6P, N-[4-[4-[[(2S)-2-Hydroxy-3-(4-hydroxyphenoxy)propyl]amino]-1piperidinyl]phenyl]-3,4-dimethoxybenzenesulfonamide 391907-82-7P, 5-Chloro-N-[4-[4-[[(2S)-2-hydroxy-3-[(2-oxo-2,3-dihydro-1H-benzimidazol-4yl)oxy]propyl]amino]-1-piperidinyl]phenyl]-3-methyl-1-benzothiophene-2sulfonamide 391907-84-9P, 4-Cyano-N-[4-[4-[(2S)-2-hydroxy-3-[(2-oxo-2,3-i)]]]dihydro-1H-benzimidazol-4-yl)oxy]propyl]amino]-1piperidinyl]phenyl]benzenesulfonamide 391907-86-1P, 4-Cyano-N-[(4cyanophenyl)sulfonyl]-N-[4-[4-[(2S)-2-hydroxy-3-[(2-oxo-2,3-dihydro-1H-model)]]benzimidazol-4-yl)oxy]propyl]amino]-1-piperidinyl]phenyl]benzenesulfonamid 391907-88-3P, 3-Bromo-5-chloro-N-[4-[4-[[(2S)-2-hydroxy-3-[(2-oxo-2,3-1)])]]dihydro-1H-benzimidazol-4-yl)oxy]propyl]amino]-1-piperidinyl]phenyl]-2-391907-96-3P, N-[4-[4-[[(2S)-2-Hydroxy-3-[(2-oxothiophenesulfonamide 2,3-dihydro-1H-benzimidazol-4-yl)oxy]propyl]amino]-1-piperidinyl]phenyl]-5-(3-isoxazolyl)-2-thiophenesulfonamide 391908-00-2P 391908-02-4P 391908-06-8P, N-[4-[4-[(2S)-3-(9H-Carbazol-4-yloxy)-2-(9H-Carbazol-4-yloxyhydroxypropyl]amino]-1-piperidinyl]phenyl]-3,4-dimethoxybenzenesulfonamide 391908-08-0P, N-[4-[4-[[(2S)-2-Hydroxy-3-(4-hydroxyphenoxy)propyl]amino]-1piperidinyl]phenyl]-5-(2-pyridinyl)-2-thiophenesulfonamide 391908-15-9P, N-[4-[4-[[(2S)-2-Hydroxy-3-[(2-oxo-2,3-dihydro-1H-benzimidazol-4yl)oxy]propyl]amino]-1-piperidinyl]phenyl]-5-[[5-(trifluoromethyl)-2pyridinyl]sulfonyl]-2-thiophenesulfonamide 391908-17-1P, N-[4-[4-[(2S)-2-Hydroxy-3-(4-hydroxyphenoxy)propyl]amino]-1piperidinyl]phenyl]-5-[[5-(trifluoromethyl)-2-pyridinyl]sulfonyl]-2thiophenesulfonamide 391908-19-3P 391908-21-7P, 4-[(2,4-Dioxo-1,3thiazolidin-5-yl)methyl]-N-[4-[4-[[2-hydroxy-2-[4-hydroxy-3-hydroxy-3-[4-hydroxy-3-h[(methylsulfonyl)amino]phenyl]ethyl]amino]-1-piperidinyl]phenyl]benzenesul fonamide 391908-23-9P, N-[4-[4-[(2S)-2-Hydroxy-3-(4hydroxyphenoxy)propyl]amino]-1-piperidinyl]phenyl]-1-octanesulfonamide 391908-26-2P, N-[4-[4-[(2S)-2-Hydroxy-3-[4-hydroxy-3-[(methylsulfonyl)amino]phenoxy]propyl]amino]-1-piperidinyl]phenyl]-3,4dimethoxybenzenesulfonamide 391908-28-4P, N-[4-[4-[(2S)-2-Hydroxy-3-[4hydroxy-3-[(methylsulfonyl)amino]phenoxy]propyl]amino]-1piperidinyl]phenyl]-1-butanesulfonamide 391908-30-8P 391908-32-0P. N-[4-[4-[(2R)-2-(3-Chlorophenyl)-2-hydroxyethyl]amino]-1piperidinyl]phenyl]-4-[[(hexylamino)carbonyl]amino]benzenesulfonamide 391908-34-2P, Ethyl [4-[[4-[4-[(2S)-2-hydroxy-3-[4-hydroxy-3-[(methylsulfonyl)amino]phenoxy]propyl]amino]-1piperidinyl]anilino]sulfonyl]phenyl]acetate 391908-39-7P, Methyl [4-[[4-[4-[4-[(2S)-2-hydroxy-3-[(2-oxo-2,3-dihydro-1H-benzimidazol-4yl)oxy]propyl]amino]-1-piperidinyl]anilino]sulfonyl]phenoxy]acetate 391908-41-1P, N-[5-[[(2S)-3-[[1-[4-[(Butylsulfonyl)amino]phenyl]-4-[(Butylsulfonyl)amino]phenyl[Butylsulfonyl)amino]phenyl[Butylsulfonyl)amino]phenyl[Butylsulfonyl]-4-[(Butylsulfonyl)amino]phenyl[Butylsulfonyl]-4-[(Butylsulfonyl)amino]phenyl[Butylsulf piperidinyl]amino]-2-hydroxypropyl]oxy]-2-hydroxyphenyl]benzenesulfonamide 391908-45-5P, N-[4-[4-[(2R)-2-Hydroxy-2-[4-hydroxy-3-[(isopropylsulfonyl)amino]phenyl]ethyl]amino]-1-piperidinyl]phenyl]-1butanesulfonamide 391908-49-9P, Methyl [4-[[4-[4-[(2R)-2-[4-chloro-3-[(methylsulfonyl)amino]phenyl]-2-hydroxyethyl]amino]-1piperidinyl]anilino]sulfonyl]phenoxy]acetate 391908-59-1P, 3,4-Dichloro-N-[4-[4-[(2S)-2-hydroxy-3-[(2-oxo-2,3-dihydro-1Hbenzimidazol-4-yl)oxy]propyl]amino]-1-piperidinyl]phenyl]benzenesulfonamid 391908-61-5P, N-[4-[4-[[(2S)-2-Hydroxy-3-[(2-oxo-2,3-dihydro-1Hbenzimidazol-4-yl)oxy]propyl]amino]-1-piperidinyl]phenyl]-4-(trifluoromethyl)benzenesulfonamide 391908-63-7P, N-[4-[4-[[(2S)-2-Hydroxy-3-[(2-oxo-2,3-dihydro-1H-benzimidazol-4-yl)oxy]propyl]amino]-1piperidinyl]phenyl]-4-(trifluoromethoxy)benzenesulfonamide 391908-65-9P, N = [4 - [4 - [(2S) - 2 - Hydroxy - 3 - ((2 - oxo - 2, 3 - dihydro - 1H - benzimidazol - 4 - ((3 - 4 - ((3 - 2) - 2 - (3 - 4) - (3 - 4) - (3 - 4))]]yl)oxy]propyl]amino]-1-piperidinyl]phenyl]-4-methoxybenzenesulfonamide 391908-67-1P, 4-Chloro-N-[4-[4-[(2S)-2-hydroxy-3-[(2-oxo-2,3-dihydro-1Hbenzimidazol-4-yl)oxy]propyl]amino]-1-piperidinyl]phenyl]benzenesulfonamid

391908-69-3P, 4-Butyl-N-[4-[4-[(2S)-2-hydroxy-3-[(2-oxo-2,3-dihydro-1)]]]1H-benzimidazol-4-yl)oxy]propyl]amino]-1-piperidinyl]phenyl]benzenesulfona 391908-71-7P, 3,5-Dichloro-N-[4-[4-[[(2S)-2-hydroxy-3-[(2-oxo-2,3dihydro-1H-benzimidazol-4-yl)oxy]propyl]amino]-1piperidinyl]phenyl]benzenesulfonamide 391908-73-9P, N-[4-[4-[[(2S)-2-Hydroxy-3-[(2-oxo-2,3-dihydro-1H-benzimidazol-4-yl)oxy]propyl]amino]-1piperidinyl]phenyl]-2,5-dimethoxybenzenesulfonamide 391908-76-2P, N-[4-[4-[(2R)-2-Hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyll]amino]-1-piperidinyl]phenyl]-2,5-dimethoxybenzenesulfonamide 391908-79-5P 391908-82-0P, 5-Bromo-N-[(5-bromo-2-methoxyphenyl)sulfonyl]-yl)oxy[propyl]amino]-1-piperidinyl]phenyl]-2-methoxybenzenesulfonamide 391908-85-3P, 5-Bromo-N-[4-[4-[[(2S)-2-hydroxy-3-[(2-oxo-2,3-dihydro-1Hbenzimidazol-4-yl)oxy]propyl]amino]-1-piperidinyl]phenyl]-2methoxybenzenesulfonamide 391908-88-6P 391908-91-1P 391908-94-4P 391909-12**-**9P 391909-04-9P 391909-09-4P 391909-15-2P, 4-[3-Chloro-5-(trifluoromethyl)-2-pyridinyl] oxy-N-[4-[4-[((2S)-2-hydroxy-3-(2S)-2-hydrphenoxypropyl)amino]-1-piperidinyl]phenyl]benzenesulfonamide 391909-20-9P, N-[[5-[[4-[4-[((2S)-2-Hydroxy-3-((2-oxo-2,3-dihydro-1Hbenzimidazol-4-yl)oxy]propyl]amino]-1-piperidinyl]anilino]sulfonyl]-2thienyl]methyl]benzamide 391909-25-4P, N-[[5-[[4-[4-[((2S)-2-Hydroxy-3phenoxypropyl)amino]-1-piperidinyl]anilino]sulfonyl]-2thienyl]methyl]benzamide 391909-27-6P, N-[[5-[[4-[4-[[2-Hydroxy-2-(3hydroxyphenyl)ethyl]amino]-1-piperidinyl]anilino]sulfonyl]-2thienyl]methyl]benzamide 391909-29-8P, 4-[[3-Chloro-5-(trifluoromethyl)-2-pyridinyl]oxy]-N-[4-[4-[[(2S)-2-hydroxy-3-[(2-oxo-2,3-dihydro-1Hbenzimidazol-4-yl)oxy]propyl]amino]-1-piperidinyl]phenyl]benzenesulfonamid 391909-31-2P, 4-[[3-Chloro-5-(trifluoromethyl)-2-pyridinyl]oxy]-N-[4-[4-[[2-hydroxy-2-(3-hydroxyphenyl)ethyl]amino]-1piperidinyl]phenyl]benzenesulfonamide 391909-33-4P, 3,5-Dichloro-4-(2-benzimidazol-4-yl)oxy]propyl]amino]-1-piperidinyl]phenyl]benzenesulfonamid 391909-36-7P, 3,5-Dichloro-4-(2-chloro-4-nitrophenoxy)-N-[4-[4-[((2S)-4-1)]]2-hydroxy-3-phenoxypropyl)amino]-1-piperidinyl]phenyl]benzenesulfonamide 391909-38-9P, 3,5-Dichloro-4-(2-chloro-4-nitrophenoxy)-N-[4-[4-[[2-hydroxy-2-(3-hydroxyphenyl)ethyl]amino]-1-piperidinyl]phenyl]benzenesulfonamide 391909-40-3P, N-[4-[4-[((2S)-2-Hydroxy-3-phenoxypropyl)amino]-1piperidinyl]phenyl]-2-thiophenesulfonamide 391909-42-5P,  $4-Butoxy-N-\{4-[4-[((2S)-2-hydroxy-3-phenoxypropyl)amino]-1$ piperidinyl]phenyl]benzenesulfonamide 391909-44-7P, N-[4-[4-[(2S)-2-Hydroxy-2-(3-hydroxyphenyl)ethyl]amino]-1-piperidinyl]phenyl]-2thiophenesulfonamide 391909-46-9P, 4-Butoxy-N-[4-[4-[[(2S)-2-hydroxy-3-[(2-oxo-2,3-dihydro-1H-benzimidazol-4-yl)oxy]propyl]amino]-1piperidinyl]phenyl]benzenesulfonamide 3.91909-49-2P, N-[4-[4-[((2S)-2-Hydroxy-3-phenoxypropyl)amino]-1-piperidinyl]phenyl]-3,4-391909-51-6P, N-[4-[4-[[2-Hydroxy-2-(3dimethoxybenzenesulfonamide hydroxyphenyl)ethyl]amino]-1-piperidinyl]phenyl]-3,4-391909-53-8P, N-[4-[4-[[(2S)-2-Hydroxy-3-[(2dimethoxybenzenesulfonamide oxo-2,3-dihydro-1H-benzimidazol-4-yl)oxy]propyl]amino]-1piperidinyl]phenyl]-2-thiophenesulfonamide 391909-75-4P 391909-82-3P 391909-86-7P, [[[4-[4-[[(2R)-2-Hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-1-piperidinyl]anilino]carbonyl] 391909-90**-**3P 391909-92-5P, N-[4-[4-[(2S)-2-Hydroxyamino]acetic acid 3-[(2-oxo-2,3-dihydro-1H-benzimidazol-4-yl)oxy]propyl]amino]-1piperidinyl]phenyl]-3,4-dimethoxybenzamide 391909-94-7P, 2-Chloro-N-[4-[4-[(2S)-2-hydroxy-3-[(2-oxo-2,3-dihydro-1H-benzimidazol-4yl)oxy]propyl]amino]-1-piperidinyl]phenyl]acetamide 391909-96-9P, N-[4-[4-[(2S)-2-Hydroxy-3-[(2-oxo-2,3-dihydro-1H-benzimidazol-4yl)oxy]propyl]amino]-1-piperidinyl]phenyl]-2-(4-morpholinyl)acetamide 391909-98-1P, 2-(Dimethylamino)-N-[4-[4-[[(2S)-2-hydroxy-3-[(2-oxo-2,3dihydro-1H-benzimidazol-4-yl)oxy]propyl]amino]-1piperidinyl]phenyl]acetamide 391910-00-2P, N-[4-[4-[[2-Hydroxy-2-[4hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-1-piperidinyl]phenyl]-

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3,4-dimethoxybenzamide
                                             391910-02-4P, N-[4-[4-[[(2S)-2-Hydroxy-3-[(2-oxo-
        2,3-dihydro-1H-benzimidazol-4-yl)oxy]propyl]amino]-1-
        piperidinyl]phenyl]butanamide 391910-04-6P, N-[4-[4-[(2S)-3-(9H-1)]]
        Carbazol-4-yloxy)-2-hydroxypropyl]amino]-1-piperidinyl]phenyl]butanamide
        391910-06-8P, N-[4-[4-[[(2S)-2-Hydroxy-3-(4-hydroxyphenoxy)propyl]amino]-1-
        piperidinyl]phenyl]-3,4-dimethoxybenzamide
                                                                          391910-08-0P,
        N-[4-[4-[(2S)-3-(9H-Carbazol-4-yloxy)-2-hydroxypropyl]amino]-1-
        piperidinyl]phenyl]-3,4-dimethoxybenzamide
                                                                           391910-10-4P.
        N-[4-[4-[(2S)-2-Hydroxy-3-[(2-oxo-2,3-dihydro-1H-benzimidazol-4-
        yl)oxy[propyl]amino]-1-piperidinyl]phenyl]-1,3-benzodioxole-5-carboxamide
        391910-12-6P, N-[4-[4-[(2S)-3-(9H-Carbazol-4-yloxy)-2-
        hydroxypropyl]amino]-1-piperidinyl]phenyl]-1,3-benzodioxole-5-carboxamide
        391910-14-8P, 3-Cyclopentyl-N-[4-[4-[[(2S)-2-hydroxy-3-[(2-oxo-2,3-dihydro-
        1H-benzimidazol-4-yl)oxy]propyl]amino]-1-piperidinyl]phenyl]propanamide
        391910-16-0P, 3-Cyclopentyl-N-[4-[4-[((2R)-2-hydroxy-2-[4-hydroxy-3-
        [(methylsulfonyl)amino]phenyl]ethyl]amino]-1-piperidinyl]phenyl]propanamid
              391910-18-2P, N-[4-[4-[[(2R)-2-Hydroxy-2-[4-hydroxy-3-
        [(methylsulfonyl)amino]phenyl]ethyl]amino]-1-piperidinyl]phenyl]-1,3-
       benzodioxole-5-carboxamide
                                                391910-38-6P
                                                                          391910-41-1P,
       N-[4-[3-[Benzyl-[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]azetidin-1-
       yl]phenyl]acetamide
                                       391910-44-4P, N-[4-[3-[Benzyl-[(2S)-3-(9H-carbazol-4-
       yloxy)-2-hydroxypropyl]amino]azetidin-1-yl]phenyl]-4-
       butoxybenzenesulfonamide
                                               391910-47-7P, N-[4-[3-[Benzyl[3-(9H-carbazol-4-
       yloxy)-2-hydroxypropyl]amino]azetidin-1-yl]phenyl]-3,4-
       dimethoxybenzenesulfonamide
                                                    391910-50-2P
                                                                           391910-53-5P,
       N-[4-[3-[Benzyl-[3-(4-benzyloxyphenoxy)-2-hydroxypropyl]amino]azetidin-1-
       yl]phenyl]-3,4-dimethoxybenzenesulfonamide 391910-56-8P
                                                                                                  391910-59-1P,
       azetidinyl]phenyl]acetamide
                                                    391910-62-6P, 4-Butoxy-N-[4-[3-[[(2S)-2-
       hydroxy-3-(4-hydroxyphenoxy)propyl]amino]-1-azetidinyl]phenyl]benzenesulfo
                     391910-65-9P, N-[4-[3-[(2S)-2-Hydroxy-3-(4-
       hydroxyphenoxy)propyl]amino]-1-azetidinyl]phenyl]-3,4-
       dimethoxybenzenesulfonamide
                                                    391910-68-2P, N-[4-[[4-[3-[[(2S)-2-Hydroxy-3-
        (4-hydroxyphenoxy)propyl]amino]-1-azetidinyl]anilino]sulfonyl]phenyl]aceta
                  391910-71-7P, 4-Butoxy-N-[4-[3-[[(2S)-3-(9H-carbazol-4-yloxy)-2-
       hydroxypropyl]amino]-1-azetidinyl]phenyl]benzenesulfonamide
       391910-74-0P, N-[4-[3-[(2S)-3-(9H-Carbazol-4-yloxy)-2-
       hydroxypropyl]amino]-1-azetidinyl]phenyl]acetamide
                                                                                       391910-77-3P
N - [4 - [3 - [(2S) - 3 - (9H - Carbazol - 4 - yloxy) - 2 - hydroxypropyl] amino] - 1 - [(2S) - 3 - (9H - Carbazol - 4 - yloxy) - 2 - hydroxypropyl] amino] - 1 - [(2S) - 3 - (9H - Carbazol - 4 - yloxy) - 2 - hydroxypropyl] amino] - 1 - [(2S) - 3 - (9H - Carbazol - 4 - yloxy) - 2 - hydroxypropyl] amino] - 1 - [(2S) - 3 - (9H - Carbazol - 4 - yloxy) - 2 - hydroxypropyl] amino] - 1 - [(2S) - 3 - (9H - Carbazol - 4 - yloxy) - 2 - hydroxypropyl] amino] - 1 - [(2S) - 3 - (9H - Carbazol - 4 - yloxy) - 2 - hydroxypropyl] amino] - 1 - [(2S) - 3 - (9H - Carbazol - 4 - yloxy) - 2 - hydroxypropyl] amino] - 1 - [(2S) - 3 - (9H - Carbazol - 4 - yloxy) - 2 - hydroxypropyl] amino] - 1 - [(2S) - (2S) 
       azetidinyl]phenyl]-3,4-dimethoxybenzenesulfonamide
                                                                                       391910-80-8P,
       azetidinyl]anilino]sulfonyl]phenyl]acetamide
                                                                              391910-91-1P
       391911-04-9P, 4-Butoxy-N-[4-[4-[[2-hydroxy-2-[4-hydroxy-3-
        [(methylsulfonyl)amino]phenyl]ethyl]amino]-l-piperidinyl]phenyl]benzenesul
       fonamide
                        391911-04-9P
                                              391911-11-8P, 4-Butoxy-N-[4-[4-[(2S)-3-(9H-
       carbazol-4-yloxy)-2-hydroxypropyl]amino]-1-piperidinyl]phenyl]benzenesulfo
       RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
       (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
       (Uses)
            (\beta3 agonist; preparation of cyclic amine Ph \beta3 adrenergic receptor
            agonists for treatment of metabolic disorders related to insulin
            resistance or hyperglycemia)
RE.CNT
                     THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
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(7) Tokyo Tanabe Co; EP 0940387 A 1999 HCAPLUS
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RF.

7568-93-6, 2-Amino-1-phenylethanol

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RL: RCT (Reactant); RACT (Reactant or reagent)
        (reactant; preparation of cyclic amine Ph \beta3 adrenergic receptor
        agonists for treatment of metabolic disorders related to insulin
        resistance or hyperglycemia)
     7568-93-6 HCAPLUS
RN
     Benzenemethanol, \alpha-(aminomethyl)- (9CI) (CA INDEX NAME)
CN
   Ph
HO-CH-CH2-NH2
L23 ANSWER 8 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
     2002:33426 HCAPLUS
ΑN
DN
     136:340268
     Entered STN: 13 Jan 2002
ED
     Transesterification of \beta-keto esters catalyzed by basic porous
TΤ
     material
ΑU
     Kantam, M. Lakshmi; Sreekanth, P.
CS
     Inorganic Chemistry Division, Indian Institute of Chemical Technology,
     Hyderabad, 500 007, India
     Catalysis Letters (2001), 77(4), 241-243
SO
     CODEN: CALEER; ISSN: 1011-372X
PB
     Kluwer Academic/Plenum Publishers
DΤ
     Journal
     English
LA
CC
     21-2 (General Organic Chemistry)
     β-Keto esters have been successfully transesterified with primary,
AΒ
     secondary, tertiary, allylic, and alkynic alcs. in good yields using TBD
     anchored on MCM support for the first time. The hybrid
     solid base catalyst can be recycled several times with consistent
     activity.
ST
     transesterification keto ester solid base catalyst
ΙT
     Esters, reactions
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (keto; transesterification of \beta-keto esters catalyzed by basic
        porous material)
     Transesterification
TT
     Transesterification catalysts
        (transesterification of \beta-keto esters catalyzed by basic porous
        material)
ΙT
     Zeolite MCM-41
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (transesterification of \beta-keto esters catalyzed by basic porous
        material)
ΙT
     415949-65-4DP, MCM-41 bound
     RL: CAT (Catalyst use); SPN (Synthetic preparation); PREP (Preparation);
     USES (Uses)
        (transesterification of \beta-keto esters catalyzed by basic porous
        material)
     67-56-1, Methanol, reactions 71-36-3, 1-Butanol, reactions
                                                                    100-51-6.
TT
     Benzyl alcohol, reactions 106-24-1, Geranyl alcohol
                                                            107-19-7,
     Propargyl alcohol 111-27-3, 1-Hexanol, reactions 111-87-5, 1-Octanol,
                 141-97-9, Ethyl acetoacetate
                                               2530-83-8
                                                             5807-14-7
     reactions
     7568-93-6
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (transesterification of \beta-keto esters catalyzed by basic porous
        material)
     105-45-3P, Methyl acetoacetate
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
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lukton - 09 / 827107 (transesterification of  $\beta$ -keto esters catalyzed by basic porous material) 5396-89-4P, Benzyl acetoacetate ΙT 591-60-6P, Butyl acetoacetate 10032-00-5P, Geranyl acetoacetate 13562-84-0P, Hexyl acetoacetate 16436-00-3P, Octyl acetoacetate 29816-99-7P, Propargyl acetoacetate 415949-64-3P RL: SPN (Synthetic preparation); PREP (Preparation) (transesterification of  $\beta$ -keto esters catalyzed by basic porous material) THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 26 (1) Angeletti, E; J Chem Soc Perkin Trans I 1989, P105 HCAPLUS (2) Angeletti, E; Tetrahedron Lett 1988, V29, P226 (3) Balaji, B; Chem Commun 1996, P707 HCAPLUS (4) Beck, J; J Am Chem Soc 1992, V114, P10834 HCAPLUS (5) Billman, J; J Am Chem Soc 1947, V69, P2058 HCAPLUS (6) Blandy, C; Catal Lett 1997, V43, P139 HCAPLUS (7) Bleloch, A; Chem Commun 1999, P1907 HCAPLUS (8) Brunel, D; J Org Chem 1997, V62, P749 (9) Choudary, B; J Mol Catal A 1999, V142, P361 HCAPLUS (10) Choudary, B; J Mol Catal A 2000, V159, P411 HCAPLUS (11) Corma, A; Chem Rev 1997, V97, P2373 HCAPLUS (12) Engel, D; US 5350879 1994 HCAPLUS (13) Lasperas, M; Stud Surf Sci Catal 1997, V108, P75 HCAPLUS (14) Macquarrie, D; J Chem Soc Chem Commun 1997, P1781 HCAPLUS (15) Osipow, L; J Am Oil Soc 1957, V34, P185 HCAPLUS (16) Otera, J; J Org Chem 1991, V56, P5307 HCAPLUS (17) Ponde, D; J Org Chem 1998, V63, P1058 (18) Posner, G; Tetrahedron Lett 1981, V22, P5003 HCAPLUS (19) Rehberg, C; J Am Chem Soc 1944, V66, P1203 HCAPLUS (20) Santaniello, E; Enzyme Microb Technol 1993, V15, P367 HCAPLUS (21) Schuchardt, U; J Mol Catal A 1996, V109, P37 HCAPLUS (22) Schwesinger, R; Chem Ber 1994, V127, P2435 HCAPLUS (23) Seebach, D; Synthesis 1982, P138 HCAPLUS (24) Subbrao, Y; Angew Chem Int Ed Engl 1997, V36, P2661 (25) Taft, R; J Am Chem Soc 1950, V721, P4511 (26) Tuel, A; Chem Mater 1996, V8, P114 HCAPLUS TΤ 7568-93-6 RL: RCT (Reactant); RACT (Reactant or reagent) (transesterification of  $\beta$ -keto esters catalyzed by basic porous. material) 7568-93-6 HCAPLUS RN Benzenemethanol,  $\alpha$ -(aminomethyl)- (9CI) (CA INDEX NAME) Ρh HO-CH-CH2-NH2 ANSWER 9 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN T<sub>2</sub>3 2001:924420 HCAPLUS ΑN 136:162487 DN

DN 136:162487
ED Entered STN: 23 Dec 2001
TI Identification of Novel Ah Receptor Agonists Using a High-Throughput Green Fluorescent Protein-Based Recombinant Cell Bioassay
AU Nagy, Scott R.; Liu, Gang; Lam, Kit S.; Denison, Michael S.
CS Department of Environmental Toxicology, University of California, Davis, CA, 95616, USA
SO Biochemistry (2002), 41(3), 861-868
CODEN: BICHAW; ISSN: 0006-2960
PB American Chemical Society

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DT
     Journal
LA
     English
CC
     4-3 (Toxicology)
     The Ah receptor is a ligand-dependent transcription factor that mediates
     the biol. and toxic effects of polycyclic aromatic hydrocarbons and
     halogenated aromatic hydrocarbons such as 2,3,7,8-tetrachlorodibenzo-p-dioxin
     (TCDD, dioxin). Recent evidence also suggests a role for the AhR in
     normal physiol. and development. Although a variety of structurally
     diverse chems. are reported to bind to and activate the AhR, the full
     spectrum of structural chemical classes that can interact with the AhR
     remains to be elucidated. Large-scale anal. of the ligand binding
     specificity of the AhR requires the use of a high-throughput AhR bioassay
     system for chemical screening. We have utilized a recombinant mouse hepatoma
     cell line (H1G1.1c3) containing a stably integrated TCDD- and AhR-responsive
     enhanced green fluorescent protein (EGFP) reporter gene to screen a
     1,5-dialkylamino-2,4-dinitrobenzene combinatorial chemical library consisting
     of 155 parental amines and up to 12 090 combinatorial products in less
     than 7 days for novel AhR agonists. These analyses have identified
     numerous parental amines as relatively potent inducers of EGFP (with EC50s
     between 8 and 1000 \mu M) and also have revealed several novel products of
     the combinatorial chemical library synthesis with EC50s between 10 and 100
         Overall, these results have not only allowed the identification of
     novel activators of the AhR but also demonstrate the utility of the
     recombinant H1G1.1c3 cell bioassay for high-throughput chemical screening.
     arom hydrocarbon receptor agonist diakylamino dinitrobenzene combinatorial
ST
     library
     Animal cell line
IT
        (H1G1.1c3; identification of novel Ah receptor agonists using
        high-throughput green fluorescent protein-based recombinant cell
        bioassay)
ΙT
     Combinatorial library
        (dialkylamino dinitrobenzene; identification of novel Ah receptor
        agonists using high-throughput green fluorescent protein-based
        recombinant cell bioassay)
ΙT
     Aromatic hydrocarbon receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (identification of novel Ah receptor agonists using high-throughput
        green fluorescent protein-based recombinant cell bioassay)
IΤ
     Amines, biological studies
     RL: BSU (Biological study, unclassified); CRT (Combinatorial reactant);
     RCT (Reactant); BIOL (Biological study); CMBI (Combinatorial study); RACT
     (Reactant or reagent)
        (identification of novel Ah receptor agonists using high-throughput
        green fluorescent protein-based recombinant cell bioassay)
                                                        396992-76-0P
ΙT
     99-65-ODP, Di(alkylamino) derivs.
                                         396992-71-5P
                                                                 396993-01-4P
     396992-80-6P
                    396992-85-1P
                                   396992-91-9P
                                                  396992-96-4P
                                   396993-17-2P
                    396993-11-6P
                                                  396993-21-8P
     396993-06-9P
     RL: BSU (Biological study, unclassified); CPN (Combinatorial preparation);
     BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)
        (identification of novel Ah receptor agonists using high-throughput
        green fluorescent protein-based recombinant cell bioassay)
                                              95-51-2, 2-Chloroaniline
     91-21-4, 1,2,3,4-Tetrahydroisoguinoline
TT
     95-54-5, 1,2-Phenylenediamine, biological studies
                                                         100-46-9, Benzylamine,
                         134-32-7, 1-Aminonaphthalene
                                                         327 - 92 - 4
     biological studies
     1,5-Difluoro-2,4-dinitrobenzene
                                      365-34-4, 2-
     (Trifluoromethyl)phenylhydrazine
                                      479-27-6, 1,8-Diaminonaphthalene
                             618-40-6, 1-Methyl-1-phenylhydrazine
                                                                      694 - 83 - 7,
     615-43-0, 2-Iodoaniline
                              1484-26-0, 3-Benzyloxyaniline 2217-40-5,
     1,2-Diaminocyclohexane
     1,2,3,4-Tetrahydro-1-naphthylamine 2217-41-6, 5,6,7,8-Tetrahydro-1-
     naphthylamine 2243-62-1, 1,5-Diaminonaphthalene
                                                        2312-23-4,
                                             2620-50-0, Piperonylamine
     3-Chlorophenylhydrazine hydrochloride
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2905-56-8, 1-Benzylpiperidine 2987-53-3, 2-(Methylmercapto)aniline

5345-54-0, 3-Chloro-p-anisidine 5913-13-3, (R)-(-)-1-

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Cyclohexylethylamine
                            6967-12-0, 6-Aminoindazole 7568-93-6,
     2-Amino-1-phenylethanol
                               14268-66-7, 3,4-(Methylenedioxy) aniline
     20570-96-1, Benzylhydrazine dihydrochloride
                                                    34967-24-3,
     3,5-Dimethoxybenzylamine
                               126456-43-7, (1S, 2R)-(-)-cis-1-Amino-2-indanol
     133115-72-7, 4-(Trifluoromethoxy) phenylhydrazine hydrochloride
     RL: BSU (Biological study, unclassified); CRT (Combinatorial reactant);
     RCT (Reactant); BIOL (Biological study); CMBI (Combinatorial study); RACT
     (Reactant or reagent)
        (identification of novel Ah receptor agonists using high-throughput
        green fluorescent protein-based recombinant cell bioassay)
              THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
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(22) Phelan, D; Arch Biochem Biophys 1998, V357, P155 HCAPLUS
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(35) Waller, C; Chem Res Toxicol 1995, V8, P847 HCAPLUS
(36) Whitlock, J; Annu Rev Pharmacol Toxicol 1999, V39, P103 HCAPLUS
     7568-93-6, 2-Amino-1-phenylethanol
IΤ
     RL: BSU (Biological study, unclassified); CRT (Combinatorial reactant);
     RCT (Reactant); BIOL (Biological study); CMBI (Combinatorial study); RACT
     (Reactant or reagent)
        (identification of novel Ah receptor agonists using high-throughput
        green fluorescent protein-based recombinant cell bioassay)
     7568-93-6 HCAPLUS
RN
CN
     Benzenemethanol, \alpha-(aminomethyl)- (9CI) (CA INDEX NAME)
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ANSWER 10 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
     2001:31473 HCAPLUS
AN
     134:100864
DN
     Entered STN: 12 Jan 2001
ED
     Indazole compounds and pharmaceutical compositions for inhibiting protein
TI
     kinases, and methods for their use
     Kania, Robert Steven; Bender, Steven Lee; Borchardt, Allen J.; Braganza,
ΙN
     John F.; Cripps, Stephan James; Hua, Ye; Johnson, Michael David; Johnson,
     Theodore Otto, Jr.; Luu, Hiep The; Palmer, Cynthia Louise; Reich,
     Siegfried Heinz; Tempczyk-russell, Anna Maria; Teng, Min; Thomas,
     Christine; Varney, Michael David; Wallace, Michael Brennan
     Agouron Pharmaceuticals, Inc., USA
PΑ
     PCT Int. Appl., 439 pp.
SO
     CODEN: PIXXD2 -
     Patent
DT
     English
LA
     ICM C07D231-00
IC
     28-8 (Heterocyclic Compounds (More Than One Hetero Atom))
CÇ
     Section cross-reference(s): 1, 7, 63
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                              APPLICATION NO.
                                                                DATE
                                              ______
     WO 2001002369
                       A2
                              20010111
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                                                                20000630
PΙ
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
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                        A2
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                        T2
                              20030128
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                                                                 20000630
     JP 2003503481
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     NO 2001005797
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PRAI US 1999-142130P
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                        W
                              20000630
     WO 2000-US18263
     MARPAT 134:100864
OS
GI
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R3CH:CH, R3N:CH; R2 = substituted or unsubstituted aryl, heteroaryl, Y-X; R3 = substituted or unsubstituted alkyl alkenyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl; Y = O, S, C(:CH2), CO, SO, SO2, alkylidene, NH, N(C1-C8 alkyl); X = substituted or unsubstituted aryl, heteroaryl, NH(alkyl), NH(cycloalkyl), NH(heterocycloalkyl), NH(aryl), NH(heteroaryl), NH(alkoxy), NH(dialkylamide)] and their pharmaceutically acceptable prodrugs, active metabolites, and salts are disclosed. The compds. modulate and/or inhibit the activity of certain protein kinases. In particular, I and pharmaceutical compns. containing them are capable of mediating tyrosine kinase signal transduction, and thereby modulate and/or inhibit unwanted cell proliferation. The invention is also directed to the therapeutic or prophylactic use of pharmaceutical compns. containing such compds., and to methods of treating cancer and other disease states associated with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis, by administering effective amts. of such compds. E.g., I [R1 = (E)-3,4-(MeO) 2C6H3CH:CH; R2 = 4-HO-3-MeOC6H3] (II) was prepared from 6-aminoindazole by diazotization and substitution with iodide, protection of the indazole nitrogen with 2,4,6-Me3C6H2SO2Cl, coupling of the regioisomeric mixture with 4-(methoxymethoxy)-3-methoxybenzeneboronic acid in the presence of dichlorobis(triphenylphosphine)palladium, and deprotection of the indazole moiety and iodination at the 3-position of the indazole. Treatment of the 3-indazolyl iodide with sec-butyllithium, phenyllithium, and DMF, regioselective protection of the indazole with 2,4,6-Me3C6H2SO2Cl, olefination with 3,4-dimethoxybenzyltriphenylphosphoni um bromide, deprotection of the indazole, deprotection of the methoxymethyl group, and equilibration of the double bond with iodine gave II. Biol. data on protein kinase inhibition, cell proliferation inhibition, neovascularization inhibition, and i.p. and oral bioavailability, are given. indazole prepn protein kinase inhibitor; angiogenesis cellular proliferation inhibition indazole deriv Angiogenesis Angiogenesis inhibitors Antitumor agents

ΤT

Cell proliferation

## Combinatorial library

Drug bioavailability

(preparation of aryl-substituted indazole derivs. as modulators and inhibitors of protein kinases in the treatment of tumor growth, cellular proliferation, and angiogenesis)

Vascular endothelial growth factor receptors ΙT

RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)

(preparation of aryl-substituted indazole derivs. as modulators and inhibitors of protein kinases in the treatment of tumor growth, cellular proliferation, and angiogenesis)

ITProliferation inhibition

TT

(proliferation inhibitors; preparation of aryl-substituted indazole derivs. as modulators and inhibitors of protein kinases in the treatment of tumor growth, cellular proliferation, and angiogenesis)

319461-13-7P 319461-26-2P 319461-33-1P 319461-51-3P 319461-63-7P 319462-35-6P 319463-19-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of aryl-substituted indazole derivs. as modulators and inhibitors of protein kinases in the treatment of tumor growth, cellular proliferation, and angiogenesis)

319460-68-9P 319460-69-0P 319460-66-7P 319460-67-8P ΙT 319460-65-6P 319460-73-6P 319460-74-7P 319460-70-3P 319460-71-4P 319460-72-5P 319460-79-2P 319460-78-1P 319460-75-8P 319460-76-9P 319460-77-0P

319460-83-8P

319460-82-7P

319460-80-5P

IΤ

319460-81-6P

319460-84-9P

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RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
   (preparation of aryl-substituted indazole derivs. as modulators and
   inhibitors of protein kinases in the treatment of tumor growth,
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BIOL (Biological study); PREP (Preparation); USES (Uses)
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     Tyrosine kinase
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                                   55-22-1, Isonicotinic acid, reactions 75-31-0, Isopropylamine, reactions
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     54-96-6, 3,4-Diaminopyridine
     62-53-3, Aniline, reactions
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     1,2-Diaminobenzene, reactions
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     98-73-7, 4-tert-Butylbenzoic acid
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     1-Bromostyrene
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                                                 107-11-9, Allylamine
     102-51-2, 4-Methoxy-1,2-phenylenediamine
     107-30-2, Methoxymethyl chloride
                                       108-23-6, Isopropyl chloroformate
     108-42-9, 3-Chloroaniline
                                 108-86-1, Bromobenzene, reactions
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     Thiophenol, reactions
                            109-81-9, N-Methylethylenediamine
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                         122-52-1, Triethyl phosphite
     2-Ethoxyethylamine
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     4-Aminophenol
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     Benzofuran
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                        369-36-8, 2-Fluoro-5-nitroaniline
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                     2014-83-7, 2,6-Dichlorobenzyl chloride
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    4-(2-Aminoethyl)morpholine 2124-55-2, 1H-Indole-4-carboxylic acid
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                           4427-29-6, O-Isopropyl hydroxylamine
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    6-Chloro-2-pyridinecarboxylic acid 4857-42-5, 3-Methyl-5-
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5720-07-0, 4-Methoxybenzeneboronic acid 5744-56-9
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6967-12-0, 6-Aminoindazole
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7463-51-6, 4-Bromo-3,5-dimethylphenol 7597-18-4, 6-Nitro-1H-indazole
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Pyridin-2-yl-acetic acid
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14533-84-7, Pentafluorophenyl trifluoroacetate 15855-06-8
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17082-09-6, trans-Cinnamoyl chloride
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Isoxazole-5-carboxylic acid
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27841-33-4, 4,5-Dimethoxy-1,2-phenylenediamine
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3-Aminophenylboronic acid 30433-91-1, 2-(2-Aminoethyl)thiophene
            31406-95-8, 4-Bromo-2-ethoxyphenol
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4,5-Methylenedioxy-1,2-phenylenediamine
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Picolyltriphenylphosphonium chloride
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3-Hydroxythiophenol
41927-01-9, 3, 4-Dimethyl-1, 2-phenylenediamine
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                          57433-93-9, 4-(Methoxymethoxy)phenol
59483-54-4, 3-Chloro-2-nitroaniline
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65079-19-8, 6-Amino-2-methylquinoline
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3,4-Dimethoxybenzyltriphenylphosphonium bromide
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76179-40-3, 4,5-Difluoro-1,2-phenylenediamine
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80500-27-2, 4-Methyl-3-nitrophenylboronic acid
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3-Bromo-2-methoxyphenol
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4-Bromo-3-methoxyphenol
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105425-65-8, 5-Methyl-2-furanamine
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3,4-Dimethoxyphenylboronic acid
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
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as modulators and inhibitors of protein kinases in the treatment of
        tumor growth, cellular proliferation, and angiogenesis)
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study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
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   as modulators and inhibitors of protein kinases in the treatment of
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56-12-2, reactions
                      56-40-6, Glycine, reactions
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1H-Indole-3-ethanamine
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Benzeneethanamine
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74-89-5, Methanamine, reactions
                                   75-64-9, reactions
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Cyclohexanamine, reactions
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2-Benzothiazolamine
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Piperazineethanamine
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4-Pvridinamine
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578-66-5, 8-Quinolinamine
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3-Pentanamine
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           625-38-7, 3-Butenoic acid
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           693-11-8
645-36-3
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768-94-5, Tricyclo[3.3.1.13,7]decan-1-amine
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934-32-7, 1H-Benzimidazol-2-amine
1003-03-8, Cyclopentanamine
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            1074-79-9
                        1120-99-6, 1,2,4-Triazin-3-amine
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1072-98-6
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5-Isoquinolinamine
                    1192-20-7
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                                    1532-84-9, 1-Isoquinolinamine
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                                    1603-41-4
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            1670-83-3, 1H-Indole-7-carboxylic acid
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1664-40-0
1750-42-1, 3-Isoxazolamine
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                                        1783-81-9
                                                      1798-09-0
1820-80-0, 1H-Pyrazol-3-amine
                                1824-81-3
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2213-43-6, 1-Piperidinamine
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   (preparation of combinatorial libraries of aryl-substituted indazole derivs.
   as modulators and inhibitors of protein kinases in the treatment of
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2380-63-4, 1H-Pyrazolo[3,4-d]pyrimidin-4-amine
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1,3-Benzodioxole-5-methanamine
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            2706-56-1, 2-Pyridineethanamine
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                        2835-95-2
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2975-41-9
            2987-53-3
                        3060-69-3
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3218-02-8, Cyclohexanemethanamine
                                       3399-73-3, 1-Cyclohexene-1-
1H-Benzotriazol-5-amine
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ethanamine
1-Piperidinepropanamine
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3676-85-5
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3-Pyridinemethanamine
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                        4319-49-7, 4-Morpholinamine
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4388-97-0, 1,3-Dioxolane-2-methanamine
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4442-59-5
            4512-32-7
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            4795-29-3
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Pyrazinamine
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13952-84-6, 2-Butanamine
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                                                              113349-34-1
     99799-10-7
                  100994-10-3
                                  132664-85-8
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                    257932-29-9
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                                                               319474-93-6
                                                               319479-97-5
     319475-00-8
                   319475-11-1
                                  319476-05-6
                                                 319477-98-0
     319929-39-0
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of combinatorial libraries of aryl-substituted indazole derivs.
        as modulators and inhibitors of protein kinases in the treatment of
        tumor growth, cellular proliferation, and angiogenesis)
IT
     7568-93-6
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of combinatorial libraries of aryl-substituted indazole derivs.
        as modulators and inhibitors of protein kinases in the treatment of
        tumor growth, cellular proliferation, and angiogenesis)
     7568-93-6 HCAPLUS
RN
     Benzenemethanol, \alpha-(aminomethyl)- (9CI) (CA INDEX NAME)
CN
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L23 ANSWER 11 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:125936 HCAPLUS

DN 132:308590

ED Entered STN: 24 Feb 2000

TI Deoxyribonucleoside Cyclic N-Acylphosphoramidites as a New Class of Monomers for the Stereocontrolled Synthesis of Oligothymidylyl- and Oligodeoxycytidylyl- Phosphorothioates

AU Wilk, Andrzej; Grajkowski, Andrzej; Phillips, Lawrence R.; Beaucage, Serge

L.

CS Division of Therapeutic Proteins Center for Biologics Evaluation and Research, Food and Drug Administration, Bethesda, MD, 20892, USA

SO Journal of the American Chemical Society (2000), 122(10), 2149-2156 CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

CC 33-10 (Carbohydrates)

GΙ

As simple and straightforward synthesis of pyrimidine 2'-deoxyribonucleoside cyclic N-acylphosphoramidites I is described. Specifically, (±)-2-amino-1-phenylethanol was chemoselectively N-acylated by treatment with Et fluoroacetate followed by reaction with hexaethylphosphorus triamide to afford the cyclic N-acylphosphoramidite as a mixture of diastereomeric rotamers. Condensation of N4-benzoyl-5'-O-(4,4'-dimethoxytrityl)-2'-deoxycytidine with the cyclic N-acylphosphoramidite in the presence of 1H-tetrazole gave, after silica gel chromatog., pure (R)-and (S)-I. 31P NMR studies indicated that when (R)- or (S)-I is reacted with 3'-O-acetylthymidine and N,N,N',N'-tetramethylguanidine in CD3CN, the dinucleoside phosphotriester is formed in near quant. yield with total P-stereospecificity (δP 144.2 or 143.9 ppm). Sulfurization generated the P-stereodefined dinucleoside phosphorothicate (δP 71.0 or 71.2 ppm). The 2'-deoxycytidine cyclic N-acylphosphoramidite derivs.

(R) - and (S) - I were subsequently applied to the solidphase synthesis of [Rp,Rp] - and [Sp,Sp]trideoxycytidilyl diphosphorothioate d(CpsCpsC), and [Rp,Sp,Rp]tetradeoxycytidilyl triphosphorothioate d(CpsCpsCpsC). Following deprotection, reversed-phase (RP) HPLC anal. of these oligonucleotide analogs showed a single peak for each oligomer. By comparison, RP-HPLC anal. of purified P-diastereomeric d(CpSCpSC) and d(CpSCpSCpSC) prepared from standard 2-cyanoethyl deoxyribonucleoside phosphoramidites exhibited 4 and 8 peaks, resp., each peak corresponding to a specific P-diastereomer. The thymidine cyclic N-acylphosphoramidite derivs. were also prepared, purified, and used successfully in the solid-phase synthesis of [Rp]11-d[(TpS)11T]. . Thus, the application of deoxyribonucleoside cyclic N-acyl phosphoramidites to P-stereocontrolled synthesis of oligodeoxyribonucleoside phosphorothioates may offer a compelling alternative to the methods currently used for such syntheses. oligothymidylyl phosphorothioate stereochem prepn; oligodeoxyribonucleoside solid phase synthesis deoxycytidine cyclic acylphosphoramidite; deoxyribonucleoside cyclic acylphosphoramidite chemoselective prepn Deoxyribonucleosides RL: SPN (Synthetic preparation); PREP (Preparation) (Cyclic N-Acylphosphoramidites; preparation of deoxyribonucleoside cyclic N-acylphosphoramidites as a new class of monomers for the stereocontrolled synthesis of oligothymidylyl and oligodeoxycytidylyl phosphorothioates) Stereochemistry (preparation of deoxyribonucleoside cyclic N-acylphosphoramidites as a new class of monomers for the stereocontrolled synthesis of oligothymidylyl and oligodeoxycytidylyl phosphorothioates) 459-72-3, Ethyl fluoroacetate 6974-29-4 **7568-93-6** 21090-30-2 74925-81-8 67219-55-0 RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of deoxyribonucleoside cyclic N-acylphosphoramidites as a new class of monomers for the stereocontrolled synthesis of oligothymidylyl and oligodeoxycytidylyl phosphorothioates) 264881-21-2P 264881-27-8P 264881-35-8P 264881-16-5P 264881-24-5P 264881-36-9P 264881-45-0P 264881-60-9P 264881-39-2P 264881-40-5P 264881-61-0P 264881-63-2P 264881-66-5P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of deoxyribonucleoside cyclic N-acylphosphoramidites as a new class of monomers for the stereocontrolled synthesis of oligothymidylyl and oligodeoxycytidylyl phosphorothioates) 92127-78-1P 92217-41-9P 92217-42-0P 92218-48-9P 163661-24-3P 173362-23-7P 264881-30-3P 264881-44-9P 264881-50-7P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of deoxyribonucleoside cyclic N-acylphosphoramidites as a new class of monomers for the stereocontrolled synthesis of oligothymidylyl and oligodeoxycytidylyl phosphorothioates) THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD

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ST

ΙT

TT

ΙT

ΙT

IT

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IT
     7568-93-6
     RL: RCT (Reactant); RACT (Reactant or reagent)
         (preparation of deoxyribonucleoside cyclic N-acylphosphoramidites as a new
        class of monomers for the stereocontrolled synthesis of oligothymidylyl
        and oligodeoxycytidylyl phosphorothioates)
RN
     7568-93-6 HCAPLUS
CN
     Benzenemethanol, \alpha-(aminomethyl)- (9CI) (CA_INDEX_NAME)
   Ph
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HO-CH-CH<sub>2</sub>-NH<sub>2</sub>
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L23 ANSWER 12 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN AN 1999:255624 HCAPLUS DN 131:31843
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ED Entered STN: 27 Apr 1999

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TT
     A Novel Solid-Phase Synthesis of
     Carboxypyrrolinones
     Miller, Paula C.; Owen, Thomas J.; Molyneaux, John M.; Curtis, Jane M.;
ΑU
     Jones, Claude R.
CS
     Monsanto Company, St. Louis, MO, 63167, USA
     Journal of Combinatorial Chemistry (1999), 1(3), 223-234
SO
     CODEN: JCCHFF; ISSN: 1520-4766
PΒ
     American Chemical Society
DT
     Journal
LA
     English
CC
     27-10 (Heterocyclic Compounds (One Hetero Atom))
     Section cross-reference(s): 23, 25
OS
     CASREACT 131:31843
     A solid-phase organic synthesis method has been
AB
     developed for the preparation of 3-carboxypyrrolinones. Treatment of
     polymer-bound malonic acid with amino alcs. afforded the malonamide resin
     products. Benzyl and alkyl amino alcs. were prepared in solution via a
     two-step procedure without purification and were coupled to the resin directly
     using a resin capture strategy. Polymer loadings and product conversions
     were determined by direct cleavage of resin-bound materials and anal. by 1H NMR
     spectroscopy with an internal standard Treatment of the polymer-bound
     malonamides with TFA released the malonamic acids, which underwent further
     reaction to afford the trifluoroacetate derivs. Secondary amides
     underwent an addnl. cyclization to afford oxazoles. The malonamide resins
     can be oxidized to the corresponding ketones by treatment with
     CrO2(O-t-Bu)2, which can in turn be cyclized in the presence of LDA or
     LHMDS to afford the resin-bound carboxypyrrolinones. TFA treatment
     releases the free 3-carboxypyrrolinones in 43-80% overall yield.
ST
     solid phase synthesis carboxypyrrolinone;
     pyrrolinone carboxy solid phase synthesis
IT
     Solid phase synthesis
        (solid-phase synthesis of
        carboxypyrrolinones)
                                      97-96-1, 2-Ethylbutanal
ΙT
     67-64-1, 2-Propanone, reactions
     Benzaldehyde, reactions
                               104-94-9, p-Anisidine
                                                       106-47-8,
     4-Chloroaniline, reactions
                                 106-88-7, 1,2-Epoxybutane 108-69-0,
                                      123-11-5, reactions
                           122-98-5
                                                            141-82-2D, Malonic
     3,5-Dimethylaniline
                                      530-36-9, 2-Amino-1,2-diphenylethanol
                           492-41-1
     acid, polymer bound
                 23850-78-4
                              36239-09-5, Ethyl malonyl chloride
     7568-93-6
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (solid-phase synthesis of
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ΙT
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   RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (solid-phase synthesis of
        carboxypyrrolinones)
                                                                  226880-02-0P
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ΙΤ
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     RL: SPN (Synthetic preparation); PREP (Preparation)
        (solid-phase synthesis of
        carboxypyrrolinones)
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RE
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ΙT
     7568-93-6
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (solid-phase synthesis of
        carboxypyrrolinones)
RN
     7568-93-6 HCAPLUS
CN
     Benzenemethanol, \alpha-(aminomethyl)- (9CI) (CA INDEX NAME)
   Ph
HO-CH-CH_2-NH_2
L23
    ANSWER 13 OF 21 HCAPLUS
                                COPYRIGHT 2004 ACS on STN
AN
     1998:774131
                 HCAPLUS
DN
     130:38707
     Entered STN: 10 Dec 1998
ED
TI
     Topologically segregated, encoded solid phase
     libraries
IN
     Lebl, Michal; Lam, Kit S.; Salmon, Sydney E.; Krchnak, Victor; Sepetov,
     Nikolai; Kocis, Peter
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U.S., 63 pp., Cont.-in-part of U.S. Ser. No. 68,327, abandoned.

PΑ

SO

Selectide Corporation, USA

CODEN: USXXAM

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DT
      Patent
 LA
      English
 IC
      ICM C12Q001-68
      ICS G01N033-53; C07K017-02; C07H021-04
· NCL
      435006000
 CC
      34-3 (Amino Acids, Peptides, and Proteins)
 FAN.CNT 2
      PATENT NO.
                       KIND DATE
                                            APPLICATION NO. DATE
                                             ______
 PΙ
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                       Α
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                                            US 1994-249830
                                                              19940526
                      AA 19941208
A1 19941208
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          RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
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                        Α
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                        А3
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      WO 1994-US6078
                        W
                             19940527
AΒ
      The invention relates to libraries of synthetic test compound attached to
      sep. phase synthesis supports that also contain coding mols. that encode
      the structure of the synthetic test compound The mols. may be polymers or
      multiple nonpolymeric mols. The synthetic test compound can have backbone
      structures with linkages such as amide, urea, carbamate (i.e., urethane),
      ester, amino, sulfide, disulfide, or carbon-carbon, such as alkane and
      alkene, or any combination thereof. Examples of subunits suited for the
      different linkage chemistries are provided. The synthetic test compound can
      also be a mol. scaffold having various substituents at defined positions,
      in which the scaffolds can be derivs. of monocyclic of bicyclic
      carbohydrates, steroids, sugars, heterocyclic structures, polyarom.
      structures, or other structures capable of acting as a scaffolding.
      Examples of suitable mol. scaffolds are provided. Preferably the library
      is one in which each synthetic test compound is non-sequenceable, i.e. not
      amenable to sequencing, and is paired with a unique coding mol., e.g., a
      peptide, whose sequence encodes the structure of the synthetic test compound
      attached to the same support and can be readily determined using traditional
      anal. techniques, e.g., Edman degradation The library is useful for
      identifying and analyzing a ligand of an acceptor of interest. The
      invention also relates to methods of synthesizing such libraries and the
      use of such libraries to identify and characterize mols. of interest from
      among the library of synthetic test compound
 ST
      encoded solid phase library; peptide encoded library;
      ligand receptor
 IT
      Solid phase synthesis
         (peptide; preparation of topol. segregated, encoded solid
         phase libraries)
 ΙΤ
      Combinatorial chemistry
         (preparation of topol. segregated, encoded solid phase
         libraries)
 ΙΤ
      Peptides, preparation
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RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of topol. segregated, encoded solid phase
        libraries)
ΙT
     73-22-3D, L-Tryptophan, RAM-TentaGel-bound, reactions
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (acylation; preparation of topol. segregated, encoded solid
       phase libraries)
     79-08-3D, Bromoacetic acid, TentaGel 130-bound
ΙT
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (amination; preparation of topol. segregated, encoded solid
        phase libraries)
     58822-25-6DP, 1-5-\beta-Neoendorphin (human), TentaGel AM resin-bound
TT
     140897-59-2DP, TentaGel AM resin-bound 167017-78-9DP, TentaGel AM
                   216530-77-7DP, TentaGel AM resin-bound
     resin-bound
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); BIOL (Biological
     study); PREP (Preparation)
        (binding to anti-\beta-endorphin and streptavidin monoclonal antibody;
        preparation of topol. segregated, encoded solid phase
        libraries)
TΤ
     556-33-2DP, bound to TentaGel resin via safety-catch linker
                                                                   1187-50-4DP,
    bound to TentaGel resin via safety-catch linker 4464-36-2DP, bound to
    TentaGel resin via safety-catch linker
                                             10329-75-6DP, bound to TentaGel
     resin via safety-catch linker 19729-30-7DP, bound to TentaGel resin via
                           20274-80-0DP, bound to TentaGel resin via
     safety-catch linker
     safety-catch linker
                           32557-24-7DP, bound to TentaGel resin via
                           54907-74-3DP, bound to TentaGel resin via
    safety-catch linker
    safety-catch linker
                           92116-80-8DP, bound to TentaGel resin via
     safety-catch linker
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (coding sequence; preparation of topol. segregated, encoded solid
        phase libraries)
IT
     216530-82-4DP, TentaGel-bound
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (model sequence; preparation of topol. segregated, encoded solid
        phase libraries)
    58822-25-6DP, 1-5-\beta-Neoendorphin (human), TentaGel-bound
                    170684-65-8DP, TentaGel-bound
     116258-33-4P
                                                    170684-65-8DP, bound to
     "shaved" polyoxyethylene grafted polystyrene solid phase
               216530-80-2DP, TentaGel-bound
     support
                                               216530-80-2DP, bound to
     "shaved" polyoxyethylene grafted polystyrene solid phase support 216530-81-3DP, TentaGel-bound
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (model sequence; preparation of topol. segregated, encoded solid
        phase libraries)
     167017-79-0DP, TentaGel AM resin-bound
TΤ
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); BIOL (Biological
     study); PREP (Preparation)
        (polyglutamic acid staining and binding to anti-\beta-endorphin
        monoclonal antibody; preparation of topol. segregated, encoded solid
        phase libraries)
     51-67-2, Tyramine
                         55-22-1, Isonicotinic acid, reactions
TT
    Aniline, reactions
                          66-99-9, \beta-Naphthaldehyde
                                                      75-04-7, Ethanamine,
                 75-31-0, Isopropylamine, reactions
                                                       75-64-9, tert-Butylamine,
    reactions
                 75-98-9, Pivalic acid
                                        78-81-9, Isobutylamine
                                                                   78-84-2,
    reactions
     2-Methylpropionaldehyde
                              78-96-6, 1-Amino-2-propanol
                                                             79-08-3,
                        86-87-3, 1-Naphthaleneacetic acid
                                                             88-14-2, 2-Furoic
    Bromoacetic acid
            89-00-9, 2,3-Pyridinedicarboxylic acid
                                                      89-01-0,
                                     90-02-8, reactions
     2,3-Pyrazinedicarboxylic acid
                       93-97-0, Benzoic anhydride
     Benzhydrylamine
                                                     96-17-3,
```

2-Methylbutyraldehyde 97-96-1, 2-Ethylbutyraldehyde

2-Thiophenecarboxaldehyde 98-97-5, 2-Pyrazinecarboxylic acid 99-96-7, 100-09-4, 4-Methoxybenzoic acid 100-10-7, reactions 4-Dimethylaminobenzaldehyde 100-46-9, Benzylamine, reactions 100-52-7, Benzaldehyde, reactions 103-82-2, Phenylacetic acid, reactions 104-53-0, Hydrocinnamaldehyde 104-94-9, p-Anisidine 106-31-0, 106-49-0, p-Toluidine, reactions 107-15-3, n-Butyric anhydride 108-24-7 108-30-5, Succinic anhydride, Ethylenediamine, reactions 108-91-8, Cyclohexylamine, 108-55-4, Glutaric anhydride reactions 109-73-9, 1-Butanamine, reactions 109-85-3, reactions 2-Methoxyethylamine 117-34-0, Diphenylacetic acid 118-31-0, 119-26-6, 2,4-Dinitrophenyl-hydrazine Naphthalene-1-methylamine 121-33-5, Vanillin 122-59-8, Phenoxyacetic acid 122-78-1, 123-08-0, 4-Hydroxybenzaldehyde Phenylacetaldehyde 123-11-5, 4-Methoxybenzaldehyde, reactions 123-15-9, 2-Methylvaleraldehyde 123-72-8, Butyraldehyde 141-43-5, reactions 156-38-7, 4-Hydroxyphenylacetic acid 156-87-6, 3-Aminopropanol 407-25-0, Trifluoroacetic anhydride 447-61-0,  $\alpha$ ,  $\alpha$ ,  $\alpha$ -Trifluoro-o-455-24-3, 4-Trifluoromethylbenzoic acid tolualdehyde 463-00-3,  $\gamma$ -Guanidinobutyric acid 487-89-8, Indole-3-carboxaldehyde 529-20-4, 2-Tolualdehyde 555-16-8, 4-Nitrobenzaldehyde, reactions 584-93-0,  $\alpha$ -Bromovaleric acid 590-86-3, Isovaleraldehyde 619-84-1, 4-Dimethylaminobenzoic acid 634-97-9, 2-Pyrrolecarboxylic acid 619-66-9, 4-Carboxybenzaldehyde 630-19-3, Trimethylacetaldehyde 645-65-8, 4-Imidazoleacetic acid 638-32-4, Succinamic acid 653-21-4822-98-0, 2-Amino-norbornane 830-872-85-5, Pyridine-4-carboxaldehyde 1003-29-8, Pyrrole-2-carboxaldehyde Pentafluorophenylacetic acid 830 - 79 - 5. 2,4,6-Trimethoxybenzaldehyde 1003-03-8, Cyclopentylamine 1821-12-1, 4-Phenylbutyric acid 1877-73-2, 1138-80-3 3-Nitrophenylacetic acid 1912-43-2, 2-Methyl-3-indoleacetic acid 2043-61-0, Cyclohexanecarboxaldehyde 2051-49-2, Caproic anhydride 2124-55-2, Indole-4-carboxylic acid 2213-43-6, 1-Aminopiperidine 2393-23-9, 4-Methoxy-benzylamine 2466-76-4, N-Acetylimidazole 2975-41-9, 2-Aminoindan 3218-36-8, 4-Phenylbenzaldehyde 3268-49-3268-49-3, 3300-51-4, 4-(Trifluoromethyl)-benzylamine 3-Methylthiopropionaldehyde 4319-49-7, 4-Aminomorpholine 4363-93-3, 3641-13-2 3978-80-1 Quinoline-4-carboxaldehyde 4530-20-5 4795-29-3, Tetrahydrofurfurylamine 4942-47-6, 1-Adamantaneacetic acid 4998-07-6, 2-Nitro-4,5-dimethoxybenzoic acid 5292-21-7, Cyclohexylacetic acid 6232-88-8, 4-Bromomethylbenzoic 5453-80-5, 5-Norbornene-2-carboxaldehyde 6928-85-4, 1-Methyl-4-aminopiperazine 6973-60-0, 7536-58-5 **7568-93-6**, 1-Methyl-2-pyrrolecarboxylic acid 10111-08-7, Imidazole-2-carboxaldehyde 2-Amino-1-phenyl-ethanol 10351-19-6, (4-Pyridylthio)acetic acid 13139-15-6 13734-36-6 15231-41-1, tert-Butyl β-Alaninate 16060-65-4, 4-Guanidinobenzoic 16136-58-6, 1-Methylindole-2-carboxylic acid 16935-04-9, 2-Methyl-4-nitro-1-imidazolepropionic acid 19293-58-4, 22106-33-8, 4-(Pyrrol-1-yl)benzoic acid 4-(Dimethylamino)-benzylamine 22282-72-0, 2-Hydroxyisonicotinic acid 24424-99-5, Di-tert-butyl 25173-72-2, 3-(3,4,5-Trimethoxyphenyl) propionic acid dicarbonate 29022-11-5, Fmoc-Gly-OH 34967-24-3, 3,5-Dimethoxy-benzylamine 35661-40-6 35737-10-1 35737-15-6, Fmoc-Trp-OH 35661-39-3 39515-51-0, 3-Phenoxybenzaldehyde 47121-49-3, Ddz-Gly-OH 39508-07-1 51317-25-0, Biphenylacetic acid 51387-90-7, 2-(2-Aminoethyl)-1methylpyrrolidine 53218-34-1, 6-(2-Chlorobenzyloxycarbonylamino)caproic 53298-33-2, Fmoc-Cys(Bzl)-OH 60875-16-3, 4-(3-Methyl-5-oxo-2pyrazolin-1-yl)benzoic acid 71989-14-5 68858-20-8 71989-16-7 71989-26-9 71989-31-6 71989-33-8 71989-18-9 71989-20-3 74141-18-7, (2-Amino-1-imidazolyl) acetic acid 71989-35-0 71989-38-3 76265-69-5, Fmoc-Lys(Tfa)-OH 76863-85-9, Fmoc-Lys(Npys)-OH 79410-20-1, cis, cis-1,3,5-Trimethylcyclohexane-1,3,5-tricarboxylic acid 82911-69-1, 9-Fluorenylmethyl succinimidyl carbonate 84624-27-1 109425-51-6 109425-55-0 119043-62-8 119831-72-0 139551-73-8, Fmoc-D-Pen(Bzl)-OH 146982-27-6, Fmoc-Lys(Alloc)-OH 150629-67-7,

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(14) Heubner; US 5182366 1993 HCAPLUS

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Fmoc-Lys(Dde)-OH
                        150629-67-7D, TentaGel-bound
                                                      152835-00-2,
     2-(9-Fluorenylmethoxycarbonylamino)ethanethiol 158478-76-3
     167017-73-4, 1,4-Dimethyl-2,3-pyrroledicarboxylic acid
                                                              167017-74-5D,
     bound to TentaGel resin via safety-catch linker
                                                       212567-95-8
     216530-71-1, N-(2-Chlorobenzyloxycarbonyl)-\beta-alanine
                                                            216530-72-2
     216530-74-4, Fmoc-Dab(Boc)-OH 216530-78-8, 1-Acetylindole-2-
                      216530-79-9, Boc-Dap(Fmoc)-OH
     carboxaldehyde
                                                      216530-85-7
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of topol. segregated, encoded solid phase
        libraries)
                                                        29022-11-5DP,
     56-40-6DP, Glycine, Sepharose-bound, preparation
     Fmoc-Gly-OH, Sepharose-bound 57260-73-8P
                                                 107271-36-3P 166410-28-2P,
    N-(tert-Butyloxycarbonyl)-N'-(9-fluorenylmethoxycarbonyl)ethylenediamine
     166410-33-9P, N-(9-Fluorenylmethoxycarbonyl)ethylenediamine
                        167017-70-1P
                                       167017-71-2P
     trifluoroacetate
                                                      167017-72-3P
     216530-58-4DP, bound to TentaGel resin via safety-catch linker
     216530-73-3DP, H-Gly-\betaAla-Gly-\betaAla-Gly-Lys(Tfa)-OH, bound to
     TentaGel resin via safety-catch linker 216530-83-5DP, TentaGel-bound
                                    216530-86-8DP, TentaGel-bound
     216530-84-6DP, TentaGel-bound
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of topol. segregated, encoded solid phase
        libraries)
                    152835-01-3P 153838-40-5P
                                                  216530-60-8DP, bound to
     152768-11-1P
     TentaGel resin via safety-catch linker
                                             216530-63-1DP, bound to TentaGel
     resin via safety-catch linker.
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of topol. segregated, encoded solid phase
        libraries)
     56-40-6D, Glycine, RAM-TentaGel-bound, reactions
                                                        167017-80-3D,
     H-βAla-Gly-Trp-OH, RAM-TentaGel-bound
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (reductive alkylation by aldehydes; preparation of topol. segregated,
        encoded solid phase libraries)
     167017-80-3D, H-βAla-Gly-Trp-OH, RAM-TentaGel S-bound
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (reductive alkylation with aldehydes; preparation of topol. segregated,
        encoded solid phase libraries)
     216530-75-5P
                    216530-76-6P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); BIOL (Biological
     study); PREP (Preparation)
        (specific binding to anti-\beta-endorphin monoclonal antibody; preparation
        of topol. segregated, encoded solid phase
        libraries)
              THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 24
(1) Anon; WO 9119735 1991 HCAPLUS
(2) Anon; WO 9200091 1992 HCAPLUS
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(7) Baum; Solid-phase synthesis of benzodiazepines, Chemical and Engineering
    News 1993, V71, P33
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(17) Lam; Immunomethods 1992, V1, P1
(18) Lam; Nature 1991, V354, P82 HCAPLUS
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(20) Needles; Generation and screening of an oligonucleotide-encoded synthetic
    peptide library, PNAS 1993, V90, P10700
(21) Nikolaiev; Peptide Research V6(3), P161 HCAPLUS
(22) Ohlmeyer; Complex synthetic chemical libraries indexed with molecular tags
    PNAS 1993, V90, P10922 HCAPLUS
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    HCAPLUS
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    Related Technologies 1993
     7568-93-6, 2-Amino-1-phenyl-ethanol
TΤ
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of topol. segregated, encoded solid phase
        libraries)
RN
     7568-93-6 HCAPLUS
     Benzenemethanol, \alpha-(aminomethyl)- (9CI) (CA INDEX NAME)
CN
   Ρh
HO-CH-CH2-NH2
     ANSWER 14 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
L23
AN
     1998:712381
                 HCAPLUS
     129:313134
DN
     Entered STN: 10 Nov 1998
ΕD
     Combinatorial libraries of peptidomimetic aminothioether acids
ΤI
     Mendel, David
IN
PΑ
     Eli Lilly and Co., USA
SO
     PCT Int. Appl., 125 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
IC
     ICM C12Q001-00
     ICS G01N033-53; G01N033-556; A01N001-02
     9-16 (Biochemical Methods)
     Section cross-reference(s): 1, 6, 34
FAN.CNT 1
                                           APPLICATION NO.
     PATENT NO.
                      KIND DATE
                            19981022
                                           WO 1998-US7151
                                                            19980408
     WO 9846786
                      A1
PT
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             DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
             NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
             UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, ML, MR, NE, SN, TD, TG
                            19981111
                                           AU 1998-69620
                                                             19980408
     AU 9869620
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                            20000126
                                           EP 1998-915437
                                                             19980408
     EP 973936
                       Α1
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI
     JP 2002504892
                       T2
                            20020212
                                           JP 1998-544062
                                                             19980408
PRAI US 1997-43496P
                       Ρ
                            19970411
                            19980408
     WO 1998-US7151
     MARPAT 129:313134
OS
     The present invention relates to a novel diverse library of aminothioether
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(Uses)

compds. and derivs. thereof, and their possible use as lead compds. in drug development. Methods are presented for the preparation of these peptidomimetic compds. The general method used to prepare the diverse libraries of amino thioether acid compds. utilizes com. available or readily synthesized amino acids or amino alcs. and mercapto acids. An apparatus providing a readily accessible source of individual members of the library is also described. The apparatus can be used in assay kits and as a replaceable element in automated assay machines. combinatorial chem library peptidomimetic aminothioether acid Thioethers RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (amino, peptidomimetic compds.; combinatorial libraries of peptidomimetic aminothioether acids) Peptides, biological studies RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (analogs, peptidomimetic aminothioether acid compds.; combinatorial libraries of peptidomimetic aminothioether acids) Combinatorial chemistry Combinatorial library Drug screening Drugs Electrophiles Microtiter plates Peptide library Test kits (combinatorial libraries of peptidomimetic aminothioether acids) Thiols (organic), biological studies RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (combinatorial libraries of peptidomimetic aminothioether acids) Alcohols, biological studies Aldehydes, biological studies Amines, biological studies Imines Ketones, biological studies RL: BUU (Biological use, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses) (combinatorial libraries of peptidomimetic aminothioether acids) Sulfonic acids, biological studies RL: BUU (Biological use, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses) (esters; combinatorial libraries of peptidomimetic aminothioether acids) Halides Isocyanates Isothiocyanates RL: BUU (Biological use, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses) (organic; combinatorial libraries of peptidomimetic aminothioether acids) Amines, biological studies RL: BUU (Biological use, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses) (primary; combinatorial libraries of peptidomimetic aminothioether acids) Amines, biological studies RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES

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(secondary; combinatorial libraries of peptidomimetic aminothioether
        acids)
IΤ
     Group VIA element compounds
     Group VIA element compounds
     Halides
     Halides
     RL: BUU (Biological use, unclassified); RCT (Reactant); BIOL (Biological
     study); RACT (Reactant or reagent); USES (Uses)
        (sulfur halides, organo-; combinatorial libraries of peptidomimetic
        aminothioether acids)
TT
     71-43-2DP, Benzene, peptidomimetic derivative, biological studies
     110-86-1DP, Pyridine, peptidomimetic derivative, biological studies
     1925-79-7DP, peptidomimetic derivative
                                              3641-05-2DP, peptidomimetic derivative
                    214838-48-9P
     214709-24-7P
                                   214838-49-0P
                                                  214838-50-3P
                                                                 214838-51-4P
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                    214838-53-6P
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                                   214838-94-5P . 214838-95-6P
                                                                 214838-96-7P
     RL: BSU (Biological study, unclassified); BUU (Biological use,
     unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (combinatorial libraries of peptidomimetic aminothioether acids)
IT
     52-67-5, Penicillamine 68-11-1, reactions 79-42-5, Thiolactic acid
     124-63-0, Methanesulfonyl chloride
                                         2418-95-3
                                                      2749-11-3, L-Alaninol
     2937-50-0, Allyl chloroformate 3374-22-9, Cysteine
                                                           4606-65-9,
                           7533-40-6, L-Leucinol 7568-93-6,
     3-Piperidinemethanol
                               23680-31-1 24424-99-5, Boc-anhydride
     2-Amino-1-phenylethanol
                  38521-46-9, 2-Mercaptonicotinic acid
                                                        79467-22-4,
     28920-43-6
     2-[2-(Aminomethyl)phenylthio]benzyl alcohol
                                                  82911-69-1
                                                                214709-23-6
     214838-32-1
                   214838-35-4
                                 214838-36-5
                                              214838-37-6
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     214838-47-8
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (combinatorial libraries of peptidomimetic aminothioether acids)
ΙT
     104669-72-9P
                    116574-71-1P
                                   127407-54-9P
                                                  127559-33-5P
                                                                 162166-99-6P
     214709-27-0P
                    214709-28-1P
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     214709-34-9P
                    214838-30-9P
                                   214838-34-3P
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     214838-46-7P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (combinatorial libraries of peptidomimetic aminothioether acids)
TT
     214709-30-5P
                    214709-32-7P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (combinatorial libraries of peptidomimetic aminothioether acids)
RE.CNT
             THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
RĒ
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(3) Schwyer; Helvetica Chimica Acta 1984, V67, P1316
(4) Yamanouchi Pharmaceutical Co Ltd; EP 0181779 Al 1985 HCAPLUS
     7568-93-6, 2-Amino-1-phenylethanol
ΙT
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (combinatorial libraries of peptidomimetic aminothioether acids)
RN
     7568-93-6 HCAPLUS
CN
     Benzenemethanol, \alpha-(aminomethyl)- (9CI) (CA INDEX NAME)
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Ph
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HO-CH-CH<sub>2</sub>-NH<sub>2</sub>
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L23 ANSWER 15 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
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AN 1998:303619 HCAPLUS

DN 129:40699

ED Entered STN: 23 May 1998

- TI The use of high-throughput synthesis and purification in the preparation of a directed library of adrenergic agents
- AU Siegel, Miles G.; Shuker, Athony J.; Droste, Christine A.; Hahn, Patrick J.; Jesudason, Cynthia D.; Mcdonald, John H., III; Matthews, Donald P.; Rito, Christopher J.; Thorpe, Andrew J.
- CS Research Technology and Proteins, Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN, 46285, USA
- SO Molecular Diversity (1998), Volume Date 1997-1998, 3(2), 113-116 CODEN: MODIF4; ISSN: 1381-1991
- PB Kluwer Academic Publishers
- DT Journal
- LA English

ΙT

- CC 21-2 (General Organic Chemistry)
- AB A library of potential agonists and antagonists for adrenergic receptors was prepared using high-throughput solution-phase parallel synthesis. Traditional solution-phase reductive amination reactions followed by rapid purification by ion exchange chromatog, yielded products with near-anal. purity. An array of ketones and amines, arranged in an 8 + 12 matrix, were combined to form 96 individual compds.
- ST amine secondary high throughput prepn; reductive amination amine ketone; ion exchange chromatog rapid purifn product; combinatorial library secondary amine

## IT Combinatorial library

Ion exchange chromatography

(preparation of a library of secondary amines as potential adrenergic agonists by reductive amination of ketones with primary amines and purified by ion-exchange chromatog.)

```
1207-32-5P
                                         6589-48-6P
             3571-71-9P
                           4164-21-0P
                                                      6890-41-1P
6994-08-7P
             7376-66-1P
                           7683-59-2P
                                        18866-77-8P
                                                       23299-18-5P
26328-11-0P
              41035-54-5P
                             57526-81-5P
                                            69568-36-1P
                                                          97825-25-7P
                               113895-73-1P
                                               118076-10-1P
105831-64-9P
               106351-44-4P
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               143487-96-1P
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208460-07-5P
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               208460-08-6P
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208460-13-3P
               208460-14-4P
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208460-18-8P ·
               208460-19-9P
                               208460-20-2P
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208460-23-5P
               208460-24-6P
                               208460-25-7P
                                               208460-26-8P
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208460-28-0P
               208460-29-1P
                               208460-30-4P
                                               208460-31-5P
                                                               208460-32-6P
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               208460-39-3P
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208460-63-3P
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                                               208460-76-8P
208460-78-0P
```

RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)

(preparation of a library of secondary amines as potential adrenergic agonists by reductive amination of ketones with primary amines and purified by ion-exchange chromatog.)

```
ΙT
     67-64-1, 2-Propanone, reactions
                                     104-14-3
                                                 108-94-1, Cyclohexanone,
                120-92-3, Cyclopentanone 138-65-8 536-21-0
    reactions
     4-Tetrahydrothiopyranone
                                2550-26-7, 4-Phenyl-2-butanone
                                                                 5471-51-2
                              74248-67-2 88965-93-9
                 53360-89-7
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    208459-27-2
    RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of a library of secondary amines as potential adrenergic
        agonists by reductive amination of ketones with primary amines and
        purified by ion-exchange chromatog.)
              THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
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(15) Strosberg, A; Psychopharmacol Ser 1993, V10, P9 HCAPLUS
(16) Wheeldon, N; Q J Med 1993, V86, P595 MEDLINE
(17) Zhao, J; Am J P-Cell 1994, V36, PC969
ΙT
    7568-93-6
    RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of a library of secondary amines as potential adrenergic
        agonists by reductive amination of ketones with primary amines and
        purified by ion-exchange chromatog.)
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    Benzenemethanol, \alpha-(aminomethyl)- (9CI) (CA INDEX NAME)
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    ANSWER 16 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
ΑN
    1997:421310 HCAPLUS
DN
     127:34144
ED
    Entered STN: 09 Jul 1997
     Isoquinoline derivatives as biologically active compounds and isoquinoline
TT
     combinatorial libraries
     Kiely, John S.; Griffith, Michael C.
ΙN
     Torrey Pines Institute for Molecular Studies, USA
PA
SO
     PCT Int. Appl., 171 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
     ICM C07D217-26
TC
     ICS A61K031-47
     27-17 (Heterocyclic Compounds (One Hetero Atom))
     Section cross-reference(s): 1
FAN.CNT 1
                                           APPLICATION NO.
                      KIND DATE
     PATENT NO.
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19970509
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             LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ,
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                       W
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OS
GΙ
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$$\begin{array}{c|ccccc} & & & & & & & \\ & & & & & & & \\ R^3 & & & & & \\ R^4 & & & & & & \\ R^4 & & & & & & \\ R^2 & & & & & & \\ R_5 & & & & & & \\ R_6 & & & & & & \\ \end{array}$$

AB Isoquinoline derivs. I [R1 = (un)substituted alkyl, alkenyl, etc.; R2 = H, (un)substituted alkyl, etc.; R3 - R6 = H, halo, etc.; X = OH, etc.; Y = CO2H, etc.] are prepared More specifically, this invention provides novel isoquinolines as well as novel libraries comprised of many such compds. This document also describes an initial screen of isoquinoline libraries in the  $\delta$ -opioid receptor assay and the  $\sigma$  receptor assay.

ST isoquinoline prepn combinatorial library; opioid receptor assay isoquinoline combinatorial library; sigma receptor assay isoquinoline combinatorial library

# IT Combinatorial library

### Solid phase synthesis

(isoquinoline derivs. as biol. active compds. and isoquinoline combinatorial libraries)

IT Opioid receptors

RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)

( $\sigma$ -opioid; isoquinoline derivs. as biol. active compds. and isoquinoline combinatorial libraries with effect on  $\sigma$  receptors)

IT Opioid receptors

RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)

( $\delta$ -opioid; isoquinoline derivs. as biol. active compds. and

isoquinoline combinatorial libraries with effect on opioid receptors) ΙT 190656-37-2P 190656-41-8P 190656-45-2P 190656-46-3P 190656-47-4P 190656-48-5P 190656-49-6P 190656-50-9P 190656-51-0P 190656-52-1P 190656-53-2P 190656-57-6P 190656-58-7P 190656-54-3P 190656-56-5P 190656-63-4P 190656-59-8P 190656-60-1P 190656-61-2P 190656-62-3P 190656-66-7P 190656-67-8P 190656-68-9P 190656-64-5P 190656-65-6P 190656-72-5P 190656-73-6P 190656-69-0P 190656-70-3P 190656-71-4P

190656-74-7P 190656-75-8P 190656-76-9P 190656-77**-**0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (isoquinoline derivs. as biol. active compds. and isoquinoline combinatorial libraries) ΙT 190656-78-1P 190656-79-2P RL: BYP (Byproduct); PREP (Preparation) (isoquinoline derivs. as biol. active compds. and isoquinoline combinatorial libraries) IΤ 91-00-9D, resin-bound 55095-21-1D, resin-bound 117381-20-1, TentaGel RL: NUU (Other use, unclassified); USES (Uses) (isoquinoline derivs. as biol. active compds. and isoquinoline combinatorial libraries) ΙΤ 51-45-6, Histamine, reactions 51-67-2, Tyramine 55-81-2, 56-45-1, L-Serine, 4-Methoxyphenethylamine 56-40-6, Glycine, reactions reactions 56-84-8, L-Aspartic acid, reactions 56-87-1, L-Lysine, 56-91-7, 4-(Aminomethyl)benzoic acid 61-54-1, Tryptamine 62-53-3, Benzen 60-18-4, L-Tyrosine, reactions reactions 62-53-3, Benzenamine, reactions 64-04-0, Phenethylamine 66-77-3, 1-Naphthaldehyde 66-99-9, 67-36-7, 4-Phenoxybenzaldehyde 2-Naphthaldehyde 67 - 47 - 05-(Hydroxymethyl)-2-furaldehyde 71-23-8, 1-Propanol, reactions 71-30-7, Cytosine 75-07-0, Acetaldehyde, reactions 75-31-0, Isopropylamine, reactions 77-86-1, Tris(hydroxymethyl)aminomethane 79-08-3, Bromoacetic acid 83-55-6 85-87-0, Pyridoxamine 87-25-2, 87-59-2, 2,3-Dimethylaniline 87-60-5, 2-Carboethoxyaniline 3-Chloro-2-methylaniline 88-17-5, 2-Trifluoromethylaniline 89-93-0, 89-97-4, 2-Chlorobenzylamine 2-Methylbenzylamine 90-02-8, 2-Hydroxybenzaldehyde, reactions 90-04-0, 2-Methoxyaniline 91-00-9, Aminodiphenylmethane 91-21-4, 1,2,3,4-Tetrahydroisoquinoline 91 - 59 - 82-Aminonaphthalene 92-36-4, 2-(4-Aminophenyl)-6-methylbenzothiazole 92-54-6, 1-Phenylpiperazine 93-05-0, 4-(Diethylamino)aniline 94-70-2, 2-Ethoxyaniline 95-03-4, 5-Chloro-2-methoxyaniline 94-09-7 95-51-2, 95-53-4, 2-Methylaniline, reactions 2-Chloroaniline 95-55-6, 2-Hydroxyaniline 95-64-7, 3,4-Dimethylaniline95-68-1, 95-76-1, 3,4-Dichloroaniline 2,4-Dimethylaniline 95-78-3, 95-79-4, 5-Chloro-2-methylaniline 2,5-Dimethylaniline 95-81-8, 96-17-3 96-50-4, 2-Aminothiazole 98-01-1, 2-Chloro-5-methylaniline 98-03-3, 2-Thiophenecarboxaldehyde 2-Furaldehyde, reactions 98-16-8, 99-59-2, 2-Methoxy-5-nitroaniline 3-Trifluoromethylaniline 99-61-6, 99-88-7, 4-Isopropylaniline 3-Nitrobenzaldehyde 99-98-9, 100-10-7, 4-(Dimethylamino)benzaldehyde 4-Dimethylaminoaniline 100-46-9, Benzylamine, reactions 100-52-7, Benzaldehyde, reactions 100-61-8, N-Methylaniline, reactions 100-81-2, 3-Methylbenzylamine 100-82-3, 3-Fluorobenzylamine 100-83-4, 3-Hydroxybenzaldehyde 102-50-1, 4-Methoxy-2-methylaniline 102-49-8, 3,4-Dichlorobenzylamine 102-56-7, 2,5-Dimethoxyaniline 103-67-3, N-Benzylmethylamine 103-76-4. 1-(2-Hydroxyethyl)piperazine 104-63-2, N-Benzylethanolamine 104-84-7, 104-86-9, 4-Chlorobenzylamine 4-Methylbenzylamine 104-87-0, 104-94-9, 4-Methoxyaniline 104-96-1 105-07-7. 4-Methylbenzaldehyde 106-40-1, 4-Bromoaniline 106-47-8, 4-Cyanobenzaldehyde 4-Chloroaniline, reactions 106-49-0, p-Toluidine, reactions 107-10-8, Propylamine, reactions 107-95-9, 3-Aminopropionic acid 108-00-9, 108-42-9, 3-Chloroaniline N, N-Dimethylethylenediamine 108-69-0, 108-91-8, Cyclohexylamine, reactions 3,5-Dimethylaniline 109-01-3, 109-85-3, 2-Methoxyethylamine 1-Methylpiperazine 109-89-7, 110-58-7, Amylamine 110-89-4, Piperidine, Diethylamine, reactions reactions 111-42-2, Diethanolamine, reactions 118-31-0, 1-Naphthalenemethylamine 120-57-0, 3,4-Methylenedioxybenzaldehyde 120-71-8, 2-Methoxy-5-methylaniline 122-03-2, 4-Isopropylbenzaldehyde 122-85-0, 4-Acetamidobenzaldehyde 123-08-0 123-11-5, 123-30-8, 4-Hydroxyaniline 4-Methoxybenzaldehyde, reactions Pyrrolidine, reactions 124-02-7 135-02-4, 2-Methoxybenzaldehyde

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136-95-8, 2-Aminobenzothiazole 139-59-3, 4-Phenoxyaniline
                                                              140 - 75 - 0.
4-Fluorobenzylamine 141-43-5, reactions 144-90-1, 3-Amino-2-
methylpropionic acid
                      149-73-5, Trimethyl orthoformate
                                                          153 - 78 - 6.
2-Aminofluorene
                 155-09-9, trans-2-Phenylcyclopropylamine
                                                             156-41-2,
2-(4-Chlorophenyl)ethylamine
                              156-43-4, 4-Ethoxyaniline
                                                           348 - 40 - 3,
2-Amino-6-fluorobenzothiazole
                                348-54-9, 2-Fluoroaniline
3-Fluoro-4-methoxybenzaldehyde
                                367-21-5, 3-Chloro-4-fluoroaniline
                                367-29-3, 5-Fluoro-2-methylaniline
367-25-9, 2,4-Difluoroaniline
371-40-4, 4-Fluoroaniline
                           372-19-0, 3-Fluoroaniline
                                                        387 - 45 - 1,
2-Chloro-6-fluorobenzaldehyde
                                401-95-6, 3,5-
Bis(trifluoromethyl)benzaldehyde
                                   404-70-6, 3-Fluorophenethylamine
437-81-0, 2,6-Difluorobenzaldehyde
                                    446-52-6, 2-Fluorobenzaldehyde
452-80-2, 2-Fluoro-4-methylaniline
                                     452-84-6, 2-Fluoro-5-methylaniline
454-89-7, 3-Trifluoromethylbenzaldehyde 455-14-1, 4-
                         455-19-6, 4-(Trifluoromethyl)benzaldehyde
Trifluoromethylaniline
456-48-4, 3-Fluorobenzaldehyde
                                459-57-4, 4-Fluorobenzaldehyde
498-60-2, 3-Furaldehyde
                          498-62-4, 3-Thiophenecarboxaldehyde
                                                                500-22-1,
                          503-29-7, Azetidine 504-24-5, 4-Aminopyridine
3-Pyridinecarboxaldehyde
536-90-3, 3-Methoxyaniline
                             540-37-4, 4-Iodoaniline
                                                      555-16-8,
                                            583-68-6, 2-Bromo-4-
4-Nitrobenzaldehyde, reactions
                                 582-33-2
methylaniline
                583-75-5, 4-Bromo-2-methylaniline
                                                   591-19-5,
                                            591-31-1,
                 591-27-5, 3-Hydroxyaniline
3-Bromoaniline
3-Methoxybenzaldehyde
                        608-22-0, 2,3-Dibromoaniline 608-27-5,
2,3-Dichloroaniline
                      615-36-1, 2-Bromoaniline 615-43-0, 2-Iodoaniline
615-55-4, 3,4-Dibromoaniline
                              616-30-8, 3-Amino-1,2-propanediol
617-89-0, Furfurylamine
                         618-36-0, \alpha-Methylbenzylamine
                                                          619-21-6,
3-Carboxybenzaldehyde 619-66-9, 4-Carboxybenzaldehyde
                                                          620-02-0,
                       620-23-5, 3-Methylbenzaldehyde
5-Methyl-2-furaldehyde
                                                          621-33-0,
                  621-59-0, 3-Hydroxy-4-methoxybenzaldehyde
3-Ethoxyaniline
                                                              626-01-7,
3-Iodoaniline
                660-88-8, 5-Aminopentanoic acid
                                                 695-34-1,
                     698-63-5, 5-Nitro-2-furaldehyde, reactions
2-Amino-4-picoline
703-59-3, Homophthalic anhydride
                                   704-13-2, 3-Hydroxy-4-nitrobenzaldehyde
712-97-0, 3,4-Methylenedioxy-6-nitrobenzaldehyde
                                                   765-30-0,
                   767-92-0, trans-Decahydroquinoline
Cyclopropylamine
                                                        768 - 94 - 5,
1-Adamantanamine
                   872-85-5, 4-Pyridinecarboxaldehyde
                                                        874 - 42 - 0,
2,4-Dichlorobenzaldehyde
                          929-17-9, 7-Aminoheptanoic acid
                                                             1003-03-8,
                   1003-29-8, Pyrrole-2-carboxaldehyde
Cyclopentylamine
                                                         1121-60-4
2-Pyridinecarboxaldehyde
                          1122-72-1, 6-Methyl-2-pyridinecarboxaldehyde
1122-91-4, 4-Bromobenzaldehyde
                                1192-58-1, 1-Methylpyrrole-2-
carboxaldehyde
                1197-18-8, trans-4-(Aminomethyl)cyclohexanecarboxylic
      1484-26-0, 3-Benzyloxyaniline
                                      1571-08-0, Methyl 4-formylbenzoate
                                  1668-84-4, 2,3-Methylenedioxyaniline
1583-88-6, 4-Fluorophenethylamine
1738-68-7, Glycine benzyl ester 1745-07-9, 6,7-Dimethoxy-1,2,3,4-
                        1747-60-0, 2-Amino-6-methoxybenzothiazole
tetrahydroisoquinoline
1783-81-9, 3-(Methylmercapto)aniline
                                       2038-57-5, Benzenepropanamine
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2043-61-0, Cyclohexanecarboxaldehyde
2213-43-6, 1-Aminopiperidine
                             2217-41-6, 1-Amino-5, 6, 7, 8-
                      2233-18-3, 3,5-Dimethyl-4-hydroxybenzaldehyde
tetrahydronaphthalene
2298-07-9
           2393-23-9, 4-Methoxybenzylamine
                                              2454-37-7,
3-(1-Hydroxyethyl)aniline
                            2516-47-4, (Aminomethyl) cyclopropane
                                 2646-91-5; 2,3-Difluorobenzaldehyde
2524-67-6, 4-Morpholinoaniline
2688-84-8, 2-Phenoxyaniline 2696-84-6, 4-Propylaniline
                                                          2735-04-8,
                       2740-83-2, 3-Trifluoromethylbenzylamine
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2,4-Dimethoxyaniline
2834-92-6, 1-Amino-2-hydroxynaphthalene
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2-Dimethylaminoaniline
                        2836-04-6, 3-Dimethylaminoaniline
                                                             297.3-76-4
2975-41-9, 2-Aminoindan
                         2987-53-3, 2-(Methylmercapto)aniline
3048-01-9, 2-Trifluoromethylbenzylamine
                                          3132-99-8, 3-Bromobenzaldehyde
3213-28-3, 3,5-Dimethoxyphenethylamine
                                         3218-36-8, 4-
                         3303-84-2
                                     3446-89-7, 4-(Methylthio)benzaldehyde
Biphenylcarboxaldehyde
           3586-12-7, 3-Phenoxyaniline
3544-24-9
                                          3731-51-9, 2-
(Aminomethyl)pyridine 3731-52-0, 3-(Aminomethyl)pyridine
                                                             3731-53-1,
4-(Aminomethyl)pyridine 3863-11-4, 3,4-Difluoroaniline 3959-05-5,
2-Bromobenzylamine
                   3959-07-7, 4-Bromobenzylamine
                                                     3973-70-4,
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1-Amino-4-(2-hydroxyethyl)piperazine
                                            4319-49-7, 4-Aminomorpholine
     4363-93-3, 4-Quinolinecarboxaldehyde
                                            4393-09-3, 2,3-Dimethoxybenzylamine
                                      4530-20-5, N-(tert-Butoxycarbonyl)glycine
     4519-40-8, 2,3-Difluoroaniline
     4684-12-2, 1-Amino-4-chloronaphthalene
                                             4795-29-3,
    Tetrahydrofurfurylamine
                               5036-48-6, 1-(3-Aminopropyl)imidazole
     5345-54-0, 3-Chloro-4-methoxyaniline
                                            5393-59-9
    RL: RCT (Reactant); RACT (Reactant or reagent)
        (isoquinoline derivs. as biol. active compds. and isoquinoline
        combinatorial libraries)
     5398-77-6, 4-Methylsulfonylbenzaldehyde
                                               5447-02-9, 3,4-
IT
     Dibenzyloxybenzaldehyde
                              5452-35-7, Cycloheptylamine
                                                             5470-96-2,
                               5736-85-6, 4-Propoxybenzaldehyde
     2-Quinolinecarboxaldehyde
                                                                     5763-61-1,
     3,4-Dimethoxybenzylamine
                                6238-14-8, 3-Aminoquinuclidine
                                                                  6287-38-3,
     3,4-Dichlorobenzaldehyde
                                6299-67-8, 2,3-Dimethoxyaniline
                                                                 6315-89-5,
                           6344-63-4, 1-Aminofluorene 6361-21-3,
     3,4-Dimethoxyaniline
     2-Chloro-5-nitrobenzaldehyde 6373-46-2, 4-Benzyloxyaniline
                                                                    6376-14-3,
                                                     6530-09-2,
     4-Chloro-2-methoxy-5-methylaniline
                                          6404-29-1
                                           6589-55-5, \alpha-
     3-Aminoquinuclidine dihydrochloride
     (Methylaminomethyl)benzyl alcohol 6630-33-7, 2-Bromobenzaldehyde
     6635-20-7
                 6850-57-3, 2-Methoxybenzylamine 6928-85-4,
                                 6933-10-4, 4-Bromo-3-methylaniline ohexanol 7154-73-6, 1-(2-
     1-Amino-4-methylpiperazine
     6982-39-4, trans-2-Aminocyclohexanol
                                          7202-43-9
    Aminoethyl)pyrrolidine
                              7175-81-7
                                                      7242-92-4,
                             7311-34-4, 3,5-Dimethoxybenzaldehyde
    exo-2-Aminonorbornane
                                                                    7409-18-9,
     3-Nitrobenzylamine
                        7409-30-5, 4-Nitrobenzylamine
                                                          7468-67-9,
     2-Cyanobenzaldehyde 7568-93-6, 2-Amino-1-phenylethanol
    7570-45-8, 9-Ethyl-3-carbazolecarboxaldehyde
                                                    7745-91-7.
                               7797-83-3, 2,3-Methylenedioxybenzaldehyde
     3-Bromo-4-methylaniline
    10111-08-7, 2-Imidazolecarboxaldehyde 10200-59-6, 2-
    Thiazolecarboxaldehyde 10203-08-4, 3,5-Dichlorobenzaldehyde
                                                                      10256-43-6
    10269-01-9, 3-Bromobenzylamine
                                      10272-07-8, 3,5-Dimethoxyaniline
     10343-99-4, cis-Decahydroquinoline
                                          13078-79-0
                                                       13078-80-3,
     2-(2-Chlorophenyl)ethylamine
                                   13669-42-6, 3-Quinolinecarboxaldehyde
    13679-70-4, 5-Methyl-2-thiophenecarboxaldehyde
                                                     14268-66-7,
                                14510-06-6, 8-Hydroxyquinoline-2-
    3,4-Methylenedioxyaniline
                     14615-72-6, 3,5-Dibenzyloxybenzaldehyde 15532-75-9
    carboxaldehyde
    15761-38-3, N-(tert-Butoxycarbonyl)-L-alanine
                                                     15971-29-6,
    4-Methoxy-1-naphthaldehyde 16588-34-4, 3-Nitro-4-chlorobenzaldehyde
    16596-41-1, 1-Aminopyrrolidine 17768-41-1, 1-Adamantanemethyla 18638-99-8, 3,4,5-Trimethoxybenzylamine 18791-75-8, 4-Bromo-2-
                                      17768-41-1, 1-Adamantanemethylamine
    thiophenecarboxaldehyde
                              19012-03-4, 1-Methylindole-3-carboxaldehyde
    19293-58-4, 4-(Dimethylamino)benzylamine
                                                20781-20-8,
    2,4-Dimethoxybenzylamine
                              22013-33-8, 1,4-Benzodioxan-6-amine
    22374-89-6, 1-Methyl-3-phenylpropylamine
                                                24313-88-0,
    3,4,5-Trimethoxyaniline
                              24425-40-9
                                           24458-14-8
                                                         24964-64-5,
                           26153-38-8, 3,5-Dihydroxybenzaldehyde
    3-Cyanobenzaldehyde
                                                                   26934-35-0,
    4-(3-Dimethylaminopropoxy)benzaldehyde
                                              27219-07-4
                                                           27687-14-5
    28020-37-3, 3-Amino-2,6-dimethoxypyridine
                                                 28094-04-4
                                                             29022-11-5,
                                            29668-44-8, 1,4-Benzodioxan-6-
    N-(9-Fluorenylmethoxycarbonyl)glycine
    carboxaldehyde
                      31002-73-0, endo-2-Aminonorbornane
                                                           32723-67-4,
                                      33228-44-3, 4-Pentylaniline
                                                                     33233-67-9
    3-Methyl-4-methoxybenzaldehyde
    34036-07-2, 3,4-Difluorobenzaldehyde
                                            34803-66-2, 1-(2-Pyridyl)piperazine
    35216-39-8, 3-Methylsulfonylaniline
                                           35737-10-1
                                                        39515-51-0,
    3-Phenoxybenzaldehyde
                            40499-83-0, 3-Pyrrolidinol
                                                         40807-61-2
    4-Hydroxy-4-phenylpiperidine 48067-24-9
                                                 52516-13-9
                                                             52721-69-4,
    2-Fluorophenethylamine
                              52799-86-7
                                           53460-46-1, 1,3,3-Trimethyl-6-
                               56961-75-2, 2,3,5-Trichlorobenzaldehyde
    azabicyclo[3.2.1]octane
    57294-38-9
                 59983-39-0
                               60142-89-4
                                            61278-21-5
                                                         62373-80-2,
    3-(4-Methoxyphenoxy)benzaldehyde
                                      62414-68-0, (+)-3-Hydroxypiperidine
    63149-33-7, 9-Formyl-8-hydroxyjulolidine
                                               66211-46-9,
     (+)-3-Amino-1,2-propanediol
                                  69385-30-4, 2,6-Difluorobenzylamine
                                          72235-53-1, 3,4-Difluorobenzylamine
    72235-52-0, 2,4-Difluorobenzylamine
    77771-02-9, 3-Bromo-4-fluorobenzaldehyde
                                               79124-76-8,
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3-(3,4-Dichlorophenoxy)benzaldehyde
                                          84235-33-6, (+)-exo-2-
     Aminonorbornane
                       84624-27-1
                                    85118-06-5, 2,5-Difluorobenzylamine
                  116821-47-7
                                120570-05-0
                                              122235-70-5
                                                             123536-15-2
     88574-06-5
     130463-97-7
                  132696-45-8
                                 134978-97-5
                                              142929-49-5
                                                             161793-17-5,
     2,3,4-Trifluorobenzaldehyde
                                   190656-17-8
                                                 190656-34-9
                                                                190897-47-3
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (isoquinoline derivs. as biol. active compds. and isoquinoline
        combinatorial libraries)
ΙT
     7568-93-6, 2-Amino-1-phenylethanol
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (isoquinoline derivs. as biol. active compds. and isoquinoline
        combinatorial libraries)
     7568-93-6 HCAPLUS
RN
CN
     Benzenemethanol, \alpha-(aminomethyl)- (9CI) (CA INDEX NAME)
   Ph
HO-CH-CH2-NH2
    ANSWER 17 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
L23
     1996:114374 HCAPLUS
ΑN
DN
     124:261653
     Entered STN: 23 Feb 1996
ED
     Solid phase synthesis of hydantoins using a
TΙ
     carbamate linker and a novel cyclization/cleavage step
     Dressman, Bruce A.; Spangle, Larry A.; Kaldor, Stephen W.
AU
CS
     Lilliy Res. Lab., Lilly Corporate Center, Indianapolis, IN, 46285, USA
SO
     Tetrahedron Letters (1996), 37(7), 937-40
     CODEN: TELEAY; ISSN: 0040-4039
PB
     Elsevier
\mathsf{DT}
     Journal
LA
     English
     34-2 (Amino Acids, Peptides, and Proteins)
     Section cross-reference(s): 28
     An 800 compound hydantoin library has been constructed using a diverse set
AΒ
     of 20 amino acids and over 80 primary amines. Amino acids were attached
     via their N-termini to (hydroxymethyl)polystyrene using a carbamate
     linker. Bound amino acids were converted to their corresponding amides
     and then cyclized under basic conditions to give hydantoins in high
     Merrifield synthesis hydantoin combinatorial library; amino acid primary
ST
     amine cyclocondensation
IT
     Combinatorial library
       Merrifield synthesis
        (solid phase synthesis of hydantoins
        using a carbamate linker and a novel cyclization/cleavage step)
IT
     Amines, reactions
     Amino acids, reactions
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (solid phase synthesis of hydantoins
        using a carbamate linker and a novel cyclization/cleavage step)
IT
     52-52-8, 1-Aminocyclopentanecarboxylic acid
                                                  63-91-2, Phenylalanine,
                                                 73-22-3, Tryptophan, reactions
     reactions
                71-00-1, Histidine, reactions
     100-46-9, Benzylamine, reactions
                                        103-01-5, N-Phenylglycine
                                                                     502-32-9,
                3060-50-2, 2,2-Diphenylglycine
                                                 3731-53-1,
     4-Aminomethylpyridine
                            3963-62-0, 2,2-Diphenylethylamine
     2-Aminomethylbenzimidazole 7568-93-6, 2-Amino-1-phenylethanol
     7693-46-1, p-Nitrophenyl chloroformate
                                             13211-31-9, Valine tert-butyl
             18822-59-8, O-tert-Butyltyrosine 27431-62-5,
                                    67123-97-1, 1,2,3,4-Tetrahydroisoquinoline-
     N, N-Diethyl-1, 4-butanediamine
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3-carboxylic acid
                          68076-36-8, N-tert-Butoxycarbonyl-1, 4-butanediamine
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (solid phase synthesis of hydantoins
        using a carbamate linker and a novel cyclization/cleavage step)
IT
     7693-46-1DP, p-Nitrophenyl chloroformate, reaction products with
     (hydroxymethyl)polystyrene
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (solid phase synthesis of hydantoins
        using a carbamate linker and a novel cyclization/cleavage step)
IT
     461-72-3DP, Hydantoin, derivs.
                                       2221-11-6P
                                                    34657-67-5P
                                                                   34658-62-3P
     80355-07-3P
                   110182-71-3P 175232-85-6P
                                                  175232-86-7P
                                                                  175232-87-8P
     175232-88-9P
                    175232-89-0P
                                   175232-90-3P
                                                   175232-91-4P
                                                                   175232-92-5P
     175232-93-6P
                    175232-94-7P
                                   175232-95-8P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (solid phase synthesis of hydantoins
        using a carbamate linker and a novel cyclization/cleavage step)
     7568-93-6, 2-Amino-1-phenylethanol
IT
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (solid phase synthesis of hydantoins
        using a carbamate linker and a novel cyclization/cleavage step)
RN
     7568-93-6 HCAPLUS
CN
     Benzenemethanol, \alpha-(aminomethyl)- (9CI) (CA INDEX NAME)
   Ph
HO-CH-CH2-NH2
     ANSWER 18 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
L23
     1995:761505 HCAPLUS
AN
DN
     123:170192
ED
     Entered STN: 29 Aug 1995
TΙ
     Preparation of solid phase libraries of test compounds
     and their topologically separated coding molecules.
ΙN
     Lebl, Michal; Lam, Kit S.; Salmon, Sydney E.; Krchnak, Victor; Sepetov,
     Nikolai; Kocis, Peter
     Selectide Corp., USA PCT Int. Appl., 301 pp.
PA
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
TC
     ICM C07K017-00
     ICS C12Q001-68; C12P021-00
     34-3 (Amino Acids, Peptides, and Proteins)
     Section cross-reference(s): 9
FAN.CNT 2
     PATENT NO.
                      KIND DATE
                                            APPLICATION NO.
                                                             DATE
PΤ
                             19941208
                                            WO 1994-US6078
                                                              19940527
     WO 9428028
                       Α1
            AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KR, KZ, LK,
             LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, UA, UZ
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
             BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
                                            US 1994-249830
     US 5840485
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                                                             19940526
                       Α
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                                                             19940527
                       Α1
     AU 686186
                            19980205
                       B2
     EP 705279
                       A1
                             19960410
                                            EP 1994-919294
                                                             19940527
     EP 705279
                       В1
                            20030219
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
                                            JP 1995-501022
     JP 09501490
                       T2
                             19970210
                                                             19940527
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JP 3394777
                      В2
                             20030407
     AT 232882
                      \mathbf{E}
                             20030315
                                            AT 1994-919294
                                                              19940527
PRAI US 1993-68327
                             19930527
                      Α
     US 1994-249830 A
                             19940526
     WO 1994-US6078 W
                            19940527
GT
FMOC-Ala-Phe-Val
FMOC-Ala-Phe-Val-Lys-
     BOC-Gly-Tyr-Leu-Lys-SCAL-TG I
     A library for identifying and analyzing ligands of acceptors of interest
AB
     comprises: a multiplicity of solid supports to which
     are attached (1) a species of test compound comprised of a series of
     subunits, and (2) a species of coding mol. which is topol. segregated from
     the test compound; the sequence of subunits of the test compound attached to a
     particular support is encoded by the coding mol. attached to the
     same support. Each of the solid phase
     synthesis support beads contains a single type of
     synthetic test compound  The synthetic test compound can have backbone
     structures with linkages such as amide, urea, carbamate, ester, amino,
     sulfide, disulfide, or carbon-carbon, such as alkane and alkene, or any
     combination thereof. The synthetic test compound can also be a mol.
     scaffold, such as derivs. of monocyclic or bicyclic carbohydrates,
     steroids, sugars, heterocyclic structures, polyarom. structures, etc. The
     coding mol. (preferably a peptide) may be segregated in the interior of
     the support and the test compound on the exterior, accessible to a
     macromol. acceptor mol. of interest. Thus, BOC-Lys(FMOC)-OH was coupled
     to safety catch amide linker (SCAL)-modified tentagel (TG) resin; the
     \mbox{N}\epsilon\mbox{-FMOC} group was removed and FMOC-Lys(FMOC)-OH was coupled to the side chain of the first Lys. The FMOC groups were removed and the resin
     was divided into 3 parts, which were sep. coupled with FMOC-Ala-OH,
     FMOC-Phe-OH, and FMOC-Val-OH. Corresponding (coding) amino acids
     BOC-Gly-OH, BOC-Tyr-OH, and BOC-Leu-OH were then coupled to the
     N\alpha\text{-position} of Lys after BOC deprotection. Further division and
     peptide coupling steps gave a total of 27 tripeptide moieties such as (I),
     in which the FMOC-protected tripeptides represent the test compound and the
     BOC-protected tripeptide represents the coding mol. Replacement of the
     BOC protecting group with F3CCO was followed by sequencing of the coding
     peptide.
ST
     library solid phase encoded topol sepd; peptide coding
     mol solid phase library; combinatorial library peptide
     coding mol
ΙT
     Polyamides, preparation
     RL: PNU (Preparation, unclassified); PREP (Preparation)
TT
     Antibodies
     RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL
     (Biological study)
        (binding of supported peptides to anti-\beta-endorphin
        antibodies; preparation of solid phase libraries of test
        compds. and their topol. separated coding mols.)
ΙT
     Edman degradation
        (edman degradation of coding peptides for determination of test compds.;
preparation of
        solid phase libraries of test compds. and their
        topol. separated coding mols.)
IT
     Polymers, preparation
     RL: PNU (Preparation, unclassified); PREP (Preparation)
```

(poly(di)sulfide; preparation of solid phase libraries

of test compds. and their topol. separated coding mols.) ΙΤ Combinatorial library Merrifield synthesis (preparation of solid phase libraries of test compds. and their topol. separated coding mols.) IΤ Peptides, preparation RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of solid phase libraries of test compds. and their topol. separated coding mols.) ΙT Alkanes, preparation Polyamines Polycarbonates, preparation Polyesters, preparation Polyureas Urethane polymers, preparation RL: PNU (Preparation, unclassified); PREP (Preparation) (preparation of solid phase libraries of test compds. and their topol. separated coding mols.) IΤ Alcohols, preparation RL: PNU (Preparation, unclassified); PREP (Preparation) (polyhydric, preparation of solid phase libraries of test compds. and their topol. separated coding mols.) ITAlkenes, preparation RL: PNU (Preparation, unclassified); PREP (Preparation) (polymers, preparation of solid phase libraries of test compds. and their topol. separated coding mols.) TT 9013-20-1, Streptavidin RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study) (binding of supported peptides to streptavidin; preparation of solid phase libraries of test compds. and their topol. separated coding mols.) IT 167017-79-0DP, resin bound RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (detection of anti- $\beta$ -endorphin antibodies; preparation of solid phase libraries of test compds. and their topol. separated coding mols.) 58822-25-6DP, resin bound 140897-59-2DP, resin bound 167017-78-9DP, TT resin bound RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of solid phase libraries of test compds. and their topol. separated coding mols.) ΙT 51-67-2, TyrAmine 66-99-9, β-Naphthaldehyde 75-04-7, Ethanamine, 75-31-0, IsopropylAmine, reactions 78-81-9, IsobutylAmine reactions 78-96-6, 1-Amino-2-propanol 79-08-3, Bromoacetic acid 86-87-3, 1-Naphthylaceticacid 89-00-9, 2,3-Pyridinedicarboxylic Acid 89-01-0, 2,3-Pyrazinedicarboxylic Acid 90-02-8, reactions 96-17-3, 97-96-1, 2-Ethylbutyraldehyde 98-03-3, 2-Methylbutyraldehyde 2-Thiophenecarboxaldehyde 98-97-5, 2-Pyrazinecarboxylic Acid 100-46-9, BenzylAmine, reactions 100-52-7, Benzaldehyde, reactions reactions 107-15-3, 1,2-Ethanediamine, reactions 108-91-8, Cyclohexanamine, reactions 109-73-9, ButylAmine, reactions 109-85-3. 2-MethoxyethylAmine 117-34-0, Diphenylaceticacid 121-33-5, Vanillin 123-11-5, 4-Methoxybenzaldehyde, 123-08-0 122-59-8, Phenoxyacetic acid 123-15-9, 2-Methylvaleraldehyde 141-43-5, reactions reactions 156-38-7, 4-Hydroxyphenylacetic acid 156-87-6 447-61-0,  $\alpha, \alpha, \alpha$ -Trifluoro-o-tolualdehyde 455-24-3, 4-Trifluoromethylbenzoic acid 463-00-3,  $\gamma$ -Guanidinobutyric acid 555-16-8, 4-Nitrobenzaldehyde, reactions 584-93-0,  $\alpha$ -Bromovaleric 619-66-9, 4-Carboxybenzaldehyde 619-84-1, 4-Dimethylaminobenzoic

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630-19-3, Trimethylacetaldehyde
                                        638-32-4, Succinamicacid
645-65-8, 4-Imidazoleacetic Acid 653-21-4, Pentafluorophenylaceticacid
872-85-5, Pyridine-4-carboxaldehyde
                                     1003-03-8, CyclopentylAmine
1877-73-2, 3-Nitrophenylacetic acid
                                     1912-43-2, 2-Methyl-3-
indoleaceticAcid
                  2043-61-0, Cyclohexanecarboxaldehyde 2124-55-2,
Indole-4-carboxylic Acid
                           2393-23-9, 4-MethoxybenzylAmine 3218-36-8,
4-Phenylbenzaldehyde
                       3300-51-4, 4-TrifluoromethylbenzylAmine
3978-80-1
            4530-20-5
                        4998-07-6, 2-Nitro-4,5-dimethoxybenzoic acid
5292-21-7, Cyclohexylacetic acid 6232-88-8
                                               6928-85-4,
4-Methyl-1-aminopiperazine 7568-93-6, 2-Amino-1-phenylethanol
10351-19-6, 4-Pyridylthioacetic acid
                                      13139-15-6
                                                    15231-41-1
15761-38-3
             16060-65-4, 4-Guanidinobenzoic Acid
                                                    16136-58-6,
1-Methylindole-2-carboxylic Acid
                                   16935-04-9
                                               19293-58-4,
4-DimethylaminobenzylAmine
                            22948-94-3, 1-Acetylindole-3-carboxaldehyde
25173-72-2, 3-(3,4,5-Trimethoxyphenyl)propionic acid
                                                       29022-11-5,
FMOC-Gly-OH
              34967-24-3, 3,5-DimethoxybenzylAmine
                                                     35661-39-3
35661-40-6
             35661-60-0
                          35737-15-6, FMOC-Trp-OH
                                                    39508-04-8D, resin
        39515-51-0, 3-Phenoxybenzaldehyde
bound
                                            47373-14-8
                                                         47458-79-7
51317-25-0, Biphenylacetic acid
                                  51387-90-7
                                               57260-73-8
                                                             60875-16-3,
4-(3-Methyl-5-oxo-2-pyrazolin-1-yl)benzoic Acid
                                                  68858-20-8
                                                                71989-20-3
             74141-18-7
71989-31-6
                          77285-08-6
                                       78081-87-5
                                                    79410-20-1
84624-27-1
             90159-87-8D, resin bound
                                        91000-69-0
                                                     92954-90-0
109850-54-6, Naphthalenemethanamine
                                      112772-46-0
                                                    116611-64-4,
FMOC-His-OH
              121343-82-6
                            152835-00-2
                                          167017-73-4
                                                        167017-74-5D,
resin bound
              167017-75-6
                            167017-76-7
                                          167017-77-8
RL: RCT (Reactant); RACT (Reactant or reagent)
   (preparation of solid phase libraries of test compds.
   and their topol. separated coding mols.)
107271-36-3P
               152768-11-1P
                              152835-01-3P
                                             153838-40-5P
                                                            166410-28-2P
166410-33-9P
               167017-70-1P
                              167017-71-2P
                                             167017-80-3DP, resin bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
   (preparation of solid phase libraries of test compds.
   and their topol. separated coding mols.)
167017-81-4P
               167017-82-5P
                              167017-83-6P
                                             167017-84-7P
                                                            167017-85-8P
167017-86-9P
               167017-87-0P
                              167017-88-1P
                                             167017-89-2P
                                                            167017-90-5P
167017-91-6P
               167017-92-7P
                              167017-93-8P
                                             167017-94-9P
                                                            167017-95-0P
167017-96-1P
               167017-97-2P
                              167017-98-3P
                                             167017-99-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
   (preparation of solid phase libraries of test compds.
   and their topol. separated coding mols.)
167017-72-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
   (scaffolding; preparation of solid phase libraries of
   test compds. and their topol. separated coding mols.)
9004-07-3D, Chymotrypsin, resin bound
RL: CAT (Catalyst use); USES (Uses)
   (selective cleavage/deprotection of peptide from resin surface; preparation
   of solid phase libraries of test compds. and their
   topol. separated coding mols.)
7568-93-6, 2-Amino-1-phenylethanol
RL: RCT (Reactant); RACT (Reactant or reagent)
   (preparation of solid phase libraries of test compds.
   and their topol. separated coding mols.)
7568-93-6 HCAPLUS
Benzenemethanol, \alpha-(aminomethyl)- (9CI) (CA INDEX NAME)
```

ΤT

IT

ΙT

IT

RN

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ANSWER 19 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
AN
     1960:39189 HCAPLUS
DN
     54:39189
OREF 54:7757g-i,7758a-d
ED
     Entered STN: 22 Apr 2001
ΤI
     Examination of the rutaceae of Hong Kong. IV. Synthesis of dihydronitidine
ΑU
     Arthur, H. R.; Ng, Y. L.
     Univ. Hong Kong
CS
     Journal of the Chemical Society, Abstracts (1959) 4010-12
SO
     CODEN: JCSAAZ; ISSN: 0590-9791
DT
     Journal
LA
     Unavailable
CC
     10H (Organic Chemistry: Alkaloids).
     Dihydronitidine (I) was synthesized and the structures for nitidine (II)
ΑB
     and oxynitidine (III) proposed in the earlier work confirmed.
     Acetopiperone (25 g.) and 30 g. veratraldehyde treated with 150 ml. alc.
     with 30 ml. 10% aqueous NaOH gave 30 g. 3,4-dimethoxy-3',4'-
     methylenedioxychalcone (IV), m. 135° (alc.). IV (30 g.) in 110 ml.
     EtOCH2CH2OH containing 6.5 ml. AcOH treated 3 min. at 100° with 12.5 q.
     KCN in 45 ml. H2O, heating continued 10 min., 150 ml. H2O added, and the
     mixture cooled gave 30 g. \alpha-(3,4-dimethoxyphenyl)-\gamma-(3,4-
     methylenedioxyphenyl)-\alpha-oxobutyronitrile (V), yellow needles, m.
     146^{\circ} (alc.). V (30 g.) in 200 ml. AcOH treated gradually with 30
     ml. concentrated H2SO4 gave 25 g. \alpha-(3,4-dimethoxyphenyl)-\gamma-(3,4-methylenedioxyphenyl)-\gamma-oxobutyramide (VI), m. 177° (alc.). VI (25 g.) in 350 ml. 7% aqueous NaOH and 200 ml. alc. refluxed 10 hrs. and
     then acidified gave 22 g. \alpha-(3,4-dimethoxyphenyl)-\gamma-(3,4-
     methylenedioxyphenyl)-\gamma-oxobutyric acid (VII), needles, m.
     172° (alc.). VII (11 g.) in 110 ml. AcOH containing 2 ml. 70% HClO4
     hydrogenated during 2 hrs. at 60°/1 atmospheric over 2 g. 5% Pd-C, most of
     the solvent removed, H2O added, the oily product extracted with C6H6, the 8 g.
     brown oil [\alpha-(3,4-dimethoxyphenyl)-\gamma-(3,4-
     methylenedioxyphenyl)-butyric acid] refluxed 4 min. with 20 ml. POCl3, the
     mixture poured on ice, the solid dissolved in CHC13, washed with
     aqueous NaOH, H2O, dried, and evaporated gave 6.5 g. 2-(3,4-dimethoxyphenyl)-
     1,2,3,4-tetrahydro-6,7-methylenedioxy-1-oxonaphthalene (VIII), m.
     165° (alc.). VIII(6 g.) in 15 ml. HCONH2 and 0.8 ml. HCO2H heated
     3 hrs. at 180° with 0.8 g. (NH4)2SO4, 0.8 ml. HCO2H added hourly, the mixture cooled, diluted with H2O, extracted with CHCl3, washed, and
evaporated
     gave 3.5 g. 2-(3,4-dimethoxyphenyl)-1-formamido-1,2,3,4-tetrahydro-6,7-
     methylenedioxynaphthalene (IX), m. 178° (dioxane-alc.). IX (1.5
     g.) refluxed 15 min. with 3 ml. POC13 in 10 ml. PhMe gave a solid
     which suspended in MeOH and basified gave 1 g. 3,4,11,12-tetrahydro-6,7-di-
     methoxy-2',3'-methylenedioxy-1,2-benzophenanthridine (X), m. 188-9°
     (MeOH). X (0.9 g.) heated 0.5 hr. at 240^{\circ} with 0.2 g. 30% Pd-C and
     extracted with CHCl3 gave 0.6 g. 6,7-dimethoxy-2',3'-methylenedioxy-1,2-
     benzophenanthridine (XI), m. 273° (C5H5N). XI (0.5 g.) in 5 ml.
     xylene and 10 ml. PhNO2 refluxed a few min. with 1 ml. Me2SO4 gave the
     methosulfate of XI, m. 306-7° (decomposition) (aqueous alc.). XI
     methosulfate (0.4 g.) in 60 ml. H2O and 4 ml. concentrated HCl refluxed 5 hrs.
     with 8 g. Zn powder under argon, 3 ml. more HCl added after each hr., the
     solution cooled to 0-5^{\circ}, sealed 12 hrs. under argon, the solid
     washed, shaken with CHCl3 and NH3, the extract washed, dried, and evaporated
gave
     0.2 g. I, prisms, m. 208-11° (alc.).
                                              Simple transformations used
     for I led to syntheses of II and III.
ΙT
     Formamide, N-[6-(3,4-dimethoxyphenyl)-7,8-dihydronaphtho[2,3-d]-1,3-dioxol-
     [1,3]Benzodioxolo[5,6-c]phenanthridine, 12,13-dihydro-2,3-dimethoxy-12-
```

methyl-, dihydronitidine

```
[1,3]Benzodioxolo[5,6-c]phenanthridinium compounds, 2,3-dimethoxy-12-
        methyl-, methyl sulfate
TT
     7568-93-6, Benzyl alcohol, \alpha-(aminomethyl)-
        (derivs.)
IT
     548-31-2, Oxynitidine
                             6872-57-7, Nitidine 18034-03-2,
     [1,3]Benzodioxolo[5,6-c]phenanthridine, 2,3-dimethoxy- 41303-46-2,
     Naphtho[2, 3-d]-1, 3-dioxol-5(6H)-one, 6-(3, 4-dimethoxyphenyl)-7, 8-dihydro-
     41303-67-7, Chalcone, 3,4-dimethoxy-3',4'-methylenedioxy-41303-68-8,
     Hydratropic acid, 3,4-dimethoxy-β-piperonyloyl-
                                                       41303-71-3,
     [1,3]Benzodioxolo[5,6-c]phenanthridine, 4b,5,6,11b-tetrahydro-2,3-
     dimethoxy-
                  54022-58-1, Hydratroponitrile, 3,4-dimethoxy-\beta-
                     88775-39-7, Hydratropamide, 3,4-dimethoxy-\beta-
     piperonyloyl-
     piperonyloyl-
        (preparation of)
IT
     456-12-2, Egeline
                         13063-06-4, Nitidine, dihydro-
        (synthesis of)
ΙT
     7568-93-6, Benzyl alcohol, \alpha-(aminomethyl)-
        (derivs.)
RN
     7568-93-6 HCAPLUS
     Benzenemethanol, \alpha-(aminomethyl)- (9CI) (CA INDEX NAME)
   Ph
HO-CH-CH2-NH2
L23 ANSWER 20 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
ΑN
     1959:62377 HCAPLUS
DN
     53:62377
OREF 53:11276b-i,11277a-g
ED
     Entered STN: 22 Apr 2001
ΤI
     Psychopharmacological activity of ring- and side chainsubstituted
     β-phenethylamines
ΑU
     Benington, F.; Morin, R. D.; Clark, Leland C., Jr.; Fox, R. Phyllis
     Battelle Mem. Inst., Columbus, O.
CS
SO
     Journal of Organic Chemistry (1958), 23, 1979-84
     CODEN: JOCEAH; ISSN: 0022-3263
DT
     Journal
LA
     Unavailable
CC
     10E (Organic Chemistry: Benzene Derivatives)
AB
     Synthesis of a number of ring substituted \beta-phenethylamines
     containing alkyl, halogen, and alkoxy substituents by various methods is
     described. The influence of these ring substituents on the
    psychotomimetic activity of substituted \beta-phenethylamines was examined
    by observing the effect of these compds. on cat behavior. Addnl.
     information was thus required on the influence of both the nature and
    position of substituents on the psychotomimetic activity. The procedure
    developed for the synthesis of 4-ethyl-\beta-phenethylamine (I)
    is representative of that used to obtain related compds. Method 1. PhEt
    was chloromethylated with paraformaldehyde and HCl in the presence of
     ZnCl2 to give 72% 4-EtC6H4CH2Cl (II), b17 99-102°. II with NaCN in
     aqueous alc. gave 80% 4-ethylphenylacetonitrile (III), b15 134-6°. III
     (36 g.) added slowly to 19 g. LiAlH4 in 600 ml. Et20, refluxed 0.5 hr.,
    hydrolyzed slowly with H2O, and the Et2O filtrate treated with dry HCl
    gave 23.3 g. I.HCl, m. 208-9° (alc. or alc.-EtOAc). Yields were
    similar for other compds. synthesized by this method. 4-BrC6H4CH2Br and
     4-IC6H4CH2Br were prepared by bromination of p-BrC6H4Me and p-IC6H4Me. All
    the required substituted benzyl chlorides were prepared by the
     chloromethylation reaction. Method 2. m-Toluic acid (25 g.) and 30 ml.
    SOC12 refluxed 3 hrs., excess SOC12 removed, and the residue distilled in
```

vacuo gave 26.7 g. m-toluyl chloride (IV), b30 115-16°. IV in Et20

added to cold Et2O solution containing 17.6 g. CH2N2, left at room temperature overnight, the Et2O removed, and the residual material crystallized gave 19.6 g. diazoketone, m. 69-70°. The diazoketone refluxed overnight with 50 ml. dioxane, 280 ml. 28% NH4OH, 28 ml. 10% AgNO3, and the hot solution treated with C gave 14.6 g. 3-methylphenylacetamide (V), m. 147-8°. V in 325 ml. refluxing C6H6 added dropwise to 11.6 g. LiAlH4 in 250 ml. Et2O, the mixture refluxed 0.5 hr., cooled, hydrolyzed, extracted, and the extract

treated with dry HCl gave 13.7 g. 3-methyl- $\beta$ -phenethylamine, m. 170-1° (alc.-EtOAc). Method 3. 3,4-Methylenedioxy- $\beta$ nitrostyrene (m. 160-1°, obtained in 86% yield from piperonal and MeNO2 in the presence of alkali) (32 g.) in 400 ml. refluxing C6H6 added portionwise to 23 g. LiAlH4 in 400 ml. Et20, the mixture refluxed 1 hr., cooled, hydrolyzed, and the filtrate treated with dry HCl gave 20.5 g. 3,4-methylenedioxy- $\beta$ -phenethylamine-HCl, m. 213-14 $^{\circ}$ (alc.-EtOAc). The following ring substituted  $\beta$ -phenethylamines were thus prepared (substituent, method, and m.p. of the HCl salt given): 2-Me, 2, 226°; 4-Et, 1, 208-9°; 4-iso-Pr, 1, 266-8°; 4-tert-Bu, 1, 258-60° (decomposition); 4-hexyl, 1, 175-7°; 4-Ph, 1, 293-5°; 4-F, 1, 212-13°; 4-Cl, 1, 218-18.5°; 4-I, 1, 294-6° (decomposition); 4-Br, 1, 240-3° (decomposition); 3,5-di-MeO, 2, 155-7°; DL-3,4,5-trimethoxy- $\beta$ -methyl, 3, 220-1°; DL-3,4,5-trimethyl- $\beta$ -methyl, 3, 265-6°; DL-3,4-methylenedioxy- $\beta$ -methyl, 3, 191-2°. Tyramine (13.7 g.) in 85 ml. AcOH treated portionwise with 32 g. Br in 90 ml. AcOH and cooled gave 31.2 g. 3,5-dibromo-4-hydroxy- $\beta$ -phenylethylamine-HCl (VI), m.  $269\text{--}70^\circ$  (decomposition). VI (28.2 g.) in 600 ml. H2O at  $70^\circ$ treated with 18 g. NaOAc in 50 ml. H2O, the separated oil extracted with Et2O,

and

dilute

distilled gave 15.2 g. N-acetyl-3,5-dibromo-4-hydroxy- $\beta$ -phenethylamine (VII), m. 147-8°. VII (15.2 g.) in 3 g. NaOH and 80 ml. H2O treated with 3 portions of Me2SO4 and kept several hrs. gave 13.7 g. N-acetyl-3,5-dibromo-4-methoxy- $\beta$ -phenethylamine (VIII), m. 121-2° (alc.). Crude VIII (12 g.) and 70 ml. 1:1 HCl refluxed 1 hr. gave 8.9 g. 3,5-dibromo-4-methoxy- $\beta$ -phenethylamine-HCl, m. 233-4° (alc.-EtOAc). 2,6-Dimethylphenol (100 g.) and 150 ml. Ac20 refluxed 3.5 hrs. and distilled gave 108 g. 2,6-dimethylphenyl acetate, b0.6  $83-5^{\circ}$ . A mixture of this product and 93 g. anhydrous AlCl3 warmed on a steam bath to initiate reaction, left 2 hrs. at room temperature, heated an addnl. hr., poured on ice and HCl, and the crude solid product collected gave 76.5 g. 3,5-dimethyl-4-hydroxyacetophenone (IX), m. 154-4.5° (MeOH-H2O). IX (75 g.) in 100 ml. MeOH and 100 ml. Me2SO4 refluxed 15 min. with 80 g. NaOH in 90 ml. H2O, diluted to 1200 ml. with H2O, and extracted gave 66 g. 3,5-dimethyl-4-methoxyacetophenone (X), m. 47-8° (Et2O). X (65.4 g.), 47 g. morpholine, and 17 g. S refluxed 7 hrs. and poured into 200 ml. hot alc. gave 65 g. 3,5-dimethyl-4methoxyphenyl-thioacetomorpholide (XI), m. 86-7° (Et20-ligroine). XI (56.7 g.), 110 ml. AcOH, 25 ml. H2O, and 15 ml. concentrated H2SO4 refluxed 7.5 hrs., cooled, poured into 800 ml. H2O, extracted with Et2O, then with

NaOH, and acidified gave 34.5 g. 3,5-dimethyl-4-methoxyphenylacetic acid (XI), m. 77-8° (Et2O-ligroine). XI (18 g.), 14 ml. SOC12, and 60 ml. CHC13 refluxed 3 hrs., stripped of solvent and excess SOC12, and added slowly to excess NH4OH gave 14 g. 3,5-dimethyl-4-methoxyphenylacetamide (XII), m. 109-10° (C6H6-ligroine). XII (14 g.) in 200 ml. C6H6 refluxed 1 hr. with 8.3 g. LiAlH4 in 300 ml. Et2O and dry HCl added to the crude material gave 15.7 g. 3,5-dimethyl-4-methoxy- $\beta$ -phenyl-ethylamine-HCl, m. 226-7° (alc.-EtOAc). 3,4,5-(EtO)3C6H2CH2CO2H (16 g.), 10 ml. SOC12, and 20 ml. CHCl3 refluxed 1 hr. and the crude acid chloride added to NH4OH gave 10.2 g. 3,4,5-triethoxy- $\beta$ -phenethylacetamide (XIII), m. 137-8° (C6H6-ligroine). XIII (8 g.) in 100 ml. C6H6 stirred 2 hrs. with 3.8 g. LiAlH4 in Et2O, hydrolyzed, and treated with dry HCl gave 5.4 g. 3,4,5-triethoxy- $\beta$ -phenethylamine-

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HCl, m. 172-3°. 3,4-Dimethyl-\omega-chloroacetophenone (84% yield
     from o-xylene, ClCH2COCl, and AlCl3, m. 76-7^{\circ}) (36.5 g.)
     added portionwise to 150 ml. alc., 25 g. N-methylbenzylamine, and 25 g.
     anhydrous Na2CO3, the mixture refluxed 6 hrs., filtered, evaporated, the
residue
     treated with H2O, extracted with Et2O, and distilled gave 33.6 g. crude
product,
     b0.4 170-5°, treated with HCl to give 29.3 g. 3,4-dimethyl-N-benzyl-
     N-methylaminoacetophenone-HCl (XIV), m. 197-8° (decomposition). XIV
     (27.5 g.) in 200 ml. MeOH hydrogenated at room temperature and 3 atmospheric H
     g. 10% Pd-C gave 12.5 g. dl-3,4-dimethyl-\alpha-hydroxy-N-methyl-\beta-
     phenethylamine-HCl, m. 137-8° (alc.-Et20). 3,4,5-
     Trimethylacetophenone (60 q.) in 150 ml. AcOH treated at room temperature with
     59 g. Br gave 47.6 g. 3,4,5-trimethyl-\omega-bromoacetophenone (XV), m.
     77.5-8.0° (alc.). \overline{XV} (40 g.) treated as above with 20.8 g.
     PhCH2NHMe and 22 q. anhydrous Na2CO3 in 125 ml. alc. gave after treatment
     with dry HCl 31.6 g. 3,4,5-trimethyl-N-benzyl-N-methylaminoacetophenone-HCl (XVI), m. 178.5-9.0^{\circ} (alc.-Et20). XVI (26.2 g.) in 150 ml.
     MeOH hydrogenated at 3 atmospheric H over 1 q. 10% Pd-C with simultaneous
     debenzylation and reduction of the CO group gave 14.5 g.
     dl-3,4,5-trimethyl-\alpha-hydroxy-N-methyl-\beta-phenethylamine-HCl, m.
     186-7^{\circ}. The above compds. were tested on the cat for pilomotor,
     pupil dilation, growl, hiss, aggressive behavior, withdrawing, and
     salivation and the results tabulated. It was noted that nearly all these
     derivs. exhibited an analeptic activity in the nembutalized cat at a low
     level of anesthesia.
     Psychotomimetic agents
IT
         (chemical constitution and)
TΤ
     Pharmacology
         (of phenethylamines)
ΙT
     Analeptics
         (phenethylamine derivs. as)
     Phenethyl alcohol, 3,4-dimethyl-\alpha-methylamino-, dl-, hydrochloride
IT
     Phenethylamine, 3,4,5-trimethoxy-\beta-methyl-, DL-, hydrochloride Phenethylamine, 3,5-dioromo-4-methoxy-, hydrochloride
     Phenethylamine, 4-methoxy-3,5-dimethyl-, hydrochloride
     Phenethylamine, \beta, 3, 4, 5-tetramethyl-, DL, hydrochloride
     Phenethylamine, \beta-methyl-3,4-methylenedioxy-, DL, hydrochloride
ΙT
     Phenylephrine
         (basicity of)
     Phenethylamine, m-methyl-
ΙT
     Phenethylamine, o-methyl-
     Phenethylamine, p-methyl-
         (hydrochlorides)
ΙΤ
     51-41-2, Arterenol
                            51-43-4, Adrenaline 51-61-6, Pyrocatechol,
                           51-67-2, Tyramine 94-07-5, Synephrine
     4-(2-aminoethyl)-
     Benzyl alcohol, \alpha-(aminomethyl)-p-hydroxy- 370-98-9, Phenol,
     p-(2-methylaminoethyl) - 501-15-5, Pyrocatechol, 4-(2-methylaminoethyl) - <math>536-21-0, Benzyl alcohol, \alpha-(aminomethyl)-m-hydroxy- 589-08-2,
     Phenethylamine, N-methyl- 6589-55-5, Benzyl alcohol,
     \alpha-(methylaminomethyl)- 7568-93-6, Benzyl alcohol,
     \alpha-(aminomethyl)-
         (basicity of)
IT
     64-04-0, Phenethylamine
         (derivs., preparation and pharmacology of)
     459-19-8, Phenethylamine, p-fluoro-, hydrochloride
                                                                637-26-3,
TΤ
     Phenethylamine, 3,5-dimethoxy-, hydrochloride 876-98-2, 2,6-Xylenol,
              1467-05-6, Toluene, α-chloro-p-ethyl- 1485-00-3,
     acetate
     Styrene, 3,4-methylenedioxy-β-nitro- 1653-64-1, Phenethylamine,
     3,4-methylenedioxy-, hydrochloride 1711-06-4, m-Toluoyl chloride
     2492-83-3, Phenethylamine, p-chloro-, hydrochloride
                                                                3166-81-2,
     Phenethylamine, 3,4,5-triethoxy-, hydrochloride
                                                           3166-88-9,
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Phenethylamine, p-ethyl-, hydrochloride
                                                  5325-04-2, Acetophenone,
     4'-hydroxy-3',5'-dimethyl- 13062-88-9, Phenol, 4-(2-aminoethyl)-2,6-
     dibromo-, hydrochloride 17027-69-9, Phenethylamine, p-phenyl-,
     hydrochloride 17263-64-8, Acetophenone, 2-diazo-4'-methyl-
     Phenethylamine, p-bromo-, hydrochloride 39260-90-7, Phenethylamine,
     p-iodo-, hydrochloride 50690-08-9, Acetophenone, 2-chloro-3',4'-dimethyl-
        51632-28-1, Acetonitrile, (p-ethylphenyl)- 60609-65-6, Acetophenone,
     4'-methoxy-3',5'-dimethyl- 61035-87-8, Phenethylamine, p-isopropyl-, hydrochloride 90765-38-1, Acetamide, 2-m-tolyl- 99070-34-5, Acetam
                                                            99070-34-5, Acetamide,
     N-(3,5-dibromo-4-hydroxyphenethyl)-
                                            99985-50-9, Acetamide,
     2-(4-methoxy-3,5-xylyl)- 100126-17-8, Acetamide, N-(3,5-dibromo-4-
     methoxyphenethyl) - 100251-59-0, Phenethylamine, p-tert-butyl-,
     hydrochloride
                     100251-87-4, Phenethyl alcohol, 3,4,5-trimethyl-\alpha-
     methylamino-, hydrochloride 100874-90-6, Phenethylamine, p-hexyl-,
     hydrochloride 101717-59-3, Acetophenone, 2-(benzylmethylamino)-3',4'-
     dimethyl-, hydrochloride 101777-15-5, Acetamide, 2-(3,4,5-
     triethoxyphenyl) -
                          102005-30-1, Morpholine, 4-[(4-methoxy-3,5-
                           102009-75-6, Acetophenone, 2-(benzylmethylamino)-
     xylyl)thioacetyl}-
     3',4',5'-trimethyl-, hydrochloride
                                           104216-50-4, Acetic acid,
                              105906-41-0, Acetophenone, 2-bromo-3', 4', 5'-
     (4-methoxy-3, 5-xylyl)-
     trimethyl-
        (preparation of)
ΙT
     7568-93-6, Benzyl alcohol, \alpha-(aminomethyl)-
        (basicity of)
RN
     7568-93-6 HCAPLUS
     Benzenemethanol, \alpha-(aminomethyl)- (9CI) (CA INDEX NAME)
CN
   Ρh
HO-CH-CH2-NH2
L23 ANSWER 21 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
AN
     1950:19985 HCAPLUS
DN
     44:19985
OREF 44:3939h-i,3940a-i,3941a-c
     Entered STN: 22 Apr 2001
TΙ
     A new synthesis of \alpha-amino-p-hydroxyacetophenones and their
     reduction to the corresponding aminoethanols
ΑU
     Asscher, M.
CS
     N. V. Philips-Roxane, Weesp, Neth.
     Recueil des Travaux Chimiques des Pays-Bas et de la Belgique (1949), 68,
     960 - 8
     CODEN: RTCPB4; ISSN: 0370-7539
DT
     Journal
LA
     English
     10 (Organic Chemistry)
CC
     CASREACT 44:19985
OS
GΙ
     For diagram(s), see printed CA Issue.
     cf. C.A. 27, 2681. A new synthesis is described for compds. of
AΒ
     the type p-HOC6H4COCH2N by the condensation of phenol or its derivs. with
     aminoacetonitriles, with the help of gaseous HCl, ZnCl2, or AlCl3. The
     corresponding alcs. were prepared by catalytic reduction of the ketones
     obtained. To 73 g. iso-PrNH2.HCl in 98 g. 40% HCHO, cooled with EtOH-solid CO2, 36.5 g. NaCN in 75 ml. H2O was added dropwise with
     stirring at a rate to maintain a temperature of -4 ^{\circ} to 0^{\circ}; after 1
     hr. at room temperature, the mixture was diluted, extracted with C6H6, the
C6H6 extract
     dried with anhydrous Na2SO4, and distilled under a vacuum to remove the C6H6,
     producing 67 g. of residue, iso-PrNHCH2CN.HCl (I), light yellow viscous
     oil, unstable above 70°. To 67 q. I in 30 ml. absolute alc. was added
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hr.

hrs.

solution

25 g. dry HCl in 60 g. absolute alc. dropwise while cooling externally with ice and NaCl to keep the temperature below 8°, and then 200 ml. dry Et20; on standing there separated 58 g. I.HCl, m. 166-7°; recrystd. from EtOH-MeCOEt it formed glittering needles, m. 168-9°. I.HCl (13.5) g.) and 14 g. PhOH were added to 33 g. anhydrous AlCl3 in 60 ml. PhNo2, dry HCl introduced for 3 hrs. at  $30\text{--}40^\circ$  the mixture cooled, poured into 100 ml. H2O, and the precipitated p-HOC6H4COCH2NHCHMe2 (II), filtered after 1and washed with Me2CO, recrystd. from H2O, m. 258-60° (decomposition). Anhydrous AlCl3 (30 g.), 14 g. PhOH, and 11 g. MeNHCH2CN.HCl (III) were added to 60 g. PhNO2 with shaking and cooling, dry HCl passed in for 3 hrs. at 20-30°, the mixture poured into 70 ml. H2O, cooled, allowed to stand for 1 hr., the precipitate of crude p-HOC6H4COCH2NHMe.HCl (IV) dissolved in 300 ml. H2O, 30 g. of 50% Na lactate added to remove the Al, then charcoal added, the mixture filtered, cooled, and NH3 added to pH 8; the 12.5 g. precipitate of the free base of IV, filtered and washed with H2O and Me2CO, m.  $142\text{-}4\,^\circ$  (decomposition). Treatment with dilute HCl gave 83% IV, m.  $242\text{-}4\,^\circ$  (decomposition). A similar preparation with H2SO4 in alc. instead of HCl gave a 45% yield. Prolonged treatment with dry HCl and standing gave only 75% IV. With no HCl the yield of free base of IV dropped to 25%. PhCl as solvent gave inferior yields of IV. The N-Ac compound, obtained by boiling IV with Ac20, m. 191.5-2.5°. p-HOC6H4COCH2NH2.HCl (V), prepared in 51% yield by condensing PhOH and H2NCH2CN.HCl, m. 249-51°. BzOPh was condensed with III by methods similar to those for the preparation of IV except that dry HCl was admitted for 8 hrs. (final temperature at 60°), the mixture poured into H2O, cooled, and Na lactate added; addition of NH3, to the neutral point precipitated p-PhCO2C6H4COCH2NHMe.HCl (VI), which, crystallized from 30% alc. HCl, MeCOEt, and alc.-MeCOEt, gave a small yield of VI, m. 243-5° (decomposition). PhOMe (64 g.) and 44 g. III were added to 108 g. anhydrous AlCl3 in 240 g. PhNO2, dry HCl passed in during 6 hrs. at 20-30°, and the mixture poured into 250 ml. H2O and cooled to  $0^{\circ}$ , precipitating p-MeOC6H4COCHMe.HCl (VII), which, dried with Me2CO, extracted with absolute alc., and crystallized from absolute alc. yielded 38 g. pure
VII, m. 211-14°. Heating VII with 2 ml. 48% HBr at 150° for 2 hrs. and addition of NH3 yielded 50% free base of VII. PhOH (9.3 g.) and 131 g. MePhNCH2CN.HCl were similarly condensed with 22 g. AlCl3 in 40 g. PhNO2 by adding dry HCl, using 50% Na lactate and charcoal, and adding NH3, which precipitated 4.5 g. crude p-HOC6H4COCH2NMePh (VIII), m. 102-10°, after 2 crystns. from dilute EtOH. VIII.HCl m. 223-5°. PhoMe (20 g.) and 15 g. Et2NCH2CN.HCl (IX) were added to 33 g. AlCl3 in 60 g. PhNO2, dry HCl passed in for 4 hrs. at 35°, the mixture poured into 120 ml. H2O, cooled, the aqueous layer separated, extracted twice with CCl4 with charcoal, the solution made alkaline with strong NaOH with cooling until the precipitated Al redissolved, the oil extracted, dissolved in dried with anhydrous Na2SO4, and 22 g. picric acid in warm AcOEt added, precipitating after 6 hrs. 12 g. yellow needles of MeOC6H4COCH2NEt2 picrate (X), m.  $142-5^{\circ}$ . The AcOEt solution of X above was extracted with dilute HCl and evaporated under a vacuum to a sirup which, heated with concentrated HCl for 3 at 150° in a sealed tube, evaporated to dryness in vacuo, and recrystd. from EtOH-MeCOEt, gave p-HOC6H4COCH2NEt2.HCl (XI), m. 187-9°. This method proved superior to direct synthesis from PhOH and IX. Under comparable conditions to those used for IV, PhOH failed to condense with H2NCH2CHMeCN, H2NCH2CHMeCH2CN, Me2CHCH(NH2)CN, EtCPh(NH2)CN, and BzNMeCH2CN [cf. Ber. 36, 1646(1903)], which is soluble in C6H6 and m. 75-6° (from petr. ether). H2NCH2CHMeCN.HCl (XII) was prepared by condensation of 30% AcH, MeNH3Cl, and NaCN solution, Et20-extracted, the

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evaporated to dryness, and the product converted by alc. HCl to XII, m.
     124-5.5^{\circ} (decomposition). IV (20.5 g.) suspended in 100 ml. H2O and 10
     ml. 36% HCl, was treated with H and 5 q. Raney Ni at room temperature and
     pressure, the solution filtered after shaking for 12 hrs., and 13 ml. of 25%
     NH3 added, precipitating 14.3 g. small white needles of p-HOC6H4CH(OH)CH2NHMe
     (XIII), m. 186-7^{\circ} (decomposition). XIII can also be prepared from IV by
     reduction with a mixture of PdO and PtO2. Reduction of 25 g. of IV
     tartrate, m. 193-5°, in H2O with Raney Ni gave 22 g. (88%) XIII tartrate, m. 188-9° (decomposition). Reduction of V, by methods similar
     to those for IV, gave 80% p-HOC6H4CH(OH)CH2NH2.HCl, m. \bar{1}77-9°
     (decomposition). A similar reduction of III and subsequent addition of NH3
gave
     75% p-HOC6H4CH(OH)CH2NHCHMe2, m. 136-8°. Combustion analyses of
     the several compds. agreed well with theory.
IΤ
         (cyclic, \alpha-keto derivs.)
ΙΤ
     Ketones
         (epoxy)
IT
     Reduction
         (of \alpha-amino-p-hydroxyacetophenone derivs.)
ΙT
     Phenols
         (reactions with aminoacetonitriles)
ΙT
     Acetamide, N-(p-hydroxyphenacyl)-N-methyl-
     Acetophenone, 2-(benzylmethylamino)-4'-hydroxy-
     Acetophenone, 2-(benzylmethylamino)-4'-hydroxy-, hydrochloride
     Acetophenone, 2-diethylamino-4'-hydroxy-, hydrochloride
     Acetophenone, 2-diethylamino-4'-methoxy-, picrate
     Acetophenone, 4'-hydroxy-2-isopropylamino-, hydrochloride
     Acetophenone, 4'-methoxy-2-methylamino-
     Benzyl alcohol, \alpha-(aminomethyl)-p-hydroxy-, hydrochloride
     Glycinonitrile, N-isopropyl-, hydrochloride
Propionitrile, 2-methylamino-, hydrochloride
ΙT
     21213-89-8, Acetophenone, 4'-hydroxy-2-methylamino-
         (and derivs.)
ΙT
     7568-93-6, Benzyl alcohol, \alpha-(aminomethyl)-
         (derivs.)
TT
     540-61-4, Glycinonitrile
         (derivs., reaction with PhOH and its derivs.)
TΤ
     94-07-5, Synephrine
                             7376-66-1, Benzyl alcohol, p-hydroxy-\alpha-
     (isopropylaminomethyl) - 19745-72-3, Acetophenone, 2-amino-4'-hydroxy-,
                      29705-80-4, Acetophenone, 4'-methoxy-2-methylamino-,
     hydrochloride
     hydrochloride
         (preparation of)
TT
     108-95-2, Phenol
        (reaction with glycinonitrie derivs.)
ΤT
     7568-93-6, Benzyl alcohol, \alpha-(aminomethyl)-
        (derivs.)
RN
     7568-93-6 HCAPLUS
     Benzenemethanol, \alpha-(aminomethyl)- (9CI) (CA INDEX NAME)
CN
   Ph
```

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FILE COVERS 1907 - 1 Feb 2004 VOL 140 ISS 6 FILE LAST UPDATED: 30 Jan 2004 (20040130/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> d stat que

L1 STR

5 Cy { NH~G1~^C~~ 0 1 2 3 4

REP G1=(1-10) C NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 5

STEREO ATTRIBUTES: NONE

L3 SCR 2043

L5 1913 SEA FILE=REGISTRY SSS FUL L1 AND L3 L9 1022 SEA FILE=HCAPLUS ABB=ON PLU=ON L5

L12 94197 SEA FILE=HCAPLUS ABB=ON PLU=ON SOLID(W)PHASE L13 25 SEA FILE=HCAPLUS ABB=ON PLU=ON L9 AND L12

≕> =>

=> d ibib abs hitrn 113 1-25

L13 ANSWER 1 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2001:785205 HCAPLUS 137:20594

DOCUMENT NUMBER: TITLE:

.DELTA.Tt-mechanism in the design of self-assembling

structures

AUTHOR(S):

CORPORATE SOURCE:

SOURCE:

Urry, Dan W.; Hayes, Larry; Luan, Chixiang; Gowda, -D. Channe; McPherson, David; Xu, Jie; Parker, Timothy

OADI Technology Center, Bioelastics Research, Ltd.,

Birmingham, AL, 35211-6918, USA

Self-Assembling Peptide Systems in Biology, Medicine and Engineering, [Workshop], Crete, Greece, July 1-6, 1999 (2001), Meeting Date 1999, 323-342. Editor(s): Aggeli, Amalia; Boden, Neville; Zhang, Shuguang. Kluwer Academic Publishers: Dordrecht, Neth.

CODEN: 69BYXZ

DOCUMENT TYPE:

LANGUAGE:

Conference English

OTHER SOURCE(S): CASREACT 137:20594

Protein-based polymers can be designed in which self-assembly occurs as the temp. is raised above the onset temp., Tt, of an inverse temp.

transition for hydrophobic folding and assembly. Instead of changing the temp., however, by many means the value of Tt can be lowered from above to below an operating temp. to drive hydrophobic folding and assembly. is the .DELTA. Tt-mechanism. Modulation of charges on the polymer provides the most dramatic means of controlling Tt and therefore becomes the most effective means for controlling self-assembly. The formation of charge raises the value of Tt and causes disassembly, whereas neutralization of charge by lowering degree of ionization or by increasing ion-pairing drives self-assembly. For example, a polymer with one Asp(COO-) or Glu(COO-) per 30 residues can be in soln. with its Tt above 100.degree.C. Titrn. with a cationic drug lowers Tt to below 25.degree.C and results in self-assembly into a drug delivery vehicle capable of a const. release profile for a const. surface area, and the vehicle simply disperses as the drug is released. Similarly an anionic drug can induce self-assembly of a cationic, e.g., Lys(NH3+)-contg., protein-based polymer. Two solns. of protein-based polymers, one polymer with neg. charges, e.g., COO-, and the other with pos. charges, e.g., NH3+ and both with hydrophobic residues sufficient to shift the pKa values of their resp. functional groups, can exhibit their individual inverse temp. transitions at temps. much higher than body temp., even greater than 100.degree.C. On combining the two solns., the polymers self-assemble with a Tt below room temp. Each polymer by ion pairing with the other dramatically lowers the temp. of the inverse temp. transition for polymer self-assembly. The effectiveness of this self-assembly of two oppositely charged protein-based polymers increases as the individual hydrophobic-induced pKa shifts are larger and as steric matching occurs in the ion-pairing between the pair of polymers. Furthermore, the same protein-based polymer can contain both pos. and neg. charges with hydrophobically shifted pKa values to become locked in self-assembled structures. In summary, ion-pairing within properly designed protein-based polymers results in self-assembling materials and structures by means of the .DELTA.Tt-mechanism.

IT170742-70-8P

> RL: BPN (Biosynthetic preparation); CPS (Chemical process); PEP (Physical, engineering or chemical process); PRP (Properties); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation); PROC (Process) (inverse temp. transition mechanism for hydrophobic folding and self-assembly of protein-based polymers)

434956-82-8 434956-86-2

RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process)

(inverse temp. transition mechanism for hydrophobic folding and self-assembly of protein-based polymers)

ΙT 157932-32-6P

ΙΤ

RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)

(inverse temp. transition mechanism for hydrophobic folding and self-assembly of protein-based polymers)

434956-70-4P 434956-75-9P

RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)

(inverse temp. transition mechanism for hydrophobic folding and self-assembly of protein-based polymers)

ΤТ 434956-38-4P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(inverse temp. transition mechanism for hydrophobic folding and self-assembly of protein-based polymers)

REFERENCE COUNT:

THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS 28 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2001:423029 HCAPLUS

DOCUMENT NUMBER:

136:217046

TITLE:

Synthesis and properties of peptide fragments of the S-region of the surface protein of the hepatitis B

virus

AUTHOR(S):

Kuranova, I. L.; Churkina, S. I.; Os'mak, A. V.; Filonova, E. B.; Lyudmirova, V. L.; Noskova, O. V.

CORPORATE SOURCE:

Leningrad State Univ., Russia

SOURCE:

Khimiya Prirodnykh Soedinenii (1992), (3,4), 406-413

CODEN: KPSUAR; ISSN: 0023-1150

PUBLISHER:

Izdatel'stvo Fan

DOCUMENT TYPE: LANGUAGE:

Journal English

A peptide fragment with the 140-146 sequence of the main component of the surface protein of the hepatitis B virus (HBsAG) and a no. of its structural analogs have been synthesized by the classical method in soln. Conjugates of the peptides synthesized with bovine serum albumin and with a synthetic polypeptide analog of polytuftsin have been obtained. The ability of the prepns. to bind antibodies from the blood sera of hepatitis B patients has been studied. The possibility has been shown of their use

for revealing antibodies to the hepatitis B virus in solidphase enzyme-mediated immunoassay.

112592-90-2D, Polytuftsin, analog 112710-32-4D, Polytuftsin, analog

RL: BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)

(prepn. of conjugates of polytuftsin analog and peptides of surface protein of hepatitis B virus and their ability to bind antibodies from blood serum of hepatitis B patients)

L13 ANSWER 3 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2001:284222 HCAPLUS

DOCUMENT NUMBER:

134:307611

TITLE:

TΤ

Conjugated polymer tag complexes and their preparation

and use in assays

INVENTOR(S):

Leif, Robert C.; Franson, Richard C.; Vallarino, Lidia

PATENT ASSIGNEE(S):

USA

SOURCE:

PCT Int. Appl., 104 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE         | APPLICATION NO. | DATE     |
|---------------|--------|--------------|-----------------|----------|
|               |        |              |                 |          |
| WO 2001027625 | A1     | 20010419     | WO 2000-ÚS27787 | 20001007 |
| W: CA, CH,    | DE, FI | , GB, JP, US |                 |          |

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RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
       PT, SE
EP 1221052
                 A1 20020710
                                   EP 2000-968871
                                                     20001007
      AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
```

IE, FI, CY PRIORITY APPLN. INFO.:

US 1999-158718P P 19991008 WO 2000-US27787 W 20001007

Processes are described for: (1) the sequential solid phase synthesis of polymers with at least one tag, which can be a light emitting and/or absorbing mol. species (optical-label), a paramagnetic or radioactive label, or a tag that permits the phys. sepn. of particles including cells. When multiple optical-labels are suitably arranged in three-dimensional space, the energy transfer from one mol. species to another can be maximized and the radiationless loss between members of the same mol. species can be minimized; (2) the coupling of these polymers to biol. active and/or biol. compatible mols. through peripheral pendant substituents having at least one reactive site; and (3) the specific cleavage of the coupled polymer from a solid phase support. The tagged-peptide or polymers produced by these processes and their conjugates with an analyte-binding species, such as a monoclonal antibody or a polynucleotide probe are described. When functionalized europium macrocyclic complexes, as taught in our U.S. patents 5,373,093 and 5,696,240, are bound to polylysine and other peptides, the emitted light increases linearly with the amt. of bound macrocyclic complex. Similar linearity will also result for multiple luminescent macrocyclic complexes of other lanthanide ions, such as samarium, terbium, and dysprosium, when they are bound to a polymer or mol.

ΙT 335196-10-6

> RL: ARU (Analytical role, unclassified); BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); ANST (Analytical study); BIOL (Biological study); PROC (Process); RACT (Reactant or reagent) (conjugated polymer tag complexes and prepn. and use in assays)

TΤ 335196-11-7P

RL: ARU (Analytical role, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)

(conjugated polymer tag complexes and prepn. and use in assays)

IT 335196-10-6DP, conjugates with europium macrocyclic compds. RL: ARU (Analytical role, unclassified); PRP (Properties); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation)

(conjugated polymer tag complexes and prepn. and use in assays) REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2000:464984 HCAPLUS

DOCUMENT NUMBER:

133:89802

TITLE:

Preparation of poly(ethylene glycol)-peptides

conjugates having long-lasting effect for stimulating

digestive tract motility

INVENTOR(S):

Suzawa, Toshiyuki; Yamazaki, Motoo; Kishibayashi,

Nobuyuki; Karasawa, Hiroshi

PATENT ASSIGNEE(S):

Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent Japanese

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 2000191700 Α2 20000711 JP 1998-372373 19981228 PRIORITY APPLN. INFO.: JP 1998-372373 19981228

AB Peptides having activity for stimulating digestive tract motility linked to at least one polyalkylene glycol(s) directly or through a spacer or pharmacol. acceptable salts thereof, which are useful as enhancers of digestive tract motility or remedies for disorders of digestive tract motility, are prepd. Thus, motilin-Cys-NH2, i.e. H-Phe-Val-Pro-Ile-Phe-Thr-Tyr-Gly-Glu-Leu-Gln-Arg-Met-Gln-Glu-Lys-Glu-Arg-Asn-Lys-Gly-Gln-Cys-NH2 (I), was prepd. by the solid phase method using solid phase peptide synthesizer PSSM-8 (Shimazu Seisakusho Ltd., Japan) and Rink amide MBHA resin and conjugated with 2,4-bis[methoxy(polyethylene glycol)]-6-[(3-maleimidopropyl)amino]-striazine (prepn. given) to give branched polyethylene glycol-conjugated peptide deriv. (II; R = H-Phe-Val-Pro-Ile-Phe-Thr-Tyr-Gly-Glu-Leu-Gln-Ārg-Met-Gln-Glu-Lys-Glu-Arg-Asn-Lys-Gly-Gln-). II and the latter compd. in vitro increased contractility of rabbit duodenum sample with AC50 of 1.2.+-.0.4 and 6.9.+-.3.3, resp.

TΤ 280766-88-3P 280766-89-4P 280766-90-7P 280766-91-8P

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of poly(ethylene glycol)-peptides conjugates having long-lasting effect for stimulating digestive tract motility)

L13 ANSWER 5 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1999:753256 HCAPLUS

DOCUMENT NUMBER:

132:3555

TITLE:

Prepn. of labeled peptide analogs contg. glutamine and

lysine as substrates for Factor XIIIa

INVENTOR(S):

Storey, Anthony Eamon; Mendizabal, Marivi; Champion,

Susan; Gibson, Alex; Guilbert, Benedicte; Wilson, Ian

Andrew; Knox, Peter Nycomed Amersham Plc, UK

PATENT ASSIGNEE(S):

SOURCE:

PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. KIND |    |     |     |             | ND  | DATE |     |     | A   | PPLI | CATI | ON NO | ٥.  | DATE     |     |     |     |  |
|-----------------|----|-----|-----|-------------|-----|------|-----|-----|-----|------|------|-------|-----|----------|-----|-----|-----|--|
| WO 9960018      |    |     |     | A1 19991125 |     |      |     |     | W   | 0 19 | 99-G | B155  | 0   | 19990514 |     |     |     |  |
|                 | W: | ΑE, | ΑL, | ΑM,         | ΑT, | ΑU,  | ΑZ, | BA, | BB, | BG,  | BR,  | BY,   | CA, | CH,      | CN, | CU, | CZ, |  |
|                 |    | DE, | DK, | EE,         | ES, | FI,  | GB, | GD, | GE, | GH,  | GM,  | HR,   | HU, | ID,      | IL. | IN, | IS. |  |
|                 |    |     |     |             |     |      |     |     |     |      |      |       |     | LV,      |     |     |     |  |
|                 |    |     |     |             |     |      |     |     |     |      |      |       |     | SI,      |     |     |     |  |
|                 |    |     |     |             |     |      |     |     |     |      |      |       |     | AZ,      |     |     |     |  |

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MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
              ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2332277
                             19991125
                        AΑ
                                             CA 1999-2332277
                                                              19990514
     AU 9939428
                             19991206
                        A1
                                             AU 1999-39428
                                                              19990514
     AU 762736
                        B2
                             20030703
     BR 9910468
                        А
                             20010109
                                             BR 1999-10468
                                                              19990514
                                             EP 1999-922325
     EP 1077998
                        Α1
                             20010228
                                                              19990514
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, FI
     JP 2002515510
                        T2
                             20020528
                                             JP 2000-549636
                                                              19990514
     RU 2205186
                        C2
                             20030527
                                             RU 2000-131699
                                                              19990514
     ZA 2000006313
                        Α
                             20020717
                                             ZA 2000-6313
                                                              20001103
     NO 2000005751
                        Α
                             20010108
                                            NO 2000-5751
                                                              20001114
PRIORITY APPLN. INFO.:
                                          EP 1998-303872
                                                           Α
                                                              19980515
                                         WO 1999-GB1550
                                                           W
                                                              19990514
OTHER SOURCE(S):
                          MARPAT 132:3555
     Compds. Y-(CR2) n-X-NHJ [X = CO or CR2; n = 1-6; Y = L(A)m or R1R2CR; L_is
     a metal complexing agent; A = CR2, CR:CR, C.tplbond.C, NRCO, CONR, SO2NR,
     NRSO2, CR2OCR2, CR2SCR2, CR2NRCR2, a cycloheteroalkylene, cycloalkylene,
     arylene, or heteroarylene group or a polyalkylene glycol, polylactic acid,
     or polyglycolic acid moiety; m = 0-10; one of R1 and R2 is NH(B)qZ1 and
     the other is CO(B) qZ2, where p and q = 0-45 (total no. of amino acid
     residues does not exceed 45); B is a cyclic peptide or an amino acid, Z1
     and Z2 are protecting groups; J and each R group = H, alkyl, alkenyl,
     alkynyl, alkoxyalkyl, or hydroxyalkyl] were prepd. as substrates for the
     fibrin-stabilizing enzyme Factor XIIIa even when labeled with a detectable
     moiety. Thus, Ac-NQEQVSPYTLLKG-Pn216 [pn216 is
     NHCH2CH2N(CH2CH2NHCMe2CMe:NOH)2] was prepd. by the solid
     phase method and its technetium-99m complex used for imaging with
     a rat jugular vein clot model.
TT
     250786-78-8DP, technetium-99m-labeled 250786-79-9DP,
     technetium-99m-labeled
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of labeled peptide analogs contg. glutamine and lysine as
        substrates for Factor XIIIa)
TT
     250786-78-8P 250786-79-9P
     RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
     USES (Uses)
        (prepn. of labeled peptide analogs contg. glutamine and lysine as
        substrates for Factor XIIIa)
REFERENCE COUNT:
                                THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
                          9
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L13 ANSWER 6 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                          1999:176272 HCAPLUS
DOCUMENT NUMBER:
                          130:352449
TITLE:
                         A Novel Linking-Protecting Group Strategy for
                         Solid-Phase Organic Chemistry with
                         Configurationally Stable .alpha.-[N-
                          (Phenylfluorenyl)]amino Carbonyl Compounds: Synthesis
                         of Enantiopure Norephedrines on Solid Support
                         Gosselin, Francis; Van Betsbrugge, Jo; Hatam, Mostafa;
AUTHOR(S):
                         Lubell, William D.
CORPORATE SOURCE:
                         Departement de chimie, Universite de Montreal,
                         Montreal, QC, H3C 3J7, Can.
SOURCE:
                         Journal of Organic Chemistry (1999), 64(7), 2486-2493
                         CODEN: JOCEAH; ISSN: 0022-3263
```

American Chemical Society

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

Journal 1 English

OTHER SOURCE(S):

CASREACT 130:352449

GI

A novel linking strategy has been developed for synthesizing configurationally stable .alpha.-amino aldehyde on polymeric supports. Alkylation of L-alanine Me ester with 9-bromo-9-p-bromophenylfluorenene, followed by ester hydrolysis and coupling to isoxazolidine, provided N-(9-p-bromophenylfluoren-9-yl) alanine isoxazolidide I (R = Br, R1 = 2-isoxazolidinylcarbonyl), which was transformed into its corresponding boronate I (R = 4,4,5,5-tetramethyl-1,3,2-dioxaborolan-1-yl, R1 = 2-isoxazolidinylcarbonyl) by a palladium-catalyzed cross-coupling reaction with diboron pinacol ester. The boronate was anchored to four different polymeric aryl halides derived from MeO-PEG-5000, Merrifield resin, Wang resin, and non-cross-linked-polystyrene (NCPS). Treatment of the polymer bound alaninal I (R = NCPS with 3-phenyloxy linker, R1 = CHO), which resulted from LiAlH4 redn. of polymer bound I (R = NCPS with 3-phenyloxy linker, R1 = 2-isoxazolidinylcarbonyl), with phenylmagnesium bromide, cleavage of the resulting amino alc. I (R = NCPS with 3-phenyloxy linker, R1 = CH(OH)Ph) and subsequent N-protection with di-tert-Bu dicarbonate, furnished (1R,2S)-N-(tert-butyloxycarbonyl) norephedrine as the major diastereomer. Thus, a process was demonstrated by which the 9-phenylfluoren-9-yl protecting group was converted into a new linker for the solid-phase synthesis and manipulation of .alpha.-amino carbonyl compds.

225098-26-0P TΤ

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(enantioselective synthesis of norephedrines on solid support via a novel linking-protecting group strategy for the solid phase chem. which uses configurationally stable

.alpha.-[N-(phenylfluorenyl) jaminocarbonyl compds.) 70

REFERENCE COUNT:

THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 7 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1998:251193 HCAPLUS

DOCUMENT NUMBER:

128:321939

TITLE:

Preparation of chiral peptide nucleic acids derived

from hydroxyproline

INVENTOR(S):

Lowe, Gordon

PATENT ASSIGNEE(S):

Isis Innovation Limited, UK; Lowe, Gordon

PCT Int. Appl., 72 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO. DATE

19980423 WO 9816550 Α1 WO 1997-GB2820 19971013 W: JP, US RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE EP 956297 19991117 EP 1997-945009 Α1 19971013 FR, GB, IT, NL R: DE, ES, JP 2001502673 T2 20010227 JP 1998-518106 19971013 US 6403763 В1 20020611 US 1999-284179 19990409 US 2002072586 A 1 20020613 US 2001-932862 20010817 PRIORITY APPLN. INFO.: GB 1996-21367 Α 19961014 WO 1997-GB2820 W 19971013 US 1999-284179 A3 19990409 OTHER SOURCE(S): MARPAT 128:321939

GΙ

Chiral peptide nucleic acids are provided which hybridize strongly with AB complementary nucleic acids and have potential as antigene and antisense agents and as tools in mol. biol. Compds. with cis-stereochem. and based on proline and a spacer amino acid have structures I and II [n = 1-200; B]= protected or unprotected nucleobase; R = H, optionally substituted alkyl, aralkyl, or heteroaryl; X = e.g. OH; Y = e.g. H]. Thus, peptide nucleic acid oligomer I (n = 10, B = thymin-1-yl, R = H, Y = H, X = Lys-NH2), prepd. from a protected dipeptide monomer by solid-phase methods, complexed with poly(rA) (Tm = 72.degree., 45% hypochromicity), poly(dA) (Tm = 70.degree., 28% hypochromicity), and dA10 (Tm = 61.degree.).

IT206760-06-7P 206760-16-9P 206760-17-0P 206760-19-2P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and complexation of proline-derived peptide nucleic acids with oligonucleotides)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 8 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1997:127114 HCAPLUS

DOCUMENT NUMBER:

126:118183

TITLE:

SOURCE:

PUBLISHER:

AUTHOR(S):

Polymerization of Unprotected Synthetic Peptides: A

View toward Synthetic Peptide Vaccines

O'Brien-Simpson, Neil M.; Ede, Nicholas J.; Brown,

Lorena E.; Swan, John; Jackson, David C.

CORPORATE SOURCE: Cooperative Research Centre for Vaccine Technology,

University of Melbourne, Parkville, 3052, Australia

Journal of the American Chemical Society (1997),

119(6), 1183-1188

CODEN: JACSAT; ISSN: 0002-7863

American Chemical Society

DOCUMENT TYPE:

Journal

```
LANGUAGE:
                         English
     A generic method is reported for the assembly of multi-peptide polymers in
     which peptides are synthesized in the solid phase, the
     N-terminal residue acryloylated, and the derivatized peptides cleaved,
     purified and finally polymd. by free radical induced polymn. The high
     mol. wt. polymers generated in this way have individual peptides pendant
     from a backbone support. Incorporation of 6-aminohexanoyl or other
     residue(s) at the N-terminus of the peptide prior to acryloylation allows
     the peptide to be distanced from the polymer backbone and incorporation of
     acryloylated reagents into the polymn. mixt. also permits distancing of
     pendant peptides along the length of the backbone support. The polymn.
     process results in highly antigenic artificial proteins as measured by
     ELISA. Because this approach allows the incorporation of the same or
     combinations of different purified peptides into polymers, it lends itself
     to the assembly of potential vaccine candidates contg. epitopes from
     single or multiple pathogens into a single covalent structure.
     186085-48-3P 186085-51-8P 186085-54-1P
ΙT
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); BIOL (Biological
     study); PREP (Preparation)
        (prepn. and polymn. of acryloyl peptides as synthetic peptide vaccines)
     186085-52-9P 186085-55-2P 186085-56-3P
IT
     186085-57-4P 186085-64-3P 186085-65-4P
     186085-67-6P 186085-68-7P 186085-69-8P
     186085-70-1P 186085-71-2P 186085-72-3P
     186085-77-8P 186085-78-9P 186085-79-0P
     186085-80-3P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and polymn. of acryloyl peptides as synthetic peptide vaccines)
L13 ANSWER 9 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                         1997:24259 HCAPLUS
                         126:199813
DOCUMENT NUMBER:
TITLE:
                         Amino acids and peptides. XXIX. Synthesis and
                         antimetastatic effects of peptides and
                         peptide-poly(ethylene glycol) hybrids related to the
                         core sequence of the type III connecting segment
                         domain of fibronectin
AUTHOR(S):
                         Kawasaki, Koichi; Maeda, Mitsuko; Inoue, Sachiye;
                         Yamashiro, Yuko; Kaneda, Yoshihisa; Mu, Yu; Tsutsumi,
                         Yasuo; Nakagawa, Shinsaku; Mayumi, Tadanori
CORPORATE SOURCE:
                         Faculty of Pharmaceutical Sciences, Kobe Gakuin
                         University, Kobe, 651-21, Japan
                         Biological & Pharmaceutical Bulletin (1996), 19(12),
SOURCE:
                         1574-1579
                         CODEN: BPBLEO; ISSN: 0918-6158
                         Pharmaceutical Society of Japan
PUBLISHER:
                         Journal
DOCUMENT TYPE:
LANGUAGE:
                         English
     Peptides H-Glu-Ile-Leu-Asp-Val-NH2, H-Glu-Ile-Leu-Asp-Val-Pro-Ser-Thr-NH2,
     H-Arg-Glu-Asp-Val-NH2 and their poly(ethylene glycol) (PEG) hybrids
     related to the core sequence of the type III connecting segment domain of
     fibronectin A chain were prepd. by the soln. method or the solid
     phase method. Their inhibitory effects on the adhesion and
     migration of B16-BL6 melanoma cells to fibronectin were assessed in vitro
     and their therapeutic potency against tumor metastasis were also examd.
     Anti-adhesive and anti-migrative effects of the synthetic
     fibronectin-related peptides were superior to those of their PEG hybrids,
     so we found that the in vitro bioactivity of peptides decreased by
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PEGylation. In the in vivo assay, we found that the synthetic peptides contg. Glu-Ile-Leu-Asp-Val and Arg-Glu-Asp-Val sequences exhibited an inhibitory effect on the exptl. metastasis of B16-BL6 melanoma. Of the synthetic peptides, H-Glu-Ile-Leu-Asp-Val-NH2 exhibited the most potent

inhibitory effect. Hybrid formation of Arg-Glu-Asp-Val with poly(ethylene glycol) resulted in potentiation of the inhibitory effect of the parent peptides. A mixt. composed of PEG hybrids of Glu-Ile-Leu-Asp-Val, Arg-Glu-Asp-Val and Tyr-Ile-Gly-Ser-Arg dramatically inhibited tumor metastasis.

#### 186887-21-8P IΤ

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and antimetastatic effects of peptides and peptide-poly(ethylene glycol) hybrids)

L13 ANSWER 10 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1995:526776 HCAPLUS

DOCUMENT NUMBER:

122:266020

TITLE:

Site specific synthesis of conjugated peptides Mensi-Fattohi, Nahla; Molineaux, Christopher J.;

Shorr, Robert G. L.

PATENT ASSIGNEE(S):

INVENTOR(S):

Enzone, Inc., USA PCT Int. Appl., 25 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent

Enalish

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

|       | PATENT NO. |      |     |      |             | ND  | DATE |      |     | A)    | PPLI  | CATI  | DATE     |     |      |      |     |     |
|-------|------------|------|-----|------|-------------|-----|------|------|-----|-------|-------|-------|----------|-----|------|------|-----|-----|
|       |            |      |     |      |             |     |      |      |     |       |       |       |          |     |      |      |     |     |
|       | WO 9500162 |      |     | A.   | A1 19950105 |     |      |      | M   | O 19  | 94-U  | 3     | 19940621 |     |      |      |     |     |
|       |            | W:   | AU, | BG,  | BR,         | CA, | CZ,  | FI,  | HU, | JP,   | ΚP,   | KR,   | LK,      | MG, | MN,  | MW,  | NO, | NZ, |
|       |            |      | PL, | PT,  | RO,         | RU, | SE,  | SK,  | UA  |       |       |       |          |     |      |      |     |     |
|       |            | RW:  | AT, | BE,  | CH,         | DE, | DK,  | ES,  | FR, | GB,   | GR,   | IE,   | ΙΤ,      | LU, | MC,  | NL,  | PT, | SE  |
|       | ΑU         | 9471 | 135 |      | A.          | 1   | 1995 | 0117 |     | Αl    | J 19  | 94-7  | 1135     |     | 1994 | 0621 |     |     |
|       | US         | 5428 | 128 |      | А           |     | 1995 | 0627 |     | U.    | s 19  | 94-3  | 1354     | 7   | 1994 | 0927 |     |     |
| PRIOR | TI         | APP  | LN. | INFO | . :         |     |      |      | 1   | US 19 | 993-  | 8045  | 7        |     | 1993 | 0621 |     |     |
|       |            |      |     |      |             |     |      |      | I   | WO 19 | 994-1 | US 69 | 5.3      |     | 1994 | 0621 |     |     |

A process for synthesizing a polypeptide contg. a substantially AΒ non-antigenic polymer, preferably poly(alkylene glycols) such as poly(ethylene glycol) (PEG) at a specifically predetd. site comprises (1) initiating synthesis of the polypeptide and (2) introducing a substantially non-antigenic polymer at a point in the synthesis which corresponds to the pre-detd. site. Said polymer is conjugated to a facilitator moiety, preferably an amino acid, prior to said introducing step (2) to form a facilitator-polymer conjugate such as N.alpha.-Fmoc-N.epsilon.-PEG-Lys and N-.alpha.-Fmoc-N-.epsilon.-PEG-.beta.-Ala-Lys. Thereby, said process more preferably comprises (a) initiating synthesis of the polypeptide, (b) introducing a blocked facilitator moiety at that point in the synthesis that corresponds to the predetd. site, (c) completing the synthesis, and (d) deblocking and conjugating the facilitator moiety with said non-antigenic polymer. Thus, 60 g methoxy poly(ethylene glycol) (mol. wt. 5,000) was treated with a toluene soln. of 57 mmol COCl2 overnight, evapd. to dryness for removing excess COCl2, redissolved in toluene/CH2Cl2, and treated with 2.1 q N-hydroxysuccinimide and 1.7 mL Et3N to give, after workup, methoxy poly(ethylene glycol) succinimidyl carbonate. The latter compd. (34 mg) was condensed with 4.3 mg [N.alpha.-Fmoc-Glu1]-phospholipase A2 activating peptide (PLAP) (Fmoc-Glu-Ser-Pro-Leu-Ile-Ala-Lys-Val-Leu-Thr-Thr-Glu-Pro-Pro-Ile-Thr-Pro-Val-Arg-Arg-OH, prepd. by the solid phase method) in a borate buffer (pH 9.0) and the peglylated product was purified on a semipreparative C18 column and lyophilized dryness to give [N.alpha.-Fmoc-Glul-N.epsilon.-PEG-Lys7]-PLAP, which was treated with 30% piperidine in DMF for 15 min to give [N.epsilon.-PEG-Lys7]-PLAP.

RL: SPN (Synthetic preparation); PREP (Preparation) (Phospholipase lipase A2 activating peptide; site specific synthesis of polymer-conjugated peptides). TΤ 162784-42-1P RL: SPN (Synthetic preparation); PREP (Preparation) (dynorphin A; site specific synthesis of polymer-conjugated peptides) IT 162784-37-4P 162784-38-5P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate for site specific synthesis of polymer-conjugated peptides) ΙΤ 162784-43-2P RL: SPN (Synthetic preparation); PREP (Preparation) (.alpha.-Neoendorphin; site specific synthesis of polymer-conjugated IT162784-41-0P RL: SPN (Synthetic preparation); PREP (Preparation) (.beta.-Neoendorphin; site specific synthesis of polymer-conjugated L13 ANSWER 11 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 1995:21746 HCAPLUS DOCUMENT NUMBER: 122:81979 TITLE: Pegylated peptides. III. Solid-phase synthesis with pegylating reagents of varying molecular weight: synthesis of multiply pegylated peptides AUTHOR(S): Lu, Yi-An; Felix, Arthur M. CORPORATE SOURCE: Roche Research Center, Hoffmann-La Roche Inc., Nutley, NJ, 07110, USA SOURCE: Reactive Polymers (1994), 22(3), 221-9 CODEN: REPLEN; ISSN: 0923-1137 DOCUMENT TYPE: Journal LANGUAGE: English N.alpha.-Terminal solid-phase pegylation studies were carried out by coupling PEGn-CH2CO2H [I; PEG = monomethoxypoly(ethylene glycol); n = 750, 2000, 5000, 10,000] to either N-terminally hindered (Ile) or unhindered (Gly) model peptide-resin to study the effect of the mol. wt. of the pegylating reagent on the efficiency of coupling. The coupling proceeded to completion (quant. ninhydrin detn.) with hindered peptide-resin within 8 h using I (n = 750) and proceeded almost as rapidly using I (n = 2000). However, acylations with I (n = 5000, 10,000) were much slower and did not proceed to completion even after 72 h. N.alpha.-Pegylation of unhindered peptide-resin proceeded to completion more rapidly (within 4 h) and was successfully carried out with I (n = 750, 2000, 5000). However, pegylation of unhindered peptide-resin did not proceed to completion with I (n = 10,000) even after 72 h. feasibility of multiply pegylating peptides by the 9fluorenylmethyloxycarbonyl (Fmoc)/tert-Bu solid-phase procedure was also examd. Dipegylation, in which PEG2000 was inserted at the N-terminal and C-terminal positions, or at the side-chain and C-terminal positions, were successfully achieved by this method. Two model dipegylated peptides, PEG2000-CH2CO-Nle-Gly-Ile-Asn-Asn-Tyr-Lys-Asn-Pro-Lys-Leu-Orn(PEG2000-CH2CO)-NH2 and H-Ile-Leu-Asn-Gly-Ile-Asn-Asn-Tyr-Lys(PEG2000-CH2CO-Nle)-Asn-Pro-Lys-Leu-Orn(PEG2000-CH2CO)-NH2, were synthesized by the Fmoc/tert-Bu solid-phase procedure. The model peptides, fragments of interleukin-2, were chosen since they possess several trifunctional amino acids and offer various sites for multiple pegylation. The synthesis of the dipegylated peptides was

achieved through the initial attachment of Fmoc-Orn(PEG-CH2CO)-OH to the

peptide synthesis. N-Terminal pegylation was carried out by coupling PEG-CH2CO-Nle-OH to the pegylated undecapeptide resin. The side-chain

solid support, followed by Fmoc/tert-Bu solid-phase

### Lukton 09 827107

pegylation of Lys was achieved by coupling Fmoc-Lys(PEG-CH2CO-Nle)-OH to the CO2H-pegylated pentapeptide resin, followed by Fmoc/tert-Bu solid-phase assemblage of the dipegylated peptide-resin. Following cleavage by trifluoroacetic acid and purifn. by reversed-phase HPLC, the dipegylated peptides were fully characterized by amino acid anal., anal. HPLC, 1H NMR and laser desorption ionization mass spectrometry. Attempts to synthesize the corresponding dipegylated peptides using functionalized PEG5000 were unsuccessful due to a combination of steric hindrance and the high-mol.-wt. PEG that was employed. In addn., attempts to carry out a second pegylation in which the N-terminus residue is sterically hindered (e.g. Ile) failed to couple using functionalized PEG2000. These studies demonstrate that solid-phase pegylation proceeds more efficiently with functionalized poly(ethylene glycol) of lower mol. wt. and that coupling is less efficient to sterically hindered residues.

IT 160262-40-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, via **solid-phase** methods, polyethylene glycol-derivatized building blocks for)

IT 160298-41-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, via solid-phase methods, polyethylene
glycol-derivatized building blocks for and on-resin polyethylene glycol
functionalization in)

L13 ANSWER 12 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1994:656270 HCAPLUS

DOCUMENT NUMBER:

121:256270

TITLE:

Pegylated peptides. II. Solid-phase

synthesis of amino-, carboxy- and side-chain pegylated

peptides

AUTHOR(S):

Lu, Yi An; Felix, Arthur M.

CORPORATE SOURCE:

Roche Res. Cent., Hoffmann-La Roch Inc., Nutley, NJ,

USA

SOURCE:

International Journal of Peptide & Protein Research

(1994), 43(2), 127-38

CODEN: IJPPC3; ISSN: 0367-8377

DOCUMENT TYPE:

LANGUAGE:

Journal English

GT

H-Ile-Leu-Asn-Gly-Ile-Asn-Asn-Tyr-Lys-Asn-Pro-Lys-Leu-Orn-NH2

PEG

ΙI

ΙV

General procedures are presented for the site-specific pegylation of AB peptides at the NH2-terminus, side-chain positions (Lys or Asp/Glu) or COOH-terminus using **solid-phase** Fmoc/tert-Bu methodologies. A model tridecapeptide fragment of interleukin-2, IL-2(44-56)-NH2, was chosen for this study since it possesses several trifunctional amino acids which serve as potential sites for pegylation. The pegylation reagents were designed to contain either Nle or Orn, which served as diagnostic amino acids for confirming the presence of 1 PEG unit per mol of peptide. NH2-terminal pegylation was carried out by coupling PEG-CH2CO-Nle-OH to the free NH2-terminus of the peptide-resin. Side-chain pegylation of Lys or Asp was achieved by one of two pathways. Direct side-chain pegylation was accomplished by coupling with Fmoc-Lys(PEG-CH2CO-Nle)-OH or Fmoc-Asp(Nle-NH-CH2CH2-PEG)-OH, followed by solid-phase assemblage of the pegylated peptide-resin and TFA cleavage. Alternatively, allylic protective groups were introduced via Fmoc-Lys(Alloc)-OH or Fmoc-Asp(O-Allyl)-OH, and selectively removed by palladium-catalyzed deprotection after assemblage of the peptide-resin. Solid-phase pegylation of the side-chain of Lys or Asp was then carried out in the final stage with PEG-CH2CO-Nle-OH or H-Nle-NH-(CH2)2-PEG, resp. COOH-Terminal pegylation was achieved through the initial attachment of Fmoc-Orn(PEG-CH2CO)-OH to the solid support, followed by solid-phase peptide synthesis using the Fmoc/tBu strategy. The pegylated peptides I, II, III, and IV were purified by dialysis and preparative HPLC and were fully characterized by anal. HPLC, amino acid anal., 1H-NMR spectroscopy and laser desorption mass spectrometry.

158598-88-0P 158598-89-1P 158598-90-4P

ΙT

#### 158598-93-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, by solid-phase method)

L13 ANSWER 13 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1993:671693 HCAPLUS

DOCUMENT NUMBER:

119:271693

TITLE:

Pegylated peptides. I: Solid-phase

synthesis of N.alpha.-pegylated peptides using Fmoc

strategy

AUTHOR(S):

Lu, Yi An; Felix, Arthur M.

CORPORATE SOURCE:

Pept. Res. Dep., Hoffmann-La Roche Inc., Nutley, NJ,

07110, USA

SOURCE:

Peptide Research (1993), 6(3), 140-6

CODEN: PEREEO; ISSN: 1040-5704

DOCUMENT TYPE:

Journal English

LANGUAGE:

AB The feasibility of coupling carboxymethyl(polyethylene glycol) to peptides ("pegylating") by the **solid-phase** procedure was examd.

Although poly(ethylene glycol) (PEG) was partially degraded by HF, the use of CF3CO2H was fully compatible with the PEG system. Therefore, the

9-fluorenylmethoxycarbonyl (Fmoc)/tert-Bu solid-phase strategy was utilized for the synthesis of a series of model tetra-, octa-, and dodecapeptides, and the corresponding N.alpha.-pegylated peptides, which were prepd. from common peptide-resin intermediates. PEG-OCH2-CO-Nle-OH (I) proved to be an ideal reagent for N-terminal pegylation. I served as a diagnostic for the detn. of the no. of PEG

units/mol of peptide. **Solid-phase** coupling reactions proceeded by std. procedures using BOP-activation. The authentic pegylated peptides (readily purified by conventional methods of preparative HPLC) were fully characterized by amino acid anal., 1H-NMR,

anal. HPLC, and laser desorption ionization mass spectrometry, leading to the values that are identical with the expected structures.

IT 151492-76-1P 151492-77-2P 151492-78-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, via **solid-phase** methods, and characterization of)

L13 ANSWER 14 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1992:546582 HCAPLUS

DOCUMENT NUMBER:

117:146582

TITLE:

Synthesis, analysis, and immunodiagnostic applications

of polypyrrole latex and its derivatives

AUTHOR(S):

Tarcha, P. J.; Misun, D.; Finley, D.; Wong, M.;

Donovan, J. J.

CORPORATE SOURCE:

Diagn. Div., Abbott Lab., North Chicago, IL,

60064-3500, USA

SOURCE:

ACS Symposium Series (1992), 492 (Polym. Latexes),

347-67

CODEN: ACSMC8; ISSN: 0097-6156

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB Poly(pyrrole) latex particles possess several unique properties in regard to their use as solid phase supports for immunoassays. Firstly, they are intensely colored black presumably due to their free radical nature, which is delocalized throughout the extensively conjugated chain. The particles, with immobilized protein on their surfaces, serve not only as a support, but as an easily visualized protein label. Secondly, the surface can be modified with reactive groups, which provide for covalent linkage of the appropriate biomols. Chromatog.-based immunoassays were demonstrated in the useful clin. ranges for hepatitis B surface antigen, AIDS antibody, and the pregnancy marker human chorionic gonadotropin.

#### 143501-83-1P TT

RL: PREP (Preparation)

(prepn. and antibody protein immobilization of)

L13 ANSWER 15 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1992:236154 HCAPLUS

DOCUMENT NUMBER:

116:236154

TITLE:

Solubilizing protecting groups in peptide synthesis. Effect of side-chain-attached polyethylene glycol derivatives upon .beta.-sheet formation of model

peptides

AUTHOR(S):

Mutter, Manfred; Oppliger, Hans; Zier, Andreas

CORPORATE SOURCE: SOURCE:

Sect. Chim., Univ. Lausanne, Lausanne, CH-1005, Switz. Makromolekulare Chemie, Rapid Communications (1992),

13(3), 151-7

CODEN: MCRCD4; ISSN: 0173-2803

DOCUMENT TYPE:

Journal

LANGUAGE:

English

The solubilizing power of poly(ethylene glycol) for side chain protection of trifunctional amino acid residues for the synthesis of hydrophobic peptides was investigated. A series of potentially .beta.-sheet-forming and hydrophobic model peptides Ac-[Lys(P)-Val]m-NH2 [m = 5, 6, P = COCH2(OCH2CH2)nMe], Ac-Lys(P)-Val-[Lys(Ac)-Val]3-Lys(P)-Val-NH2, Ac-[Lys(Ac)-Val]2-Lys(P)-Val-[Lys(Ac)-Val]2-NH2, Ac-[Lys(Ac)-Val]4-NH2, and H-[Glu(R)-Lys(Boc)-Pro-Gly-Lys(Boc)]2-OH (R = p-OCH2C6H4NHCOCH2-P; Boc = Me3CO2C) carrying poly(ethylene glycol) derivs. at different positions in the side chains were prepd. The disruption or destabilization of conformations detd. by CD were used as a qual. measure of for the solubilizing power of the attached poly(ethylene glycol).

IT 141405-42-7P

> RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (prepn. and conformation of, by CD)

L13 ANSWER 16 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1991:656614 HCAPLUS

DOCUMENT NUMBER:

115:256614

TITLE:

Synthesis of N.alpha.-(tert-butoxycarbonyl)-N.epsilon.-[N-(bromoacetyl)-.beta.-alanyl]-L-lysine: Its use in

peptide synthesis for placing a bromoacetyl cross-linking function at any desired sequence

position

AUTHOR(S):

Inman, John K.; Highet, Patricia F.; Kolodny, Nelly;

Robey, Frank A.

CORPORATE SOURCE:

Lab. Immunol., Natl. Inst. Allergy and Infect. Dis., Bethesda, MD, 20892, USA

SOURCE:

Bioconjugate Chemistry (1991), 2(6), 458-63

CODEN: BCCHES; ISSN: 1043-1802

DOCUMENT TYPE:

Journal

LANGUAGE: English

The title amino acid deriv. Boc-Lys(COCH2CH2NHCOCH2Br)-OH (I; Boc = Me3CO2C) has been synthesized as a reagent to be used in solidphase peptide synthesis for introducing a side-chain bromoacetyl group at any desired position in a peptide sequence. I is synthesized by condensation of BrCH2CONHCH2CH2CO2H with Boc-Lys-OH and is a white powder which is readily stored, weighed, and used with a peptide synthesizer, programmed for N.alpha.-Boc amino acids derivs. Residues contg. I are stable to final HF deprotection/cleavage. I-contg. peptides can be directly coupled to other mols. or surfaces which possess free sulfhydryl groups by forming stable thioether linkages. Peptides contg. both I and cysteine residues can be self-coupled to produce either cyclic mols. or linear peptide polymers, also linked through thioether bonds. Products made with I-contg. peptides may be characterized by amino acid anal. of acid hydrolyzates by quantification of .beta.-alanine, which separates

from natural amino acids in suitable anal. systems. Where sulfhydryl groups on coupling partners arise from cysteine residues, S-(carboxymethyl)cysteine in acid hydrolyzates may also be assayed for this purpose. Examples are given of the use of I in prepg. peptide polymers and a peptide conjugate with bovine albumin to serve as immunogens or model vaccine components.

IT 137255-84-6P 137255-85-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

L13 ANSWER 17 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:650891 HCAPLUS

DOCUMENT NUMBER: 115:250891

TITLE: Hydrolysis of oligoribonucleotides by .alpha.-helical

basic peptides

AUTHOR(S): Perello, Margarita; Barbier, Bernard; Brack, Andre

CORPORATE SOURCE: Cent. Mol. Biophys., CNRS, Orleans, 45071, Fr.

SOURCE: International Journal of Peptide & Protein Research

(1991), 38(2), 154-60

CODEN: IJPPC3; ISSN: 0367-8377

DOCUMENT TYPE: Journal LANGUAGE: English

AB Poly(Leu-Lys-Lys-Leu) increases markedly the rate of hydrolysis of oligoribonucleotides. The polypeptide adopts an .alpha.-helical conformation in water in the presence of salt. Non-helical poly(Pro-Lys-Lys-Leu) is much less active. Ac-Leu-Lys-Lys-Leu-NHEt has no hydrolytic activity. Oligotetrapeptides Ac-(Leu-Lys-Lys-Leu)n-NHEt with increasing chain-length have been prepd. by solid phase synthesis to evaluate the crit. chain-length required for the hydrolytic activity. It is possible to correlate the activity to the propensity to form .alpha.-helices.

IT 137307-89-2

RL: RCT (Reactant); RACT (Reactant or reagent)
 (deprotection of)

IT 137285-82-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and oligoribonucleotide hydrolysis by, .alpha.-helical conformation effect on)

L13 ANSWER 18 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1990:35375 HCAPLUS

DOCUMENT NUMBER: 112:35375

TITLE: New solid-phase catalysts for

asymmetric synthesis: cross-linked polymers containing a chiral Schiff base-zinc complex Itsuno, Shinichi; Sakurai, Yoshiki; Ito, Koichi;

AUTHOR(S): Itsuno, Shinichi; Sakurai, Yoshiki; Ito, Koichi; Maruyama, Toshihiro; Nakahama, Seiichi; Frechet, J. M.

J.

CORPORATE SOURCE: Sch. Mater. Sci., Toyohashi Univ. Technol., Toyohashi,

440, Japan

SOURCE: Journal of Organic Chemistry (1990), 55(1), 304-10

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 112:35375

AB A cross-linked polystyrene resin contg. chiral primary amino alc. moieties bound through the ether linkage to some of its p-methylene-substituted arom. rings is a useful regenerable chiral auxiliary in the enantioselective catalytic alkylation of aldehydes. The primary amino groups of the chiral amino alcs. reacts with the aldehydes to form Schiff bases, which catalyze the addn. of dialkylzinc to aldehydes leading to optically active secondary alcs. having enantiomeric purity of up to 99%. A series of polymeric amino alcs. were synthesized by two methods

involving either attachment of a chiral moiety as a side chain onto a reactive cross-linked polystyrene, or the terpolymn. of a chiral monomer with styrene and a crosslinking agent. New crosslinking agents affording more flexibility to the chiral catalysts were used in the prepn. of the chiral polymers and found to provide excellent performance. An interesting extension of the method is its adaptation to a continuous-flow system where diethylzinc and aldehyde are supplied continuously to a column filled with the chiral polymeric catalyst. Large amts. of chiral products and high turnovers may be obtained by this method.

ΙT 109826-97-3P 109826-98-4P 124176-02-9P

> RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as chiral auxiliary for asym. alkylation of aldehydes)

L13 ANSWER 19 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1989:633578 HCAPLUS

DOCUMENT NUMBER:

111:233578

TITLE:

Synthesis of bioadhesives

AUTHOR(S):

Berenbaum, M. B.; Williams, J. I.; Bhattacharjee, H. R.; Goldberg, I.; Swerdloff, M. D.; Salerno, A. J.;

Unger, P. D.

CORPORATE SOURCE:

Allied-Signal Inc., Morristown, NJ, 07960, USA

SOURCE:

Polymer Preprints (American Chemical Society, Division

of Polymer Chemistry) (1989), 30(1), 350-1

CODEN: ACPPAY; ISSN: 0032-3934

DOCUMENT TYPE:

Journal English

LANGUAGE:

Decapeptide H-Lys(COCF3)-Pro-Ser-Tyr-4Hyp-4Hyp-Thr-Tyr-Lys(COCF3)-Ala-OH (4Hyp = 4-hydroxyproline) was prepd. by the solid-phase method and polymd. with diphenylphosphoryl azide to give polymers with av. mol. wts. as high as 65,000. The trifluoroacetyl groups were removed with piperidine, and the resulting water-sol. polymer was tested as an adhesive in aluminum lap joint shear tests and a shear strength of 2.6 was obsd. Addn. of mushroom tyrosinase resulted in a lap shear strength of 5.6. A com. epoxy adhesive gave a value of 17.5 in this test.

TT 123893-92-5P 123908-20-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn., oxidn., and adhesive properties of)

L13 ANSWER 20 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1989:8695 HCAPLUS

DOCUMENT NUMBER:

110:8695

TITLE:

Preparation and testing of protein fragments for use

in preparation of malaria vaccines

INVENTOR(S):

Bernardi, Adriano; Bonelli, Fabio; Pessi, Antonello;

Verdini, Antonio Silvio

PATENT ASSIGNEE(S):

Eniricerche S.p.A., Italy

SOURCE:

Ger. Offen., 12 pp. CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE        | APPLICATION NO. | DATE     |
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| DE 3723583 | Al   | 19880128    | DE 1987-3723583 | 19870716 |
| DE 3723583 | C2   | 19910613    |                 |          |
| SE 8702698 | A    | 19880117    | SE 1987-2698    | 19870630 |
| SE 468393  | B    | 19930111    |                 |          |
| SE 468393  | С .  | 19930506    |                 |          |
| ZA 8704778 | A    | 19880224    | ZA 1987-4778    | 19870701 |
| CH 673461  | A    | 19900315    | CH 1987-2506    | 19870702 |

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                                            BE 1987-769
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                             19930706
                                            US 1991-803483
                                                             19911204
PRIORITY APPLN, INFO.:
                                         IT 1986-21144
                                                             19860716
                                         US 1987-68121
                                                             19870629
     H-Lys-Pro-Lys-His-Lys-Lys-Leu-Lys-Gly-Pro-Gly-Asp-Gly-Asn-Pro-(Asn-Ala-Asn-
     Pro)n-Asn-Ala-OH (I) (n = 3-40), useful in prepn. of malaria vaccines and
     in detection of antibodies to sporozoites, were prepd. I (n = 3), prepd.
     by the solid-phase method on polyacrylamide resin,
     together with Freud's complete adjuvant, raised NANP antibodies in mice
     and increased the rate of replication of mouse lymph gland cells.
TT
     117736-25-1P
     RL: SPN (Synthetic preparation); PREP (Preparation)
         (prepn. of, in prepn. of malaria vaccine)
L13 ANSWER 21 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                         1988:92736 HCAPLUS
DOCUMENT NUMBER:
                         108:92736
TITLE:
                         Synthesis of a new carrier for immunization:
                          polytuftsin. Two examples of its use with peptides
                         selected in the hepatitis B surface antigen
AUTHOR(S):
                         Trudelle, Y.; Brack, A.; Delmas, A.; Pedoussaut, S.;
                         Rivaille, P.
CORPORATE SOURCE:
                         Cent. Mol. Biophys., C.N.R.S., Orleans, Fr.
SOURCE:
                         International Journal of Peptide & Protein Research
                          (1987), 30(1), 54-60
                         CODEN: IJPPC3; ISSN: 0367-8377
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         English
     Sequential poly(Arg-Thr-Lys-Pro) consisting mainly of the repeat of
     tuftsin Thr-Lys-Pro-Arg was synthesized by condensing the p-nitrophenyl
     ester of Arg(HCl)-Thr-Lys-(2-Cl-Z)-Pro in the presence of
     1-hydroxybenzotriazole. Two haptenic sequences of the Pre-S region of
     hepatitis B virus antigen (10-26 and 39-55) were prepd. by solid
     phase and coupled to polytuftsin via glutaraldehyde. The
     peptides, either free or coupled to polytuftsin, were administered to mice
     and the antisera were assayed by ELISA. Coupling the peptides to the
     polypeptide improved the antipeptide antibody titer in Freund complete
     adjuvant or in NaCl 0.9%. Cross-reaction between antibodies induced by
     the peptides and the native protein was also improved. Polytuftsin alone
     is very poorly immunogenic.
IT
     112592-90-2P, Polytuftsin 112710-32-4P
     RL: PREP (Preparation)
        (prepn. of, as immunization carrier)
     112592-90-2DP, reaction products with hepatitis B virus antigen
IT
     peptides 112710-32-4DP, reaction products with hepatitis B virus
     antigen peptides
     RL: PREP (Preparation)
        (prepn. of, as immunization carriers)
     112617-61-5P 112963-39-0P
IT
     RL: PREP (Preparation)
        (prepn. of, for immunization carriers)
L13 ANSWER 22 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                         1984:79993 HCAPLUS
DOCUMENT NUMBER:
                         100:79993
```

A cyclic angiotensin antagonist:

TITLE:

#### Lukton 09 827107

cysteine) angiotensin II

AUTHOR(S): Matsoukas, John M.; Scanlon, Martin N.; Moore, Graham

J.

CORPORATE SOURCE: Dep. Med. Biochem., Univ. Calgary, Calgary, AB, T2N

1N4, Can.

SOURCE: Journal of Medicinal Chemistry (1984), 27(3), 404-6

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB [Cys1,8]angiotensin II (I) [87937-69-7] a cyclic analog of angiotensin II (II) [4474-91-3] in which the C-terminal CO2H group remains free, by substituting cysteine for the N-terminal and C-terminal amino acids of the

substituting cysteine for the N-terminal and C-terminal amino acids of the mol., was prepd by the solid-phase method and evaluated for antagonistic activity on uterus from DES-primed female rat. Antagonistic potencies were detd. as the min. concn. of antagonist required to completely block the response to an ED75 dose II. The results showed that replacement of the N- and C-terminal residues of II with S-(acetamidomethyl) cysteine resulted in a compd. having 10% of the antagonistic activity of the most potent known antagonist in this tissue, [Sarl,Ile8]angiotensin II, and when the acetamidomethyl groups were removed and the peptide cyclized by formation of an S-S bond, the antagonistic activity of the resulting compd. decreased by 10% of that of its open-chain synthetic precursor. The decrease in activity may be interpreted as manifestations of changes in peptide conformation. The antagonistic potencies of the peptide could be attributed to the length of the side chain in position 8.

IT 87937-71-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and angiotensin II-inhibiting activity of)

L13 ANSWER 23 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1977:568380 HCAPLUS

DOCUMENT NUMBER: 87:168380

TITLE: Alternating liquid-solid phase

peptide synthesis

AUTHOR(S): Frank, Hartmut; Meyer, Helmut; Hagenmaier, Hanspaul

CORPORATE SOURCE: Inst. Org. Chem., Univ. Tuebingen, Tuebingen, Fed.

Rep. Ger.

SOURCE: Chemiker-Zeitung (1977), 101(4), 188-93

CODEN: CMKZAT; ISSN: 0009-2894

DOCUMENT TYPE: Journal LANGUAGE: English

AB The title method of peptide synthesis involved coupling solid polymer-bound benzhydryloxycarbonyl amino acids to liq. polymer-bound CO2H-protected amino acids or peptides by dicyclohexylcarbodiimide/1-hydroxybenzotriazene and cleaving and deprotecting the resulting peptide resin with CF3CO2H to give liq. phase peptide ester. The reacted and unreacted compds. can be sepd. by filtration; consequently, this method avoids the necessity for 100% yields in every reaction step. H-Gly-Val-Gly-Ala-Pro-OH, the 28-32-sequence of calcitonin M, was prepd.

H-Gly-Val-Gly-Ala-Pro-OH, the 28-32-sequence of calcitonin M, was prepd by this method.

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

64543-85-7P

(Reactant or reagent)
(prepn. and deblocking of)

IT 64543-92-6P

IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and solid-phase peptide coupling of)

L13 ANSWER 24 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 1975:606534 HCAPLUS

#### Lukton 09 827107

DOCUMENT NUMBER: TITLE: AUTHOR(S): CORPORATE SOURCE: SOURCE: DOCUMENT TYPE: LANGUAGE: AΒ

83:206534

Conformational studies on sequential polypeptides. V.

Synthesis and characterization of (Pro-Leu-Gly) 10,

(Pro-Leu-Gly)n, and (Leu-Pro-Gly)n

Scatturin, A.; Tamburro, A. M.; Vidali, G.; Bordignon,

Ist. Chim. Org., Univ. Padova, Padua, Italy

International Journal of Peptide & Protein Research

(1975), 7(3), 221-8

CODEN: IJPPC3; ISSN: 0367-8377

Journal

English

Polypeptides (Pro-Leu-Gly)n and (Leu-Pro-Gly)n, of the non-polar regions of collagen, were obtained via the corresponding tripeptide P-O2NC6H4 esters. The sequential polypeptide (Pro-Leu-Gly)10 was also obtained by solid-phase synthesis.

25734-29-6P 57283-49-5P 57655-17-1P TΤ

> RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

L13 ANSWER 25 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1972:155096 HCAPLUS

DOCUMENT NUMBER:

76:155096

TITLE:

Benzyl styrene-divinylbenzene copolymer useful as an

ester-forming C-protecting group in solid-

phase peptide synthesis

INVENTOR(S):

Southard, George L. Eli Lilly and Co.

PATENT ASSIGNEE(S):

U.S., 7 pp.

SOURCE:

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE ---------- ---- ----US 3645996 US 1969-805884 19690310 19720229 US 1969-805884 PRIORITY APPLN. INFO.: 19690310

An .alpha.-hydroxybenzylated styrene-divinylbenzene copolymer [9003-70-7] or an .alpha.-chlorobenzylated styrene-divinylbenzene copolymer (I) were prepd. and used as an ester-forming C-protecting group in solidphase peptide synthesis. For example, a styrene-divinylbenzene copolymer in nitrobenzene was treated with PhCOCl and AlCl3 to give a benzoyl polymer, which was washed, dispersed in diethylene glycol dimethyl ether, and reduced with NaBH4 to give the .alpha.-hydroxybenzyl polymer, which was treated with CH2Cl2 and dry HCl to give I. Alanine, leucine, valine, and glycine were introduced onto the resin by way of their enamine-dicyclohexylamine salts. The Leu-Ala-Gly-Val-I. HCl was shaken with 50% F3CCO2H in CHCl3 to sep. 76% purified cryst. Leu-Ala-Gly-Val. HCl.

#### 9074-73-1P

RL: PREP (Preparation)

(manuf. of, protective groups for, chlorobenzylated divinylbenzene copolymers as)

=> select hit rn 113 1-25 E1 THROUGH E82 ASSIGNED

=> fil req

FILE 'REGISTRY' ENTERED AT 19:21:42 ON 01 FEB 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 30 JAN 2004 HIGHEST RN 644468-14-4 DICTIONARY FILE UPDATES: 30 JAN 2004 HIGHEST RN 644468-14-4

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting  ${\tt SmartSELECT}$  searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

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CN
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     phenylalanyl-L-prolylglycyl-L-.alpha.-glutamylglycyl-L-phenylalanyl-L-
     prolylglycyl-L-valylglycyl-L-valyl-L-prolylglycyl-L-valylglycyl-L-
     phenylalanyl-L-prolylglycyl-L-lysylglycyl-L-valyl-, homopolymer (9CI)
     INDEX NAME)
FS
     PROTEIN SEQUENCE; STEREOSEARCH
MF
     (C127 H189 N31 O33)x
CI
PCT
     Polyamide, Polyamide formed
SR
LC
     STN Files:
                   CA, CAPLUS
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<sup>\*\*</sup>RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

CM 1

CRN 434956-85-1 CMF C127 H189 N31 O33

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

### PAGE 1-C

PAGE 1-D

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

#### REFERENCE 1: 137:20594

L14 ANSWER 6 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN

RN **335196-11-7** REGISTRY

CN Poly(oxy-1,2-ethanediyl), .alpha.-hydro-.omega.-hydroxy-, monoester with L-alanyl-L-tryptophyl-L-alanyl-L-lysyl-L-alanyl-L-lysyl-L-alanyl-L-lysyl-L-alanyl-L-prolyl-L-alanyl-L-phenylalanyl-L-alanyl-L

FS PROTEIN SEQUENCE

MF (C2 H4 O)n C90 H145 N25 O20

CI PMS

PCT Polyether

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

PAGE 1-A

PAGE 1-B

PAGE 1-C

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

#### REFERENCE 1: 134:307611

L14 ANSWER 8 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN

RN 280766-91-8 REGISTRY

CN Poly(oxy-1,2-ethanediyl), .alpha.-hydro-.omega.-methoxy-, 23,23-diether with L-phenylalanyl-L-valyl-L-prolyl-L-isoleucyl-L-phenylalanyl-L-threonyl-L-tyrosylglycyl-L-.alpha.-glutamyl-L-leucyl-L-glutaminyl-L-arginyl-L-leucyl-L-glutaminyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-lysylglycyl-L-glutaminyl-S-[1-[3-[(4,6-dihydroxy-1,3,5-triazin-2-yl)amino]propyl]-2,5-dioxo-3-pyrrolidinyl]-L-cysteinamide (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE

MF (C2 H4 O)n (C2 H4 O)n C136 H211 N41 O39 S

CI PMS

PCT Polyether

SR CA

LC STN Files:

CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

PAGE 1-B

PAGE 1-C

PAGE 1-D

PAGE 1-E

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:89802

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L14 ANSWER 12 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN
```

RN **250786-79-9** REGISTRY

CN Poly(oxy-1,2-ethanediyl), .alpha.-hydro-.omega.-[2-[[2-[bis[2-[[2-(hydroxyimino)-1,1-dimethylpropyl]amino]ethyl]amino]ethyl]amino]ethyl]amino]ethoxy]-, 13-ester with N2-acetyl-L-asparaginyl-L-glutaminyl-L-.alpha.-glutamyl-L-glutaminyl-L-valyl-L-seryl-L-prolyl-L-tyrosyl-L-threonyl-L-leucyl-L-leucyl-L-lysylglycine (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE

MF (C2 H4 O)n C85 H145 N23 O25

CI PMS

PCT Polyether

SR CA

LC STN Files: CA, CAPLUS

PAGE 1-A

<sup>\*\*</sup>RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

PAGE 2-A

PAGE 2-B

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:3555

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L14 ANSWER 14 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN
RN 225098-26-0 REGISTRY
CN Poly(oxy-1,2-ethanediyl), .alpha.-[[4'-[9-[(1S)-2-(2-isoxazolidinyl)-1-
```

methyl-2-oxoethyl]amino]-9H-fluoren-9-yl][1,1'-biphenyl]-4-yl]methyl]-

.omega.-methoxy- (9CI) (CA INDEX NAME)

MF (C2 H4 O)n C33 H32 N2 O3

CI PMS

PCT Polyether

SR CA

LC STN Files: CA, CAPLUS

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:352449

C126 H155 N43 O41

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ANSWER 15 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN
L14
RN
     206760-19-2 REGISTRY
     5'-Adenylic acid, 2'-deoxy-, homopolymer, compd. with glycyl-(4S)-4-(3,4-
CN
     dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-prolylglycyl-(4S)-4-(3,4-
     dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-prolylglycyl-(4S)-4-(3,4-
     dihydro-5-methyl-2, 4-dioxo-1(2H)-pyrimidinyl)-L-prolylglycyl-(4S)-4-(3,4-
     dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-prolylglycyl-(4S)-4-(3,4-
     dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-prolylglycyl-(4S)-4-(3,4-
     dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-prolylglycyl-(4S)-4-(3,4-
     dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-prolylglycyl-(4S)-4-(3,4-
     dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-prolylglycyl-(4S)-4-(3,4-
     dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-prolylglycyl-(4S)-4-(3,4-
     dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-prolyl-L-lysinamide (1:1)
            (CA INDEX NAME)
OTHER CA INDEX NAMES:
     L-Lysinamide, qlycyl-(4S)-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-
     pyrimidinyl)-L-prolylglycyl-(4S)-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-
     pyrimidinyl)-L-prolylglycyl-(4S)-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-
     pyrimidinyl)-L-prolylglycyl-(4S)-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-
     pyrimidinyl)-L-prolylglycyl-(4S)-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-
     pyrimidinyl)-L-prolylglycyl-(4S)-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-
     pyrimidinyl)-L-prolylglycyl-(4S)-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-
     pyrimidinyl)-L-prolylglycyl-(4S)-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-
     pyrimidinyl)-L-prolylglycyl-(4S)-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-
     pyrimidinyl)-L-prolylglycyl-(4S)-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-
     pyrimidinyl)-L-prolyl-, compd. with 2'-deoxy-5'-adenylic acid homopolymer
     (1:1) (9CI)
     STEREOSEARCH
FS
     C126 H155 N43 O41 . (C10 H14 N5 O6 P)x
ΜF
     Polyamine, Polyamine formed, Polyether, Polyether formed
PCT
SŘ
                  CA, CAPLUS, USPATFULL
LC
     STN Files:
     CM
          1
     CRN
         189164-05-4
```

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

PAGE 1-B

$$\begin{array}{c|c} Me & & H & O \\ N & & \\ & & \\ \end{array}$$

PAGE 1-C

# PAGE 2-A

PAGE 2-C

PAGE 3-A

CM 2

CRN 25191-20-2

CMF (C10 H14 N5 O6 P)x

CCI PMS

CM 3

CRN 653-63-4

CMF C10 H14 N5 O6 P

Absolute stereochemistry. Rotation (+).

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 128:321939

L14 ANSWER 19 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN

RN **186887-21-8** REGISTRY

CN L-Threoninamide, hydroxyacetyl-L-.alpha.-glutamyl-L-isoleucyl-L-leucyl-L-

#### Lukton 09 827107

.alpha.-aspartyl-L-valyl-L-prolyl-L-seryl-, 1-ether with
.alpha.-methyl-.omega.-hydroxypoly(oxy-1,2-ethanediyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE

MF (C2 H4 O)n C41 H69 N9 O16

CI PMS

PCT Polyether

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

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O Me

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 126:199813

L14 ANSWER 20 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN

RN 186085-80-3 REGISTRY

L-Prolinamide, N2-[1-oxo-6-[(1-oxo-2-propenyl)amino]hexyl]-L-asparaginyl-L-alanyl-L-asparaginyl-L-asparaginyl-L-asparaginyl-L-asparaginyl-L-asparaginyl-L-asparaginyl-L-asparaginyl-L-asparaginyl-L-asparaginyl-L-asparaginyl-L-asparaginyl-L-asparaginyl-L-asparaginyl-L-asparaginyl-L-asparaginyl-L-asparaginyl-, homopolymer (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF (C89 H136 N32 O32)x

CI PMS

PCT Polyacrylic, Polyamide, Polyamide formed

SR LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

CM1

CRN 186085-40-5

C89 H136 N32 O32 CMF

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

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PAGE 2-C

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 126:118183

L14 ANSWER 39 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN

RN 170742-70-8 REGISTRY

CN L-Proline, glycyl-L-.alpha.-aspartylglycyl-L-phenylalanyl-L-prolylglycyl-L-valylglycyl-L-phenylalanyl-L-prolylglycyl-L-phenylalanyl-L-phenylalanyl-L-phenylalanyl-L-phenylalanyl-L-prolylglycyl-L-valylglycyl-L-valylglycyl-L-valylglycyl-L-phenylalanyl-, homopolymer (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF (C133 H184 N30 O33) x

CI PMS

PCT Polyamide, Polyamide formed

SR CA

LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

CM 1

CRN 170742-69-5

CMF C133 H184 N30 O33

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

# PAGE 1-A

# PAGE 1-B

2 REFERENCES IN FILE CA (1907 TO DATE) 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

137:20594 REFERENCE 1:

123:340850 REFERENCE 2:

ANSWER 40 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN L14

162784-43-2 REGISTRY RN

Poly(oxy-1,2-ethanediyl), .alpha.-methyl-.omega.-hydroxy-, 7-ester with CN 7-(N6-carboxy-L-lysine)-.alpha.-neoendorphin (swine) (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

.alpha.-Neoendorphin (swine), 7-(N6-carboxy-L-lysine)-, CN

poly(oxy-1,2-ethanediyl) deriv.

Poly(oxy-1,2-ethanediyl), .alpha.-methyl-.omega.-hydroxy-, 7-ester with CN 7-(N6-carboxy-L-lysine)-.alpha.-neoendorphin (pig)

PROTEIN SEQUENCE FS

(C2 H4 O)n C62 H91 N15 O15 MF

**PMS** CI

Polyether PCT

SR CA LC STN Files: CA, CAPLUS, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

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$$Me = \begin{bmatrix} O - CH_2 - CH_2 \end{bmatrix}_n O - C - NH - (CH_2)_4 - CH \\ O = C \\ NH \\ O = C \\ O = CO_2H \\ O = C \\ O =$$

PAGE 3-B

-- ин2

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 122:266020

L14 ANSWER 46 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN

RN 160298-41-9 REGISTRY

CN Poly(oxy-1,2-ethanediyl), .alpha.-methyl-.omega.-hydroxy-, 1,12-diether with N-(hydroxyacetyl)-L-norleucylglycyl-L-isoleucyl-L-asparaginyl-L-asparaginyl-L-lysyl-L-leucyl-N5-(hydroxyacetyl)-L-ornithinamide (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN L-Ornithinamide, N-(hydroxyacetyl)-L-norleucylglycyl-L-isoleucyl-L-asparaginyl-L-asparaginyl-L-tyrosyl-L-lysyl-L-asparaginyl-L-prolyl-L-lysyl-L-leucyl-N5-(hydroxyacetyl)-, poly(oxy-1,2-ethanediyl) deriv.

FS PROTEIN SEQUENCE

MF (C2 H4 O)n (C2 H4 O)n C69 H115 N19 O20

CI PMS

PCT Polyether

SR CA

LC STN Files: CA, CAPLUS

### PAGE 1-B

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PAGE 2-B

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 122:81979

L14 ANSWER 47 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN

RN 160262-40-8 REGISTRY

CN Poly(oxy-1,2-ethanediyl), .alpha.-methyl-.omega.-hydroxy-, 9,14-diether with L-isoleucyl-L-leucyl-L-asparaginylglycyl-L-isoleucyl-L-asparaginyl-L-asparaginyl-L-asparaginyl-L-norleucyl]-L-lysyl-L-asparaginyl-L-prolyl-L-lysyl-L-leucyl-N5-(hydroxyacetyl)-L-ornithinamide (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN L-Ornithinamide, L-isoleucyl-L-leucyl-L-asparaginylglycyl-L-isoleucyl-L-asparaginyl-L-asparaginyl-L-tyrosyl-N6-[N-(hydroxyacetyl)-L-norleucyl]-L-lysyl-L-asparaginyl-L-prolyl-L-lysyl-L-leucyl-N5-(hydroxyacetyl)-, poly(oxy-1,2-ethanediyl) deriv.

FS PROTEIN SEQUENCE

MF (C2 H4 O)n (C2 H4 O)n C85 H143 N23 O24

CI PMS

PCT Polyether

SR CA

LC STN Files: CA, CAPLUS

PAGE 1-A

O NH2

O NH-C-CH-CH-Et

O NH-C-CH-Bu-i Me

NH-C-CH2-NH-C-CH-CH2-C-NH2

O Me

O NH-C-CH-CH2-C-NH2

CH-NH-C-CH-CH2-C-NH2

CH-NH-C-CH-CH2-C-NH2

CH-NH-C-CH-CH2-C-NH2

CH-NH-C-CH-CH2-C-NH2

CH-NH-C-CH-CH2-C-NH2

CH-(CH2)4-NH-C-CH-Bu-n

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1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 122:81979

L14 ANSWER 48 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN

RN 158621-98-8 REGISTRY

CN Poly(oxy-1,2-ethanediyl), .alpha.-methyl-.omega.-hydroxy-, ether with N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-isoleucyl-L-leucyl-N- (triphenylmethyl)-L-asparaginylglycyl-L-isoleucyl-N-(triphenylmethyl)-L-asparaginyl-O-(1,1-dimethylethyl)-L-tyrosyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N-[1-[[(2-hydroxyethyl)amino]carbonyl]pentyl]-L-asparaginyl-L-prolyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-leucine (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN L-Leucine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-isoleucyl-L-leucyl-N-(triphenylmethyl)-L-asparaginylglycyl-L-isoleucyl-N-(triphenylmethyl)-L-asparaginyl-O-(1,1-dimethylethyl)-L-tyrosyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N-[1-[(2-hydroxyethyl)amino]carbonyl]pentyl]-L-asparaginyl-L-prolyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-, poly(oxy-1,2-ethanediyl) deriv.

MF (C2 H4 O)n C163 H206 N20 O27

CI PMS

PCT Polyether

SR CA

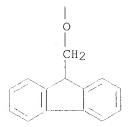
LC STN Files: CA, CAPLUS

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### PAGE 1-B

# PAGE 3-A

PAGE 4-A



1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 121:256270

L14 ANSWER 49 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN

RN 158598-94-8 REGISTRY

Poly(oxy-1,2-ethanediyl), .alpha.-methyl-.omega.-hydroxy-, ether with N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-isoleucyl-L-leucyl-N- (triphenylmethyl)-L-asparaginylglycyl-L-isoleucyl-N-(triphenylmethyl)-L-asparaginyl-O-(1,1-dimethylethyl)-L-tyrosyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N-(triphenylmethyl)-L-asparaginyl-L-prolyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-leucyl-N5- (hydroxyacetyl)-L-ornithine (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN L-Ornithine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-isoleucyl-L-leucyl-N-(triphenylmethyl)-L-asparaginylglycyl-L-isoleucyl-N-(triphenylmethyl)-L-asparaginyl-O-(1,1-dimethylethyl)-L-tyrosyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N-(triphenylmethyl)-L-asparaginyl-L-prolyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-leucyl-N5-(hydroxyacetyl)-, poly(oxy-1,2-ethanediyl) deriv.

FS PROTEIN SEQUENCE

MF (C2 H4 O)n C181 H217 N21 O28

CI PMS

PCT Polyether

SR CA

LC STN Files: CA, CAPLUS

<sup>\*\*</sup>RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

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PAGE 2-A

PAGE 3-A

PAGE 4-A

PAGE 4-B

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-O CH_2 CH_2 O Me
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1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 121:256270

L14 ANSWER 56 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN

RN 157932-32-6 REGISTRY

CN L-Proline, glycyl-L-lysylglycyl-L-isoleucyl-, polymer with

glycyl-L-valylglycyl-L-isoleucyl-L-proline (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN L-Proline, 1-[N-[N-(N-glycyl-L-valyl)glycyl]-L-isoleucyl]-, polymer with

1-[N-[N-(N2-glycyl-L-lysyl)glycyl]-L-isoleucyl]-L-proline

CN L-Proline, 1-[N-[N-(N2-glycyl-L-lysyl)glycyl]-L-isoleucyl]-, polymer with

1-[N-[N-(N-glycyl-L-valyl)glycyl]-L-isoleucyl]-L-proline

CN L-Proline, glycyl-L-valylglycyl-L-isoleucyl-, polymer with

glycyl-L-lysylglycyl-L-isoleucyl-L-proline (9CI)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF (C21 H38 N6 O6 . C20 H35 N5 O6)x

CI PMS

PCT Polyamide, Polyamide formed

SR CA

LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

CM 1

CRN 157932-31-5

CMF C21 H38 N6 O6

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

CM 2

CRN 106871-64-1 CMF C20 H35 N5 O6

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

3 REFERENCES IN FILE CA (1907 TO DATE)

3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:20594

REFERENCE 2: 134:71874

REFERENCE 3: 121:205998

L14 ANSWER 57 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN

RN 151492-78-3 REGISTRY

CN Poly(oxy-1,2-ethanediyl), .alpha.-methyl-.omega.-hydroxy-, ether with N-(hydroxyacetyl)-L-norleucyl-L-asparaginyl-L-alanyl-L-asparaginyl-L-prolyl-L-asparaginyl-L-asparaginyl-L-asparaginyl-L-asparaginyl-L-alanyl-L-asparaginyl-L-orlinamide (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN L-Prolinamide, N-(hydroxyacetyl)-L-norleucyl-L-asparaginyl-L-alanyl-L-asparaginyl-L-prolyl-L-asparaginyl-L-asparaginyl-L-prolyl-L-

# Lukton 09 827107

asparaginyl-L-alanyl-L-asparaginyl-, poly(oxy-1,2-ethanediyl) deriv.

FS PROTEIN SEQUENCE

MF (C2 H4 O)n C57 H90 N20 O21

CI PMS

PCT Polyether

SR CA

LC STN Files: CA, CAPLUS

# PAGE 1-B

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1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 119:271693

L14 ANSWER 60 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN

RN 143501-83-1 REGISTRY

CN 1H-Pyrrole, 1-[[[[[(aminomethyl)amino]methyl]amino]methyl]amino]acetyl]-, monohydrobromide, homopolymer (9CI) (CA INDEX NAME)

MF (C9 H17 N5 O . Br H)x

CI PMS

PCT Polyother, Polyother only

SR CA

LC STN Files: CA, CAPLUS

CM 1

CRN 143501-82-0

CMF C9 H17 N5 O . Br H

## • HBr

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 117:146582

L14 ANSWER 61 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN

RN 141405-42-7 REGISTRY

Poly(oxy-1,2-ethanediy1), .alpha.-[2-[[4-(hydroxymethy1)pheny1]amino]-2-oxoethy1]-.omega.-methoxy-, 5,5'-diester with N6-[(1,1-dimethylethoxy)carbony1]-N2-[N-[1-[N6-[(1,1-dimethylethoxy)carbony1]-N2-[N-[1-[N6-[(1,1-dimethylethoxy)carbony1]-N2-[N-[1-[N6-[(1,1-dimethylethoxy)carbony1]-N2-L-.alpha.-glutamy1-L-lysy1]-L-proly1]glycy1]-L-lysy1]-L-.alpha.-glutamy1]-L-lysy1]-L-proly1]glycy1]-L-lysine (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2-[N-[1-[N6-[(1,1-dimethylethoxy)carbonyl]-N2-[N-[N6-[(1,1-dimethylethoxy)carbonyl]-N2-[N-[1-[N6-[(1,1-dimethylethoxy)carbonyl]-N2-L-.alpha.-glutamyl-L-lysyl]-L-prolyl]glycyl]-L-lysyl]-L-alpha.-glutamyl]-L-lysyl]-L-prolyl]glycyl]-, poly(oxy-1,2-ethanediyl) deriv.

FS PROTEIN SEQUENCE

MF (C2 H4 O)n (C2 H4 O)n C88 H138 N16 O27

CI PMS

PCT Polyether

SR CA

LC STN Files: CA, CAPLUS

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PAGE 2-C

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 116:236154

ANSWER 62 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN L14 137307-89-2 REGISTRY RN Poly[1,2-pyrrolidinediylcarbonylimino[2-oxo-1-[4-CN [[(phenylmethoxy)carbonyl]amino]butyl]-1,2-ethanediyl]imino[2-oxo-1-[4-[[(phenylmethoxy)carbonyl]amino]butyl]-1,2-ethanediyl]imino[1-(2methylpropyl)-2-oxo-1,2-ethanediyl]], (all-S)- (9CI) (CA INDEX NAME) PROTEIN SEQUENCE FS (C39 H54 N6 O8)n MFÇΙ PMS PCT Polyamide SR CA CA, CAPLUS LCSTN Files:

<sup>\*\*</sup>RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 115:250891

L14 ANSWER 63 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN

RN 137285-82-6 REGISTRY

CN Poly[1,2-pyrrolidinediylcarbonylimino[1-(4-aminobutyl)-2-oxo-1,2-ethanediyl]imino[1-(4-aminobutyl)-2-oxo-1,2-ethanediyl]imino[1-(2-methylpropyl)-2-oxo-1,2-ethanediyl]], [(S),(S),(S)]- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE

MF (C23 H42 N6 O4)n

CI PMS

PCT Polyamide

SR CA

LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 116:194836

REFERENCE 2: 115:250891

L14 ANSWER 64 OF 82. REGISTRY COPYRIGHT 2004 ACS on STN

RN 137255-85-7 REGISTRY

CN Poly[imino(3-oxo-1,3-propanediyl)imino[5-(aminocarbonyl)-1,5-

# Lukton 09\_827107

pentanediyl]imino[2-[(L-lysyl-L-seryl-L-isoleucyl-L-arginyl-L-isoleucyl-L-glutaminyl-L-arginylglycyl-L-prolylglycyl-L-arginyl-L-valyl-L-isoleucyl-L-tyrosyl)amino]-1-oxo-1,3-propanediyl]thio(2-oxo-1,2-ethanediyl)],

[(S), (R)] - (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE

MF (C87 H150 N30 O21 S)n

CI PMS

PCT Polyamide, Polythioether

SR CA

LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

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1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 115:256614

L14 ANSWER 66 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN

RN 124176-02-9 REGISTRY

CN Benzenepropanol, .beta.-amino-4-[(4-ethenylphenyl)methoxy]-.alpha.,.alpha.-diphenyl-, (S)-, polymer with 1,15-bis(4-ethenylphenyl)-2,5,8,11,14-pentaoxapentadecane and ethenylbenzene (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2,5,8,11,14-Pentaoxapentadecane, 1,15-bis(4-ethenylphenyl)-, polymer with (S)-.beta.-amino-4-[(4-ethenylphenyl)methoxy]-.alpha.,.alpha.-diphenylbenzenepropanol and ethenylbenzene (9CI)

CN Benzene, ethenyl-, polymer with (S)-.beta.-amino-4-[(4-ethenylphenyl)methoxy]-.alpha.,.alpha.-diphenylbenzenepropanol and 1,15-bis(4-ethenylphenyl)-2,5,8,11,14-pentaoxapentadecane (9CI)

FS STEREOSEARCH

MF (C30 H29 N O2 . C26 H34 O5 . C8 H8) $\times$ 

CI PMS

PCT Polystyrene

SR CA

LC STN Files: CA, CAPLUS, CASREACT

CM 1

CRN 122247-24-9 CMF C26 H34 O5

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PAGE 1-B

$$-CH_2-O-CH_2$$

CM 2

CRN 109826-69-9 CMF C30 H29 N O2

Absolute stereochemistry.

CM 3

CRN 100-42-5 CMF C8 H8

H2C=CH-Ph

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 112:35375

L14 ANSWER 67 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN

RN **123908-20-3** REGISTRY

L-Alanine, N-[N2-[N-[N-[trans-4-hydroxy-1-[trans-4-hydroxy-1-[N-[N-[1-[N6-(trifluoroacetyl)-L-lysyl]-L-prolyl]-L-seryl]-L-tyrosyl]-L-prolyl]-L-prolyl]-L-tyrosyl]-L-threonyl]-L-tyrosyl]-N6-(trifluoroacetyl)-L-lysyl]-, homopolymer (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF (C59 H80 F6 N12 O19)x

CI PMS

PCT Polyamide, Polyamide formed

SR CA

LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

CM 1

CRN 123908-19-0

CMF C59 H80 F6 N12 O19

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

F3C Me CH2) 4 S N O OH OH OH OH

PAGE 1-B

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 111:233578

L14 ANSWER 68 OF 82 REGISTRY COPYRIGHT 2004 ACS on STN

RN 123893-92-5 REGISTRY

CN Poly[(4-hydroxy-1,2-pyrrolidinediyl)carbonyl(4-hydroxy-1,2-pyrrolidinediyl)carbonylimino[1-(1-hydroxyethyl)-2-oxo-1,2-ethanediyl]imino[1-[(4-hydroxyphenyl)methyl]-2-oxo-1,2-ethanediyl]imino[2-oxo-1-[4-[(trifluoroacetyl)amino]butyl]-1,2-ethanediyl]imino(1-methyl-2-oxo-1,2-ethanediyl)imino[2-oxo-1-[4-[(trifluoroacetyl)amino]butyl]-1,2-ethanediyl]-1,2-pyrrolidinediylcarbonylimino[1-(hydroxymethyl)-2-oxo-1,2-ethanediyl]imino[1-[(4-hydroxyphenyl)methyl]-2-oxo-1,2-ethanediyl]], stereoisomer (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE

MF (C59 H78 F6 N12 O18)n

CI PMS

PCT Polyamide

SR CA

LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

PAGE 1-A

PAGE 2-A

PAGE 3-A

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 111:233578

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RN **9074-73-1** REGISTRY

CN L-Valine, N-(2-methyl-1-oxo-3-phenyl-1-propenyl)-, compd. with N-cyclohexylcyclohexanamine (1:1), polymer with N-(2-methyl-1-oxo-3-phenyl-1-propenyl)glycine compd. with N-cyclohexylcyclohexanamine (1:1) (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Glycine, N-(2-methyl-1-oxo-3-phenyl-1-propenyl)-, compd. with N-cyclohexylcyclohexanamine (1:1), polymer with N-(2-methyl-1-oxo-3-phenyl-1-propenyl)-L-valine compd. with N-cyclohexylcyclohexanamine (1:1) (9CI)

FS STEREOSEARCH

MF (C15 H19 N O3 . C12 H23 N . C12 H23 N . C12 H13 N O3)x

CI PMS

PCT Polyamide, Polyamide formed, Polyother, Polyvinyl

LC STN Files: CA, CAPLUS

CM 1

CRN 50853-11-7 CMF C12 H23 N . C12 H13 N O3

CM 2

CRN 50853-09-3 CMF C12 H13 N O3

CM 3

CRN 101-83-7

CMF C12 H23 N

CM 4

CRN 50853-10-6 CMF C15 H19 N O3 . C12 H23 N

CM 5

CRN 50853-08-2 CMF C15 H19 N O3

Absolute stereochemistry. Double bond geometry unknown.

CM 6

CRN 101-83-7 CMF C12 H23 N

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 76:155096